

09/476,253

=> d his

(FILE 'HOME' ENTERED AT 23:00:43 ON 21 FEB 2002)

FILE 'REGISTRY' ENTERED AT 23:04:05 ON 21 FEB 2002

L1 STRUCTURE UPLOADED

L2 2 S L1 SSS FULL

FILE 'CAPLUS, USPATFULL' ENTERED AT 23:07:03 ON 21 FEB 2002

L3 13 S L2

L4 13 DUP REM L3 (0 DUPLICATES REMOVED)

L5 1 S L4 AND (GASTRIC OR GASTROINTESTIN?) AND (HYPOMOTIL? OR STASIS

FILE 'STNGUIDE' ENTERED AT 23:11:06 ON 21 FEB 2002

FILE 'CAPLUS, USPATFULL' ENTERED AT 23:11:23 ON 21 FEB 2002

L6 1 S L4 AND (GASTRIC OR GASTROINTESTIN?)

FILE 'STNGUIDE' ENTERED AT 23:11:34 ON 21 FEB 2002

=>

09/476,253

=>

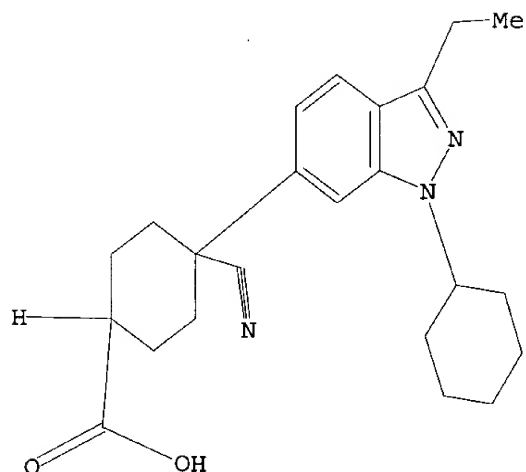
Uploading 253.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



*selected
species*

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 23:06:42 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 35 TO ITERATE

100.0% PROCESSED 35 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

L2 2 SEA SSS FUL L1

=> d l2 1 2

L2 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2002 ACS

RN 199171-92-1 REGISTRY

CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-yl)-, trans- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

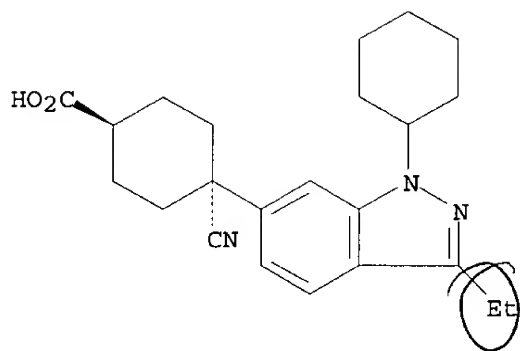
MF C23 H29 N3 O2

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, TOXLIT, USPATFULL

Relative stereochemistry.

09/476,253

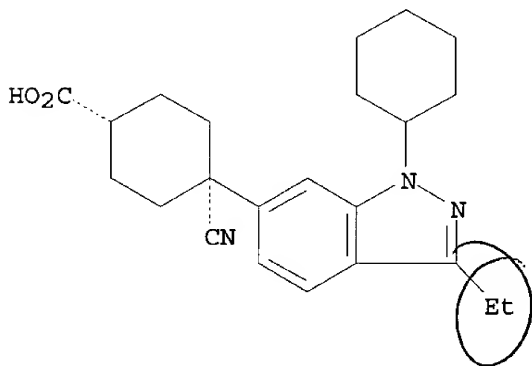


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L2 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2002 ACS
RN 199171-88-5 REGISTRY
CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-yl)-, cis- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H29 N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, TOXLIT, USPATFULL

Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

7 REFERENCES IN FILE CA (1967 TO DATE)
7 REFERENCES IN FILE CAPLUS (1967 TO DATE)

=> file caplus, uspatfull

09/476,253

FILE 'CAPLUS' ENTERED AT 23:07:03 ON 21 FEB 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 23:07:03 ON 21 FEB 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

=> d his

(FILE 'HOME' ENTERED AT 23:00:43 ON 21 FEB 2002)

FILE 'REGISTRY' ENTERED AT 23:04:05 ON 21 FEB 2002
L1 STRUCTURE UPLOADED
L2 2 S L1 SSS FULL

FILE 'CAPLUS, USPATFULL' ENTERED AT 23:07:03 ON 21 FEB 2002

=> s 12
L3 13 L2

=> dup rem 13
PROCESSING COMPLETED FOR L3
L4 13 DUP REM L3 (0 DUPLICATES REMOVED)

=> d l4 abs ibib hitstr 1-13

L4 ANSWER 1 OF 13 USPATFULL
AB Known bisamidine compounds are newly discovered to possess DNA
methyltransferase inhibiting properties, making them useful for
preparing pharmaceutical compositions useful as antiproliferative agents
for treating a neoplastic or a non-neoplastic disease characterized by
abnormally rapid proliferation of tissue involved in said disease;
wherein said bisamidines comprise a compound of Formula (5.0.0):
##STR1##

and a pharmaceutically acceptable salt thereof, wherein:

--X is --C(R.sup.34)--; or --N--;

--R.sup.23, R.sup.24, R.sup.28 and R.sup.29 are each independently --H;
or --CH.sub.2 -- where R.sup.23 and R.sup.24 and

R.sup.28 and R.sup.29 are taken together with the nitrogen atoms to
which they are attached, to form an imidazoliny group; and

--R.sup.34 is --H; or --CH.sub.3. A preferred species of Formula (5.0.0)
is the following: ##STR2##

2-(4-Carbamimidoyl-phenyl)-1H-indole-6-carboxamidine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 2001:226666 USPATFULL
TITLE: Bisamidine compounds as antiproliferative agents
INVENTOR(S): Goldstein, Steven W., Noank, CT, United States
Mylari, Banauara L., Waterford, CT, United States
Perez, Jose R., Salem, CT, United States

Delacroix

09/476,253

PATENT ASSIGNEE(S): Glazer, Edward A., Waterford, CT, United States
Pfizer Inc, New York, NY, United States (U.S.
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6329412	B1	20011211
APPLICATION INFO.:	US 2000-535359		20000324 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-64198	19971104 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Goldberg, Jerome D.	
LEGAL REPRESENTATIVE:	Richardson, Peter C., Ginsburg, Paul H., Speer, Raymond M.	
NUMBER OF CLAIMS:	4	
EXEMPLARY CLAIM:	1	
LINE COUNT:	714	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

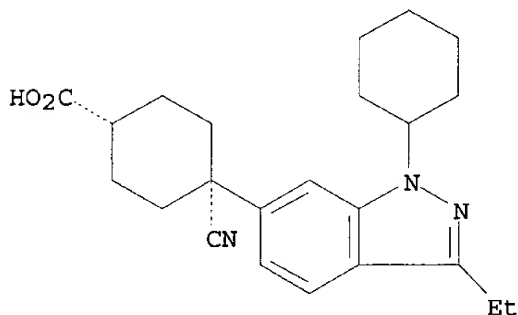
IT 199171-88-5P

(indazole bioisostere replacement of catechol in therapeutically active compds.)

RN 199171-88-5 USPATFULL

CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-yl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 2 OF 13 USPATFULL

AB The present invention relates to methods for treating congestive heart failure in a mammal by administering a congestive heart failure treating amount of a compound which inhibits phosphodiesterase type IV and the production of tumor necrosis factor, such as, for example, a substituted indazol derivative, e.g., of the formula ##STR1##

or a pharmaceutically acceptable salt thereof, wherein R, R.sub.1 and R.sub.2 are as defined herein. The invention further relates to pharmaceutical compositions for the treatment of congestive heart failure comprising a congestive heart failure treating amount of a compound which inhibits phosphodiesterase type IV and the production of tumor necrosis factor, such as, for example, a substituted indazol derivative, e.g., of formula (I) herein, or a pharmaceutically

09/476,253

acceptable salt thereof, and a pharmaceutically acceptable vehicle, diluent or carrier.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 2001:117035 USPATFULL
TITLE: Method for treating congestive heart failure
INVENTOR(S): Fossa, Anthony A., Mystic, CT, United States
PATENT ASSIGNEE(S): Pfizer Inc., New York, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6265429	B1	20010724
APPLICATION INFO.:	US 1999-421149		19991019 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-105108	19981021 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Criares, Theodore J.	
LEGAL REPRESENTATIVE:	Richardson, Peter C., Benson, Gregg C., Kispert, Jennifer A.	
NUMBER OF CLAIMS:	11	
EXEMPLARY CLAIM:	1	
LINE COUNT:	764	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

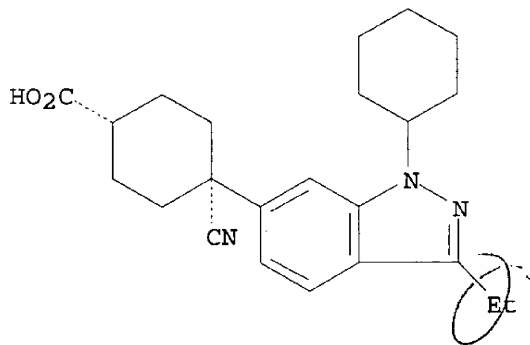
IT 199171-88-5 199171-92-1

(treatment of congestive heart failure with inhibitors of phosphodiesterase IV and formation inhibitors of tumor necrosis factor)

RN 199171-88-5 USPATFULL

CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-yl)-, cis- (9CI) (CA INDEX NAME)

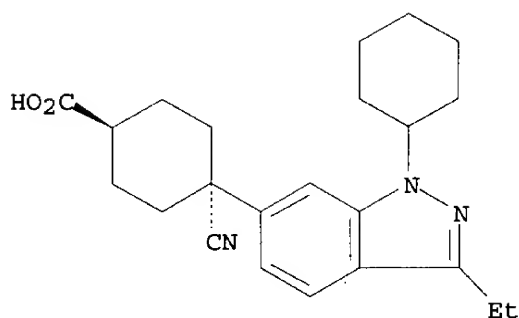
Relative stereochemistry.



RN 199171-92-1 USPATFULL

CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 3 OF 13 USPATFULL

AB The invention relates to compounds of the formula I ##STR1##

and pharmaceutically acceptable salts thereof, wherein

R.sub.2.sup.a and R.sub.2.sup.b are independently selected from the group consisting essentially of hydrogen and hereinafter recited substituents, provided that one, but not both of R.sub.2.sup.a and R.sub.2.sup.b must be independently selected as hydrogen, wherein said substituents comprise: ##STR2##

wherein the dashed lines in formulas (Ia) and (Ib) independently and optionally represent a single or double bond, provided that in formula (Ia) both dashed lines cannot both represent double bonds at the same time; and

R, R.sub.1, R.sub.3, R.sub.4, R.sub.5, R.sub.6, R.sub.7, R.sub.18 and m are as defined. The invention further relates to intermediates for the preparation of the compounds of formula I, and to pharmaceutical compositions containing, and methods of using, the compounds of formula I, or acceptable salts thereof, for the inhibition of phosphodiesterase (PDE) type IV or the production of tumor necrosis factor (TNF) in a mammal.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 2001:48095 USPATFULL

TITLE: Substituted indazole derivatives and related compounds

INVENTOR(S): Marfat, Anthony, Stonington, CT, United States

PATENT ASSIGNEE(S): Pfizer Inc, New York, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6211222	B1	20010403
APPLICATION INFO.:	US 1997-963904		19971104 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-16861	19960503 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Ramsuer, Robert W.	
LEGAL REPRESENTATIVE:	Richardson, Peter C., Ginsburg, Paul H., Speer, Raymond M.	

09/476,253

NUMBER OF CLAIMS: 18

EXEMPLARY CLAIM: 1

LINE COUNT: 2157

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

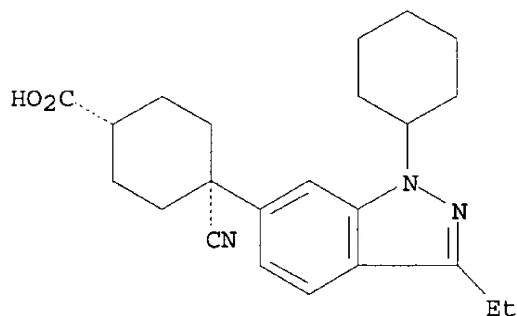
IT 199171-88-5P 199171-92-1P

(prepn. of indazoles as phosphodiesterase IV and tumor necrosis factor
prodn. inhibitors)

RN 199171-88-5 USPTFULL

CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-
yl)-, cis- (9CI) (CA INDEX NAME)

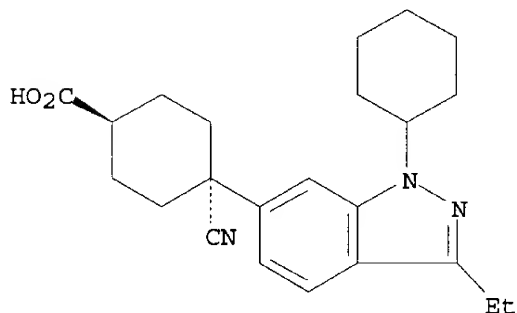
Relative stereochemistry.



RN 199171-92-1 USPTFULL

CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-
yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



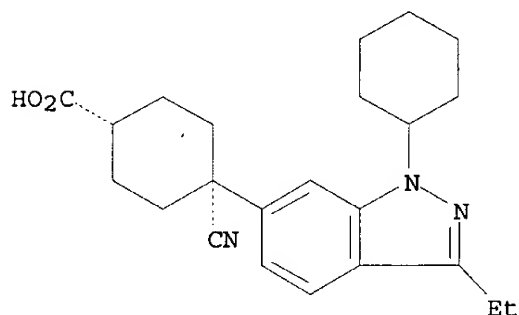
L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2002 ACS

AB Two syntheses of cis-4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-
yl)cyclohexanecarboxylic acid, a selective PDE4/TNF.alpha. inhibitor are
described. The first synthesis relied on a solvolysis of a tertiary
benzylic alc. to the nitrile using TMSCN and on the epimerization of an
ester to its thermodynamically favored position prior to its hydrolysis.
It was demonstrated that the selectivity was controlled by the rate of
hydrolysis of the two diastereomeric esters. The second synthesis proved
to be more efficient and used a novel nucleophilic arom. substitution of a
fluoroindazole with the anion of a tertiary nitrile. Another key element
of the route was a selective Pinner reaction of a secondary nitrile in the
presence of a tertiary nitrile.

09/476,253

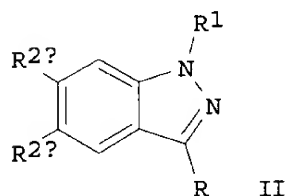
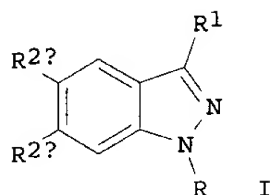
ACCESSION NUMBER: 2001:719250 CAPLUS
DOCUMENT NUMBER: 136:5950
TITLE: The Synthesis of a Selective PDE4/TNF.alpha. Inhibitor
AUTHOR(S): Caron, Stephane; Vazquez, Enrique
CORPORATE SOURCE: Process Research Chemical Research and Development,
Pfizer Global Research and Development, Groton, CT,
06340-8156, USA
SOURCE: Organic Process Research & Development (2001), 5(6),
587-592
CODEN: OPRDFK; ISSN: 1083-6160
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 199171-88-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of cis-4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-
yl)cyclohexanecarboxylic acid)
RN 199171-88-5 CAPLUS
CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-
yl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2002 ACS
GI



AB Stasis due to hypomotility of stomach is treated or prevented by administering to a patient a therapeutically effective amt. of indazole derivs. I or II [R = H, C1-9 alkyl, cycloalkylalkyl, C1-6 alkoxyalkyl, C2-6 alkenyl, heterocyclalkyl, etc.; R1 = H, C1-9 alkyl, C2-3 alkenyl, Ph, C3-7 cycloalkyl, cycloalkylalkyl, which may have 0-3 substituent such as Me, Et, CH₂F, CHF₂, CF₃, Br, Cl, F; R2a, R2b = H, substituted cyclohexenyl groups, substituted cyclohexyl (Markush structures given)], thus restoring normal motility. Also claimed are pharmaceutical compns. contg. (i) pharmaceutically-acceptable carriers, (ii) I or II, and (iii) drugs which cause gastric hypomotility or related gastrointestinal disorders when administered in therapeutically effective amt. (iii) is .gtoreq.1 selected from analgesics acting by inhibition of prostaglandin synthesis, antacids contg. CaCO₃ or Al(OH)₃, anticholinergics, antidiarrheals, H₁ blockers, antihistaminics having anticholinergic effect, antiparkinsonian drugs having anticholinergic effect, BaSO₄, corticosteroids, clonidine, diuretics causing hypokalemia, ganglionic blocking agents, heavy metals, laxatives, octreotide, opioids, phenothiazines having anticholinergic effect, polystyrene resin, propranolol, tricyclic antidepressants having anticholinergic effect, and verapamil.

ACCESSION NUMBER:	2000:484036 CAPLUS
DOCUMENT NUMBER:	133:115130
TITLE:	Treatment of gastric hypomotility with indazole derivatives as phosphodiesterase 4 inhibitors and pharmaceutical compositions containing them
INVENTOR(S):	Watson, John Wesley; Andrews, Paul; Woods, Anthony John
PATENT ASSIGNEE(S):	Pfizer Inc., USA
SOURCE:	Jpn. Kokai Tokkyo Koho, 159 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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09/476,253

JP 2000198734 A2 20000718 JP 1999-354017 19991214
EP 1040829 A2 20001004 EP 1999-310202 19991216
EP 1040829 A3 20001018
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
LE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.: US 1998-114217 P 19981230

OTHER SOURCE(S): MARPAT 133:115130

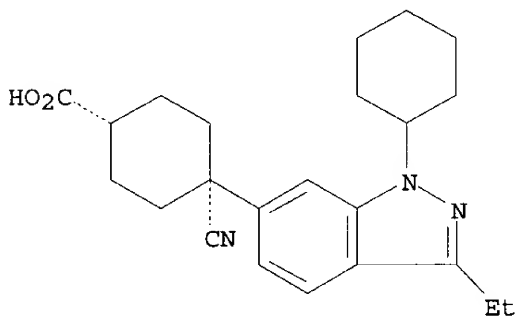
IT 199171-88-5 199171-92-1

RL: BAC (Biological activity or effector, except adverse); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of gastric hypomotility causing stasis with indazole derivs.
as phosphodiesterase 4 inhibitors)

RN 199171-88-5 CAPLUS

CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-yl)-, cis- (9CI) (CA INDEX NAME)

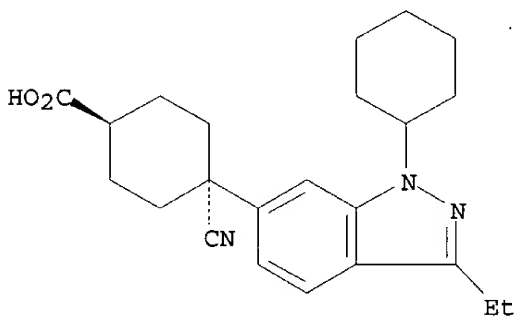
Relative stereochemistry.



RN 199171-92-1 CAPLUS

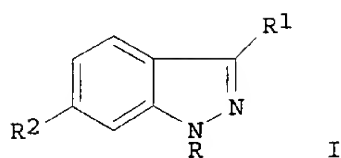
CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2002 ACS
GI

09/476,253



AB Mammalian congestive heart failure is treated by administration of the title inhibitors, e.g. indazole derivs. I [R = H, (un)substituted alkyl, (cycloalkyl)alkyl, alkoxyalkyl, etc.; R1 = H, (un)substituted alkyl, alkenyl, Ph, cycloalkyl, etc.; R2 = (un)substituted cyclohexyl, cyclohexenyl].

ACCESSION NUMBER: 2000:300785 CAPLUS

DOCUMENT NUMBER: 132:318035

TITLE: Treatment of congestive heart failure with inhibitors of phosphodiesterase IV and formation inhibitors of tumor necrosis factor (TNF), and medical compositions for the treatment

INVENTOR(S): Fossa, Anthony Andrea

PATENT ASSIGNEE(S): Pfizer Products Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000128785	A2	20000509	JP 1999-295030	19991018
US 6265429	B1	20010724	US 1999-421149	19991019
AU 9955976	A1	20000504	AU 1999-55976	19991020

PRIORITY APPLN. INFO.: US 1998-105108 P 19981021

OTHER SOURCE(S): MARPAT 132:318035

IT 199171-88-5 199171-92-1

RL: BAC (Biological activity or effector, except adverse); THU

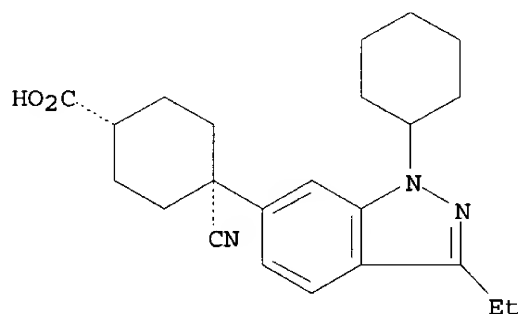
(Therapeutic use); BIOL (Biological study); USES (Uses)

(treatment of congestive heart failure with inhibitors of phosphodiesterase IV and formation inhibitors of tumor necrosis factor)

RN 199171-88-5 CAPLUS

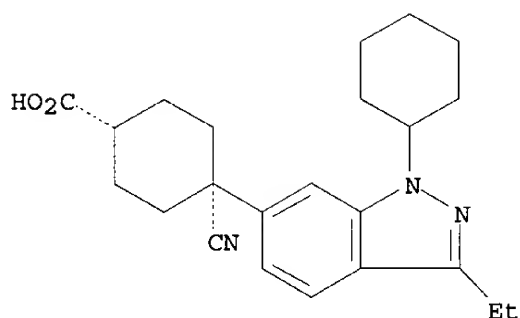
CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-yl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



Delacroix

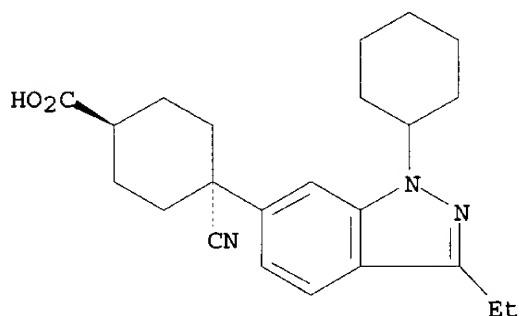
09/476,253



RN 199171-92-1 CAPLUS

CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 7 OF 13 USPATFULL

AB The invention relates to compounds of the formula I ##STR1## and pharmaceutically acceptable salts thereof, wherein R.sub.2.sup.a and R.sub.2.sup.b are independently selected from the group consisting essentially of hydrogen and hereinafter recited substituents, provided that one, but not both of R.sub.2.sup.a and R.sub.2.sup.b must be independently selected as hydrogen, wherein said substituents comprise: ##STR2## wherein the dashed lines in formulas (Ia) and (Ib) independently and optionally represent a single or double bond, provided that in formula (Ia) both dashed lines cannot both represent double bonds at the same time; and

R, R.sub.1, R.sub.3, R.sub.4, R.sub.5, R.sub.6, R.sub.7, R.sub.18 and m are as defined. The invention further relates to intermediates for the preparation of the compounds of formula I, and to pharmaceutical compositions containing, and methods of using, the compounds of formula I, or acceptable salts thereof, for the inhibition of phosphodiesterase (PDE) type IV or the production of tumor necrosis factor (TNF) in a mammal.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 2000:131870 USPATFULL

TITLE: Substituted indazole derivatives and related compounds

INVENTOR(S): Marfat, Anthony, Mystic, CT, United States

PATENT ASSIGNEE(S): Pfizer Inc, New York, NY, United States (U.S.)

Delacroix

corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6127398		20001003
APPLICATION INFO.:	US 1999-406220		19990927 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 963904		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-16861	19960503 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Ramsuer, Robert W.	
LEGAL REPRESENTATIVE:	Richardson, Peter C., Ginsburg, Paul H., Speer, Raymond M.	
NUMBER OF CLAIMS:	5	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2053	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

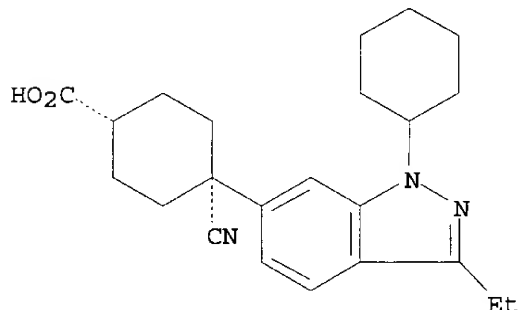
IT 199171-88-5P 199171-92-1P

(prepn. of indazoles as phosphodiesterase IV and tumor necrosis factor
prodn. inhibitors)

RN 199171-88-5 USPATFULL

CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-yl)-, cis- (9CI) (CA INDEX NAME)

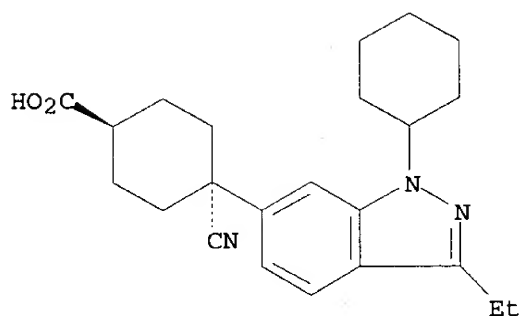
Relative stereochemistry.



RN 199171-92-1 USPATFULL

CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 8 OF 13 USPATFULL

AB The invention relates to processes and intermediates for preparing compounds of formula (I) and pharmaceutically acceptable salts thereof, wherein R, R^{sup.1}, R^{sup.2}, and R^{sup.3} are as defined herein. The above compounds of formula (I) are selective inhibitors of phosphodiesterase type IV and the production of tumor necrosis factor, and therefore may be used in the treatment of various inflammatory disorders such as asthma, joint inflammation, and other conditions or diseases. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 2000:2002 USPATFULL

TITLE: Processes and intermediates for preparing substituted indazole derivatives

INVENTOR(S): Caron, Stephane, Groton, CT, United States

Eisenbeis, Shane A., Pawcatuck, CT, United States

PATENT ASSIGNEE(S): Pfizer Inc, New York, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6011159		20000104
	WO 9850367		19981112
APPLICATION INFO.:	US 1999-308954		19990527 (9)
	WO 1998-IB647		19980428
			19990527 PCT 371 date
			19990527 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-46858	19970508 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Ramsuer, Robert W.	
LEGAL REPRESENTATIVE:	Richardson, Peter C., Ginsburg, Paul H., Speer, Raymond M.	
NUMBER OF CLAIMS:	20	
EXEMPLARY CLAIM:	1	
LINE COUNT:	838	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 199171-88-5P

(prepn. of indazoles)

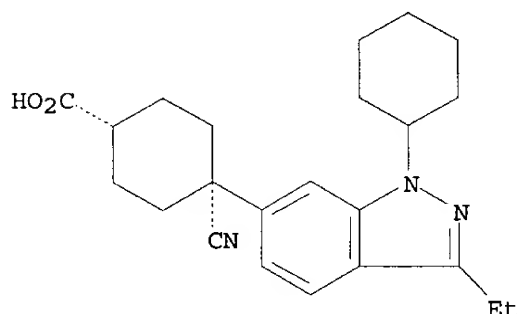
RN 199171-88-5 USPATFULL

CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-

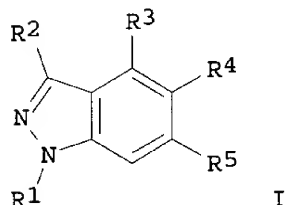
09/476,253

yl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2002 ACS
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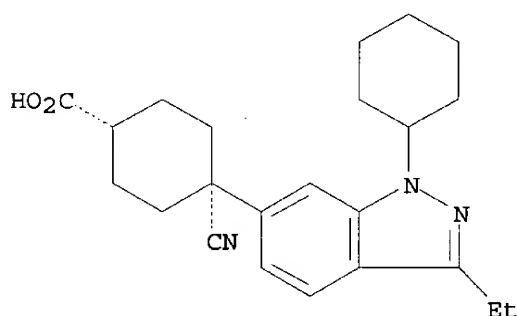
AB Title compds. [e.g., I; R1 = H, (alkoxy)alkyl, heterocyclyl(alkyl), aryl(oxy)(alkyl), etc.; R2 = H, alk(en)yl, Ph, etc.; R3 = H, OH, alkoxy, (un)substituted Ph; R4,R5 = H or non-catechol substituents of said compds. resulting directly from an indazole-for-catechol bioisostere replacement of said catechol-contg. compd. having said therapeutic activity, where said non-catechol substituents are the same or homologous before and after said replacement, provided that both of R4 and R5 cannot be H at the same time (sic)] were prepd. as therapeutically active compds. (no data). Thus, 1-(2-methylsulfonyloxy-4-bromophenyl)-1-propanone was cyclocondensed with MeNHNH2 and the product converted in 6 steps to I [R1 = Me, R2 = Et, R3 = R4 = H, R5 = C(CN)(CHMe2)(CH2)3NRMe] (II; R = H) which was condensed with 3,4-(MeO)2C6H3CH2CH2Br to give II [R = CH2CH23(OMe)2-3,4].

ACCESSION NUMBER: 1999:311189 CAPLUS
DOCUMENT NUMBER: 130:338114
TITLE: Indazole bioisostere replacement of catechol in therapeutically active compounds
INVENTOR(S): Marfat, Anthony
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 231 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

Delacroix

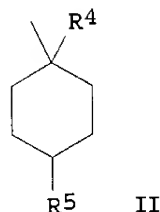
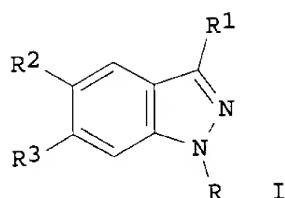
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9923077	A1	19990514	WO 1998-IB1710	19981026
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9894552	A1	19990524	AU 1998-94552	19981026
EP 1028946	A1	20000823	EP 1998-947732	19981026
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BR 9813926	A	20000919	BR 1998-13926	19981026
JP 2001521926	T2	20011113	JP 2000-518952	19981026
ZA 9810041	A	20000503	ZA 1998-10041	19981103
US 6329412	B1	20011211	US 2000-535359	20000324
NO 2000002129	A	20000703	NO 2000-2129	20000426
PRIORITY APPLN. INFO.:			US 1997-64024	P 19971104
			US 1997-64187	P 19971104
			US 1997-64198	P 19971104
			US 1997-64228	P 19971104
			US 1997-64229	P 19971104
			WO 1998-IB1710	W 19981026
OTHER SOURCE(S): MARPAT 130:338114				
IT 199171-88-5P				
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
(indazole bioisostere replacement of catechol in therapeutically active compds.)				
RN 199171-88-5 CAPLUS				
CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-yl)-, cis- (9CI) (CA INDEX NAME)				

Relative stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2002 ACS
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AB Title compds. [e.g., I; R = H, (cyclo)alkyl, (hetero)aryl(oxy)(alkyl), etc.; R1 = H, (cyclo)alkyl, (un)substituted Ph, etc.; 1 of R2,R3 = H and the other = e.g., cyclohexyl group II; R4 = cyano, etc.; R5 = OH, CO2H, alkoxycarbonyl, etc.] were prepd. as phosphodiesterase IV inhibitors (no data). Thus, Me trans-4-cyano-4-(1-cyclopentyl-3-ethyl-1H-indazol-6-yl)cyclohexanecarboxylate was prepd. in 20 steps from 4-PrC6H4CO2H.

ACCESSION NUMBER: 1999:311188 CAPLUS
 DOCUMENT NUMBER: 130:338113
 TITLE: Preparation of indazole catechol bioisosteres as phosphodiesterase IV inhibitors
 INVENTOR(S): Marfat, Anthony
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 98 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9923076	A1	19990514	WO 1998-IB1579	19981009
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9892777	A1	19990524	AU 1998-92777	19981009
BR 9813938	A	20000926	BR 1998-13938	19981009
EP 1040100	A1	20001004	EP 1998-945473	19981009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2001521925	T2	20011113	JP 2000-518951	19981009
ZA 9810042	A	20000503	ZA 1998-10042	19981103
NO 2000002127	A	20000703	NO 2000-2127	20000426
PRIORITY APPLN. INFO.:			US 1997-64160	P 19971104
			WO 1998-IB1579	W 19981009
OTHER SOURCE(S):		MARPAT 130:338113		
IT 199171-88-5P				
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic				

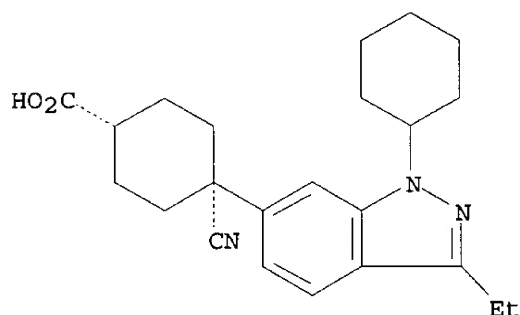
09/476,253

preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(prepn. of indazole catechol bioisosteres as phosphodiesterase IV
inhibitors)

RN 199171-88-5 CAPLUS

CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-
yl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 13 USPATFULL

AB The invention relates to compounds of the formula ##STR1## and to
pharmaceutically acceptable salts thereof, wherein the broken line in
formula I indicates a single or double bond, and wherein R, R.sub.1,
X.sub.1 and X.sub.2 are as defined herein. The invention further relates
to pharmaceutical compositions containing the compounds of formula I,
and to methods of inhibiting phosphodiesterase type IV or the production
of tumor necrosis factor in a mammal by administering the compounds of
formula I to said mammal.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 1999:117524 USPATFULL
TITLE: Substituted indazole derivatives
INVENTOR(S): Marfat, Anthony, Stonington, CT, United States
PATENT ASSIGNEE(S): Pfizer Inc, New York, NY, United States (U.S.
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5958953		19990928
APPLICATION INFO.:	US 1997-882275		19970625 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-16861	19960503 (60)
	US 1996-25446	19960904 (60)
	US 1996-20385	19960625 (60)
	US 1996-21072	19960627 (60)
	US 1996-21072	19960627 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Fan, Jane

Delacroix

09/476,253

LEGAL REPRESENTATIVE: Richardson, Peter C., Ginsburg, Paul H., Speer, Raymond M.

NUMBER OF CLAIMS: 17

EXEMPLARY CLAIM: 1

LINE COUNT: 1205

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

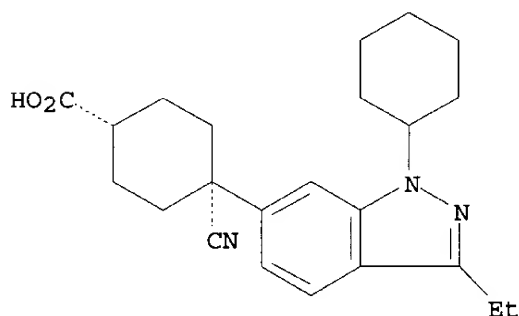
IT 199171-88-5P 199171-92-1P

(prepn. of indazoles as phosphodiesterase IV and tumor necrosis factor
prodn. inhibitors)

RN 199171-88-5 USPATFULL

CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-yl)-, cis- (9CI) (CA INDEX NAME)

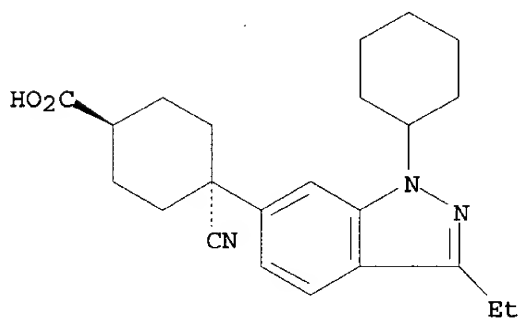
Relative stereochemistry.



RN 199171-92-1 USPATFULL

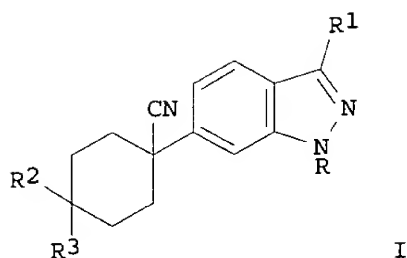
CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2002 ACS

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AB The title compds. I [R = alkyl, (CH₂)_nPh (n = 0-2); R₁ = alkyl, alkenyl, Ph; R₂ = H, C(Y)R₄, CN, etc.; R₃ = H, alkyl, OR₄, CN, etc.; R₄ = H, alkyl; R₂R₃ = O; Y = O, S] were prep'd. E.g., reaction of methanesulfonic acid 5-bromo-2-propionylphenyl ester and 4-MeOC₆H₄NHNH₂·2HCl gave 6-bromo-3-ethyl-1-(4-methoxyphenyl)-1H-indazole, which was reacted with Et 4-oxocyclohexanecarboxylate, then with Me₃SiCN in presence of SnCl₄, to give Et 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-yl)cyclohexanecarboxylate.

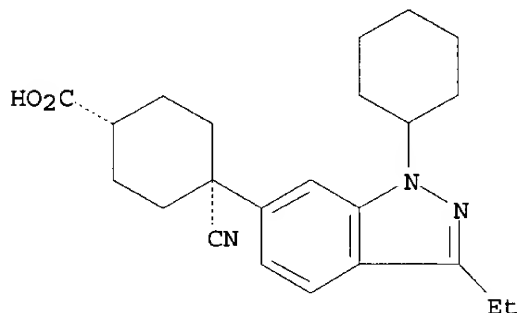
ACCESSION NUMBER: 1998:745039 CAPLUS
 DOCUMENT NUMBER: 130:3843
 TITLE: Processes and intermediates for preparing substituted indazole derivatives
 INVENTOR(S): Caron, Stephane; Eisenbeis, Shane Allen
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 28 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850367	A1	19981112	WO 1998-IB647	19980428
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9868497	A1	19981127	AU 1998-68497	19980428
EP 983249	A1	20000308	EP 1998-913997	19980428
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000513019	T2	20001003	JP 1998-547863	19980428
ZA 9803842	A	19991108	ZA 1998-3842	19980507
US 6011159	A	20000104	US 1999-308954	19990527
PRIORITY APPLN. INFO.:			US 1997-46858	P 19970508
			WO 1998-IB647	W 19980428
OTHER SOURCE(S): MARPAT 130:3843				
IT 199171-88-5P				
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)				
(prepn. of indazoles)				
RN 199171-88-5 CAPLUS				

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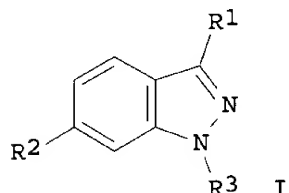
CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-yl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2002 ACS
GI



AB Title compds. [I; R1 = H, (cyclo)alkyl, Ph, etc.; R2 = (un)substituted cyclohex(en)yl or -oxocyclohexyl; R3 = H, (cyclo)alkyl, aralkyl, heterocyclyl, etc.] were prepd. as phosphodiesterase IV and tumor necrosis factor prodn. inhibitors (no data). Thus, 6-bromo-3-ethylindazole (prepn. given) was converted in 3 steps to I (R1 = Et, R2 = CR2CN, R3 = cyclopentyl) (II; R = H) which was biscondensed with CH2:CHCO2Me to give, after cyclization and decarboxylation, II [RR = (CH2CH2)2CO].

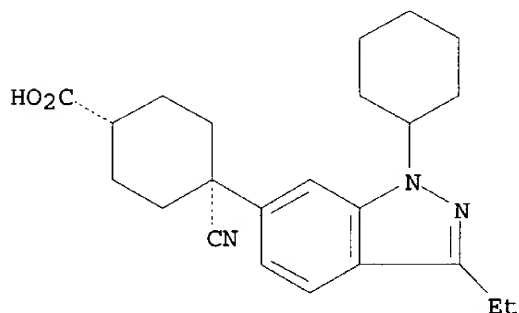
ACCESSION NUMBER: 1997:746035 CAPLUS
DOCUMENT NUMBER: 128:13274
TITLE: Preparation of indazoles as phosphodiesterase IV and tumor necrosis factor production inhibitors
INVENTOR(S): Marfat, Anthony
PATENT ASSIGNEE(S): Pfizer Inc., USA; Marfat, Anthony
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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Delacroix

WO 9742174	A1	19971113	WO 1997-IB323	19970401
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9719373	A1	19971126	AU 1997-19373	19970401
AU 725576	B2	20001012		
EP 912521	A1	19990506	EP 1997-907247	19970401
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO			
CN 1217714	A	19990526	CN 1997-194356	19970401
JP 11508284	T2	19990721	JP 1997-539670	19970401
JP 3148254	B2	20010319		
BR 9709051	A	19990803	BR 1997-9051	19970401
ZA 9703804	A	19981102	ZA 1997-3804	19970502
US 5958953	A	19990928	US 1997-882275	19970625
US 6211222	B1	20010403	US 1997-963904	19971104
NO 9805095	A	19981229	NO 1998-5095	19981102
KR 2000010751	A	20000225	KR 1998-708867	19981103
US 6127398	A	20001003	US 1999-406220	19990927
PRIORITY APPLN. INFO.:			US 1996-16861	P 19960503
			WO 1997-IB323	W 19970401
OTHER SOURCE(S):	MARPAT 128:13274			
IT	199171-88-5P 199171-92-1P			
RL:	BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)			
	(prepn. of indazoles as phosphodiesterase IV and tumor necrosis factor prodn. inhibitors)			
RN	199171-88-5	CAPLUS		
CN	Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-yl)-, cis- (9CI) (CA INDEX NAME)			

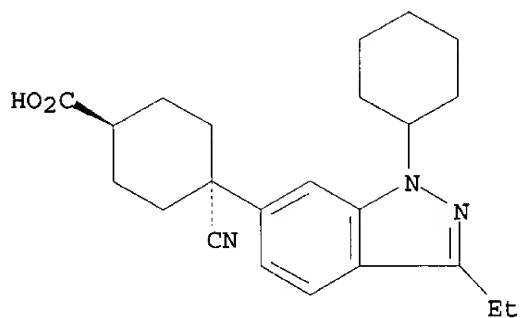
Relative stereochemistry.



RN 199171-92-1 CAPLUS
 CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

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=> d his

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FILE 'REGISTRY' ENTERED AT 23:04:05 ON 21 FEB 2002

L1 STRUCTURE UPLOADED

L2 2 S L1 SSS FULL

FILE 'CAPLUS, USPATFULL' ENTERED AT 23:07:03 ON 21 FEB 2002

L3 13 S L2

L4 13 DUP REM L3 (0 DUPLICATES REMOVED)

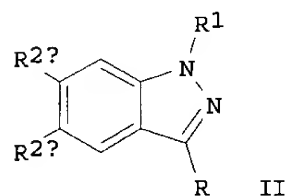
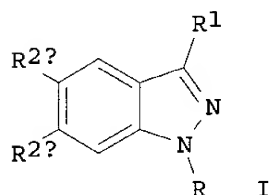
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L5 1 L4 AND (GASTRIC OR GASTROINTESTIN?) AND (HYPOMOTIL? OR STASIS)

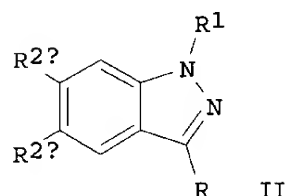
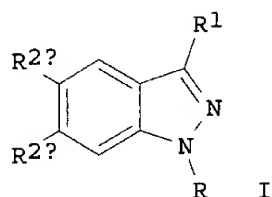
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L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS

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Delacroix



AB **Stasis** due to **hypomotility** of stomach is treated or prevented by administering to a patient a therapeutically effective amt. of indazole derivs. I or II [R = H, C1-9 alkyl, cycloalkylalkyl, C1-6 alkoxyalkyl, C2-6 alkenyl, heterocyclalkyl, etc.; R1 = H, C1-9 alkyl, C2-3 alkenyl, Ph, C3-7 cycloalkyl, cycloalkylalkyl, which may have 0-3 substituent such as Me, Et, CH2F, CHF2, CF3, Br, Cl, F; R2a, R2b = H, substituted cyclohexenyl groups, substituted cyclohexyl (Markush structures given)], thus restoring normal motility. Also claimed are pharmaceutical compns. contg. (i) pharmaceutically-acceptable carriers, (ii) I or II, and (iii) drugs which cause **gastric hypomotility** or related **gastrointestinal** disorders when administered in therapeutically effective amt. (iii) is .gtoreq.1 selected from analgesics acting by inhibition of prostaglandin synthesis, antacids contg. CaCO3 or Al(OH)3, anticholinergics, antidiarrheals, H1 blockers, antihistaminics having anticholinergic effect, antiparkinsonian drugs having anticholinergic effect, BaSO4, corticosteroids, clonidine, diuretics causing hypokalemia, ganglionic blocking agents, heavy metals, laxatives, octreotide, opioids, phenothiazines having anticholinergic effect, polystyrene resin, propranolol, tricyclic antidepressants having anticholinergic effect, and verapamil.

ACCESSION NUMBER: 2000:484036 CAPLUS
DOCUMENT NUMBER: 133:115130
TITLE: Treatment of **gastric hypomotility** with indazole derivatives as phosphodiesterase 4 inhibitors and pharmaceutical compositions containing them
INVENTOR(S): Watson, John Wesley; Andrews, Paul; Woods, Anthony John
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Jpn. Kokai Tokkyo Koho, 159 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000198734	A2	20000718	JP 1999-354017	19991214
EP 1040829	A2	20001004	EP 1999-310202	19991216
EP 1040829	A3	20001018		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.: US 1998-114217 P 19981230

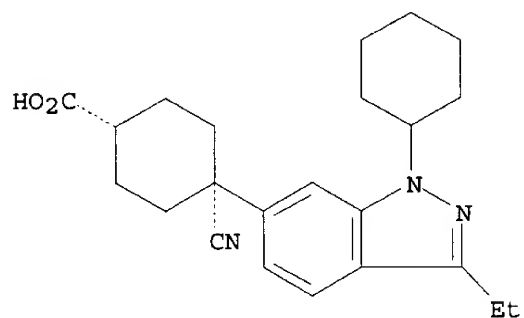
OTHER SOURCE(S): MARPAT 133:115130

- TI Treatment of **gastric hypomotility** with indazole derivatives as phosphodiesterase 4 inhibitors and pharmaceutical compositions containing them
- AB **Stasis** due to **hypomotility** of stomach is treated or prevented by administering to a patient a therapeutically effective amt. of indazole derivs. I or. . . normal motility. Also claimed are pharmaceutical compns. contg. (i) pharmaceutically-acceptable carriers, (ii) I or II, and (iii) drugs which cause **gastric hypomotility** or related **gastrointestinal** disorders when administered in therapeutically effective amt. (iii) is .gtoreq.1 selected from analgesics acting by inhibition of prostaglandin synthesis, antacids. . .
- ST indazole phosphodiesterase 4 inhibitor **gastric hypomotility** treatment; stomach **stasis** treatment
indazole phosphodiesterase 4 inhibitor; dysmotility stomach treatment
indazole phosphodiesterase 4 inhibitor
- IT Antihistamines
(H1, adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)
- IT Analgesics
Antidiarrheals
Antiparkinsonian agents
Cholinergic antagonists
Laxatives
Muscle relaxants
(adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)
- IT Corticosteroids, biological studies
Heavy metals
Opioids
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)
- IT Antacids
(calcium carbonate or aluminum hydroxide, adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)
- IT **Gastrointestinal** motility
(disorder, dysmotility, dysmotility; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)
- IT Nervous system agents
(ganglionic blocking agents, adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)
- IT Diuretics

- (hypokalemia-inducing, adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)
- IT Stomach, disease
(**stasis**; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)
- IT Antidepressants
(tricyclic, adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)
- IT 9036-21-9
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(IV; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)
- IT 52-53-9, Verapamil 525-66-6 4205-90-7, Clonidine 7439-93-2, Lithium, biological studies 7727-43-7, Barium sulfate 9003-53-6, Polystyrene 83150-76-9, Octreotide
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)
- IT 471-34-1, Calcium carbonate, biological studies 21645-51-2, Aluminum hydroxide, biological studies
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(antacid, adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)
- IT 7440-09-7, Potassium, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(hypokalemia, diuretics inducing, adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)
- IT 9001-66-5, Monoamine oxidase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors, adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)
- IT 199171-80-7 199171-81-8 199171-82-9 199171-83-0 199171-84-1
199171-85-2 199171-86-3 199171-87-4 **199171-88-5**
199171-89-6 199171-90-9 199171-91-0 **199171-92-1**
224048-00-4 224048-01-5 224048-02-6 224048-03-7 224048-05-9
224048-06-0 224048-07-1 224048-08-2 224048-09-3 224048-10-6
224048-13-9 224048-14-0 224048-15-1 224048-21-9 224048-23-1
284465-42-5
RL: BAC (Biological activity or effector, except adverse); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)
- IT **199171-88-5 199171-92-1**
RL: BAC (Biological activity or effector, except adverse); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)
- RN 199171-88-5 CAPLUS
- CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-yl)-, cis- (9CI) (CA INDEX NAME)

09/476,253

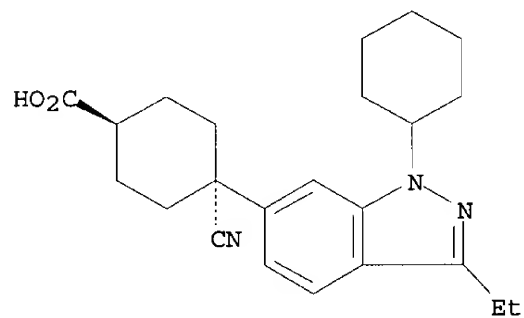
Relative stereochemistry.



RN 199171-92-1 CAPLUS

CN Cyclohexanecarboxylic acid, 4-cyano-4-(1-cyclohexyl-3-ethyl-1H-indazol-6-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



09/476,253

(FILE 'HOME' ENTERED AT 23:00:43 ON 21 FEB 2002)

FILE 'REGISTRY' ENTERED AT 23:04:05 ON 21 FEB 2002

L1 STRUCTURE UPLOADED

L2 2 S L1 SSS FULL

FILE 'CAPLUS, USPATFULL' ENTERED AT 23:07:03 ON 21 FEB 2002

L3 13 S L2

L4 13 DUP REM L3 (0 DUPLICATES REMOVED)

L5 1 S L4 AND (GASTRIC OR GASTROINTESTIN?) AND (HYPOMOTIL? OR STASIS

FILE 'STNGUIDE' ENTERED AT 23:11:06 ON 21 FEB 2002

FILE 'CAPLUS, USPATFULL' ENTERED AT 23:11:23 ON 21 FEB 2002

L6 1 S L4 AND (GASTRIC OR GASTROINTESTIN?)

FILE 'STNGUIDE' ENTERED AT 23:11:34 ON 21 FEB 2002

FILE 'REGISTRY' ENTERED AT 23:38:03 ON 21 FEB 2002

L7 STRUCTURE UPLOADED

L8 13145 S L7 SSS FULL

FILE 'CAPLUS, USPATFULL' ENTERED AT 23:41:41 ON 21 FEB 2002

L9 19 S L8 AND (GASTRIC OR GASTROINTESTIN? OR GASTRO(2A) INTESTIN? OR

L10 19 DUP REM L9 (0 DUPLICATES REMOVED)

FILE 'STNGUIDE' ENTERED AT 23:45:09 ON 21 FEB 2002

FILE 'CAPLUS, USPATFULL' ENTERED AT 23:47:49 ON 21 FEB 2002

FILE 'STNGUIDE' ENTERED AT 23:50:46 ON 21 FEB 2002

=>

09/476,253

FILE 'CAPLUS' ENTERED AT 23:41:41 ON 21 FEB 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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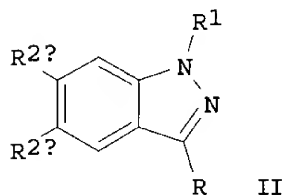
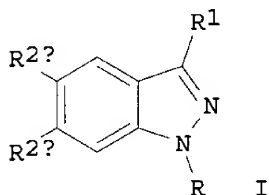
FILE 'USPATFULL' ENTERED AT 23:41:41 ON 21 FEB 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

=> s l8 and (gastric or gastrointestin? or gastro(2a)intestin? or intestinal or
bowel# or colon) and (hypomotil? or stasis)
L9 19 L8 AND (GASTRIC OR GASTROINTESTIN? OR GASTRO(2A) INTESTIN? OR
INTESTINAL OR BOWEL# OR COLON) AND (HYPOMOTIL? OR STASIS)

=> dup rem l9
PROCESSING COMPLETED FOR L9
L10 19 DUP REM L9 (0 DUPLICATES REMOVED)

=> d l10 abs ibib kwic 1-19

L10 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2002 ACS
GI



AB **Stasis** due to **hypomotility** of stomach is treated or prevented by administering to a patient a therapeutically effective amt. of indazole derivs. I or II (R = H, C1-9 alkyl, cycloalkylalkyl, C1-6 alkoxyalkyl, C2-6 alkenyl, heterocyclylalkyl, etc.; R1 = H, C1-9 alkyl, C2-3 alkenyl, Ph, C3-7 cycloalkyl, cycloalkylalkyl, which may have 0-3 substituent such as Me, Et, CH2F, CHF2, CF3, Br, Cl, F; R2a, R2b = H, substituted cyclohexenyl groups, substituted cyclohexyl (Markush structures given)], thus restoring normal motility. Also claimed are pharmaceutical compns. contg. (i) pharmaceutically-acceptable carriers, (ii) I or II, and (iii) drugs which cause **gastric**

hypomotility or related **gastrointestinal** disorders when administered in therapeutically effective amt. (iii) is .gtoreq.1 selected from analgesics acting by inhibition of prostaglandin synthesis, antacids contg. CaCO₃ or Al(OH)₃, anticholinergics, antidiarrheals, H₁ blockers, antihistaminics having anticholinergic effect, antiparkinsonian drugs having anticholinergic effect, BaSO₄, corticosteroids, clonidine, diuretics causing hypokalemia, ganglionic blocking agents, heavy metals, laxatives, octreotide, opioids, phenothiazines having anticholinergic effect, polystyrene resin, propranolol, tricyclic antidepressants having anticholinergic effect, and verapamil.

ACCESSION NUMBER: 2000:484036 CAPLUS
DOCUMENT NUMBER: 133:115130
TITLE: Treatment of **gastric hypomotility** with indazole derivatives as phosphodiesterase 4 inhibitors and pharmaceutical compositions containing them
INVENTOR(S): Watson, John Wesley; Andrews, Paul; Woods, Anthony John
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Jpn. Kokai Tokkyo Koho, 159 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000198734	A2	20000718	JP 1999-354017	19991214
EP 1040829	A2	20001004	EP 1999-310202	19991216
EP 1040829	A3	20001018		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.: US 1998-114217 P 19981230
OTHER SOURCE(S): MARPAT 133:115130

TI Treatment of **gastric hypomotility** with indazole derivatives as phosphodiesterase 4 inhibitors and pharmaceutical compositions containing them

AB **Stasis** due to **hypomotility** of stomach is treated or prevented by administering to a patient a therapeutically effective amt. of indazole derivs. I or II [R = H, C₁-9 alkyl, cycloalkylalkyl, C₁-6 alkoxyalkyl, C₂-6 alkenyl, heterocyclylalkyl, etc.; R₁ = H, C₁-9 alkyl, C₂-3 alkenyl, Ph, C₃-7 cycloalkyl, cycloalkylalkyl, which may have 0-3 substituent such as Me, Et, CH₂F, CHF₂, CF₃, Br, Cl, F; R_{2a}, R_{2b} = H, substituted cyclohexenyl groups, substituted cyclohexyl (Markush structures given)], thus restoring normal motility. Also claimed are pharmaceutical comps. contg. (i) pharmaceutically-acceptable carriers, (ii) I or II, and (iii) drugs which cause **gastric hypomotility** or related **gastrointestinal** disorders when administered in therapeutically effective amt. (iii) is .gtoreq.1 selected from analgesics acting by inhibition of prostaglandin synthesis, antacids contg. CaCO₃ or Al(OH)₃, anticholinergics, antidiarrheals, H₁ blockers, antihistaminics having anticholinergic effect, antiparkinsonian drugs having anticholinergic effect, BaSO₄, corticosteroids, clonidine, diuretics causing hypokalemia, ganglionic blocking agents, heavy metals, laxatives, octreotide, opioids, phenothiazines having anticholinergic effect, polystyrene resin, propranolol, tricyclic antidepressants having anticholinergic effect, and verapamil.

ST indazole phosphodiesterase 4 inhibitor **gastric hypomotility** treatment; stomach **stasis** treatment
indazole phosphodiesterase 4 inhibitor; dysmotility stomach treatment
indazole phosphodiesterase 4 inhibitor

IT Antihistamines
(H1, adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)

IT Analgesics
Antidiarrheals
Antiparkinsonian agents
Cholinergic antagonists
Laxatives
Muscle relaxants
(adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)

IT Corticosteroids, biological studies
Heavy metals
Opioids
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)

IT Antacids
(calcium carbonate or aluminum hydroxide, adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)

IT **Gastrointestinal** motility
(disorder, dysmotility, dysmotility; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)

IT Nervous system agents
(ganglionic blocking agents, adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)

IT Diuretics
(hypokalemia-inducing, adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)

IT Stomach, disease
(**stasis**; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)

IT Antidepressants
(tricyclic, adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)

IT 9036-21-9
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(IV; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)

IT 52-53-9, Verapamil 525-66-6 4205-90-7, Clonidine 7439-93-2, Lithium, biological studies 7727-43-7, Barium sulfate 9003-53-6, Polystyrene 83150-76-9, Octreotide
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)

- inhibitors)
- IT 471-34-1, Calcium carbonate, biological studies 21645-51-2, Aluminum hydroxide, biological studies
 RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
 (antacid, adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)
- IT 7440-09-7, Potassium, biological studies
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (hypokalemia, diuretics inducing, adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)
- IT 9001-66-5, Monoamine oxidase
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (inhibitors, adverse effect; treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)
- IT 199171-80-7 199171-81-8 199171-82-9
 199171-83-0 199171-84-1 199171-85-2
 199171-86-3 199171-87-4 199171-88-5
 199171-89-6 199171-90-9 199171-91-0
 199171-92-1 224048-00-4 224048-01-5
 224048-02-6 224048-03-7 224048-05-9
 224048-06-0 224048-07-1 224048-08-2
 224048-09-3 224048-10-6 224048-13-9
 224048-14-0 224048-15-1 224048-21-9
 224048-23-1 284465-42-5
 RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (treatment of **gastric hypomotility** causing **stasis** with indazole derivs. as phosphodiesterase 4 inhibitors)

L10 ANSWER 2 OF 19 USPATFULL

AB This invention relates to novel amide derivatives of certain 2,6-methano-2H-quinolizine-type compounds, to the intermediates and processes for their preparation, to their ability to antagonize the effects of serotonin at the 5HT.sub.3 receptors, and to their end-use application in the treatment of chemotherapeutically-induced nausea and vomiting, as anti-anxiety agents, in the symptomatic treatment of pain associated with migraine, as anti-arrhythmic agents, in the treatment of cognitive disorders, in treating hallucinatory endogenous psychoses of the type manifested in patients suffering from schizophrenia, and mania, in the treatment of glaucoma, for stimulating **gastric** motility, to combat drug abuse, to treat sleep apnea and to treat irritable **bowel** syndrome.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 1999:113756 USPATFULL
 TITLE: Derivatives of amide analogs of certain methano bridged quinolizines
 INVENTOR(S): Gittos, Maurice W., Plobsheim, France
 PATENT ASSIGNEE(S): Merrell Pharmaceuticals, Inc., Cincinnati, OH, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5955470		19990921
APPLICATION INFO.:	US 1998-181888		19981029 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1996-589905, filed on 23 Jan 1996, now abandoned which is a continuation-in-part of Ser. No. US 1995-450038, filed on 25 May 1995, now abandoned which is a continuation of Ser. No. US 1994-348001, filed on 1 Dec 1994, now abandoned which is a continuation of Ser. No. US 1993-141438, filed on 22 Oct 1993, now abandoned which is a continuation of Ser. No. US 1992-894311, filed on 4 Jun 1992, now abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	EP 1991-401550	19910611
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Shah, Mukund J.	
ASSISTANT EXAMINER:	Coleman, Brenda	
LEGAL REPRESENTATIVE:	Gupta, Balaram	
NUMBER OF CLAIMS:	23	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1155	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB . . . endogenous psychoses of the type manifested in patients suffering from schizophrenia, and mania, in the treatment of glaucoma, for stimulating **gastric** motility, to combat drug abuse, to treat sleep apnea and to treat irritable **bowel** syndrome.

SUMM . . . endogenous psychoses of the type manifested in patients suffering from schizophrenia, and mania, in the treatment of glaucoma, for stimulating **gastric** motility, to combat drug abuse, to treat sleep apnea and to treat irritable **bowel** syndrome.

DETD (a) the phrase "**gastric** motility", refers to the rate at which the stomach empties its contents into the duodenum.

DETD The compounds of Formula I exhibit the pharmacological action increasing the motility of the upper **gastrointestinal** tract. This means that the compounds increase the rate at which the stomach empties its contents into the duodenum.

DETD Thus, the compounds are useful in the treatment of **gastric stasis**. **Gastric stasis** refers to a condition where the stomach's ability to empty its contents into the duodenum is impaired. This typically produces discomfort. . . .

DETD . . . compounds are also useful in the treatment of gastroesophageal reflux. Gastroesophageal reflux refers to a condition, where small quantities of **gastric** juice are refluxed into the lower part of the esophagus. The acid **gastric** juice irritates the mucosa of the esophagus causing pain and discomfort in the patient.

DETD The quantity of compound required to produce this **gastric** motility stimulating effect described above will vary with the particular compound utilized, the patient, the route of administration, the severity. . . .

DETD One method of demonstrating that the compounds of Formula I increase **gastric** motility is the following test protocol. Male mice should be fasted overnight prior to being utilized in the test. One. . . .

DETD . . . then be compared utilizing the change in weight of the stomach after washing, as an indicator of the rate of **gastric** emptying.

DETD The compounds of Formula (I) exhibit pharmacological activity in treating irritable **bowel** syndrome. Irritable **bowel**

syndrome is believed to be the consequence of altered colonic motility. Patients complain of constipation or diarrhea and pain. It is believed that 5-HT₃ antagonist may be used to treat irritable bowel syndrome [Gut 31: A1174 (1990); Gastroenterology 98: A394 (1990); Gastroenterology 100: A468 (1991); Gut 32: A1228 (1991)].

DETD The dosage range at which the compounds of Formula (I) exhibit their ability to treat irritable bowel syndrome may vary with the compound used, the patients' condition, the route of administration, etc. Generally though, a patients' condition. . .

DETD . . . treatment of arrhythmia, in the treatment of cognitive disorders, psychosis e.g. schizophrenia and for combatting drug abuse, glaucoma, in stimulating gastric motility, to treat sleep apnea and to treat irritable bowel syndrome.

IT 4498-67-3P, Indazole-3-carboxylic acid 50890-83-0P,
1-Methylindazole-3-carboxylic acid 106649-02-9P
109216-60-6P 115956-07-5P 148000-74-2P 148000-75-3P
148000-76-4P 148028-46-0P

(prepn. and reaction of, in prepn. of S3 antagonists)

IT 148000-77-5P 148000-78-6P 148000-79-7P
148000-80-0P 148000-81-1P
(prepn. of, as S3 antagonist)

L10 ANSWER 3 OF 19 USPATFULL

AB Benzo[b][1,4]diazepine compounds of formula (I), where R^{sup.1} is selected from C_{sub.1} C_{sub.6} alkyl, C_{sub.3} -C_{sub.6} cycloalkyl, phenyl, or substituted phenyl; R^{sup.2} is selected from C_{sub.3} -C_{sub.6} alkyl, C_{sub.3} C_{sub.6} cycloalkyl, C_{sub.3} -C_{sub.6} alkenyl, benzyl, phenylC_{sub.1} -C_{sub.3} alkyl of substituted phenyl; or NR^{sup.1} R^{sup.2} together form 1,2,3,4-tetrahydroquinoline or benzazepine, mono-, di-, or trisubstituted independently with C_{sub.1-6} alkyl C_{sub.1-6} alkoxy or halogen substituents; p is an integer 0 or 1; q is an integer 0 or 1; r is an integer 0 or 1; t is an integer 0 or 1, provided that when r is 0 then t is 0; R^{sup.3}, R^{sup.5}, and R^{sup.6} are independently hydrogen or C_{sub.1-6} alkyl; R^{sup.4} is C_{sub.1-6} alkyl or C_{sub.1-6} alkenyl; R^{sup.7} is selected from the group consisting of hydrogen, C_{sub.1-6} alkyl, C_{sub.1-6} cycloalkyl, C_{sub.1-6} alkenyl, phenyl, substituted phenyl, naphthyl, heteroaryl, substituted heteroaryl, bicycloheteroaryl or substituted bicycloheteroaryl; or NR^{sup.6} R^{sup.7} together form a saturated 5,6, or 7 membered ring optionally interrupted by 1,2,3 or 4 N, S or O heteroatoms, with the proviso that any two O or S atoms are not bonded to each other, m is an integer selected from the group of 0, 1, 2, 3 or 4; R^{sup.8} and R^{sup.9} are selected from a variety of substituents; Z is hydrogen or halogen; novel intermediates, a pharmaceutical composition for treating obesity, gall bladder stasis, disorders of pancreatic secretion, methods for such treatment and processes for preparing compounds of formula (I).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 1999:4664 USPATFULL

TITLE: CCK or gastrin modulating benzo [b][1,4] diazepines derivatives

INVENTOR(S): Aquino, Christopher Joseph, Long Beach, WA, United States
Brackeen, Marcus, Durham, NC, United States
Dezube, Milana, Chapel Hill, NC, United States
Henke, Brad Richard, Cary, NC, United States
Hirst, Gavin Charles, Marlboro, MA, United States
Jeffs, Peter Walter, Chapel Hill, NC, United States

Momtachen, Tanya, Raleigh, NC, United States
 Sugg, Elizabeth Ellen, Durham, NC, United States
 Suh, Edward Martin, Chapel Hill, NC, United States
 Willson, Timothy Mark, Durham, NC, United States
 PATENT ASSIGNEE(S): Glaxo Wellcome Inc., Research Triangle Park, NC, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5859007		19990112
	WO 9528391		19951026 ##STR1##
APPLICATION INFO.:	US 1996-722051		19961114 (8)
	WO 1995-EP1336		19950413
			19961114 PCT 371 date
			19961114 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1994-7467	19940415
	GB 1994-20700	19941014
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Shah, Mukund J.	
ASSISTANT EXAMINER:	Ngo, Tamthom T.	
LEGAL REPRESENTATIVE:	Smith, Gardiner F. H., Makujina, Shah R., Brink, Robert H.	
NUMBER OF CLAIMS:	16	
EXEMPLARY CLAIM:	1	
LINE COUNT:	6128	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB . . . from a variety of substituents; Z is hydrogen or halogen;
 novel intermediates, a pharmaceutical composition for treating obesity,
 gall bladder **stasis**, disorders of pancreatic secretion,
 methods for such treatment and processes for preparing compounds of
 formula (I).

SUMM Cholecystokinin (CCK) is a peptide found in the **gastrointestinal**
 tract and the central nervous system. see A. J. Prange et al., Ann.
 Reports Med. Chem. 17, 31, 33 (1982), J. A. Williams, i Biomed Res. 3,
 107 (1982) and V. Mutt, **Gastrointestinal** Hormones, G. B. J.
 Green, Ed., Raven Press, N.Y. CCK has been implicated inter alia as a
 physiological satiety hormone. . . Eds, Raven Press, New York, 67
 (1984), as a regulator of gallbladder contraction and pancreatic enzyme
 secretion, an inhibitor of **gastric** emptying, and as a
 neurotransmitter, see A. J. Prange, supra, J. A. Williams, Biomed Res.,
 3, 107 (1982), J. E. Morley, Life Sci. 30, 479, (1982). Gastrin is a
 peptide involved in **gastric** acid and pepsin secretion in the
 stomach, see L. Sandvik, et al., American J. Physiology, 260, G925
 (1991), C. W. . .

SUMM . . . and improving the cardiovascular and non-insulin dependent
 diabetes problems associated with these overweight conditions, and for
 treating obesity, gall bladder **stasis** and disorders of
 pancreatic secretion.

SUMM CCK has been shown to inhibit **gastric** emptying in humans and
 is thus useful for treatment of diabetes, particularly early
 noninsulin-dependent diabetes, through maintenance of the following. .

SUMM 5. MEASUREMENT OF ACID SECRETION IN **GASTRIC** FISTULA RAT
 SUMM **Gastric** fistula rats are prepared according to the methods

described by Dimaline, Carter and Barnes (Am. J. Physiol., 251, G615-G618 (1986)).. . . (200 g) are anaesthetized using a mixture of nitrous oxide, isoflurane and oxygen gas to allow the implantation of a **gastric** fistula. The abdomen is opened with a midline incision and the stomach exteriorised. A small incision is made in the. . .

SUMM After a 60 minute acclimatization period, **gastric** secretion is collected every 15 minutes by drainage into pre-weighed pots. During the acclimatization period, a saline infusion (3.5 ml/hour). . .

SUMM Collected samples are weighed and the volume of secretions determined. The **gastric** acid concentration of each 15 minute collection is determined by titration to pH 7.0 with 0.1M NaOH using radiometer autotitrator. . .

SUMM . . . a Heidenhain pound by a veterinary surgeon according to the methods described by Emas, Swan and Jacobsen (Methods of Studying **Gastric** Secretion, Chapter 42, pp. 749-751, Handbook of Physiology, Section 6, Alimentary Canal. Ed: Code CF. Pub: American Physiology Society). Animals. . . recover from surgery prior to experimental use. For measurement of acid secretion, dogs are starved overnight, with water ad libitum. **Gastric** juice is collected from the Heidenhain pouch at 15 min. intervals and total acid output determined by automatic titration to. . .

SUMM 7. RAT **GASTRIC** EMPTYING PROTOCOL

SUMM TABLE 1

Functional activity of compounds in CCK-A agonist isolated guinea pig gallbladder preparation assay and in **gastric** emptying assay.

Isolated guinea pig
gallbladder:

rat **gastric** emptying:

% contraction

% emptying

Vehicle.sup.A --	66
CCK8.sup.B 100	0
CCK-8 and CCK-A	
--	52
antagonist.sup.C	
CCK-8 and CCK-B	
--	0
antagonist.sup.D	
CCK-A agonist 1.sup.E	
87	6
CCK-A agonist 2.sup.F	
100	2.5

.sup.A 0.5% methyl cellulose was used as a test vehicle in the **gastric** emptying assay.

.sup.B CCKB is the Cterminal octapeptide of CCK, delivered at 1 .mu.M in the gallbladder assay, administered intraperitoneally at 30 nmoles/kg in the **gastric** emptying assay.

.sup.C CCKA antagonist is MK329, see Evans, B. E., et al, Proc. Nat. Acad Sci. (83), 4918-1922 (1986), administered intraperitoneally at .5

.mu.moles/kg in the **gastric** emptying assay.

.sup.D CCKB antagonist is L365,260, see Bock, M. G. et al, J. Med. Chem., (32), 16-23 (1989), administered intraperitoneally at .5 nmoles/kg in the **gastric** emptying assay.

.sup.E CCKA agonist 1 is

2[3(1H-Indazol-3-ylmethyl)-2,4-dioxo-5-phenyl-2,3,4,5-tetrahydro-benzo[b]

1,4]diazepin-1-yl-N-isopropyl-N-(4-methoxy-phenyl)acetamide, delivered at 30 .mu.M in the gallbladder assay, administered intraperitoneally at 0.1 .mu.moles/kg in the **gastric** emptying assay. Example 31 below.
 .sup.F CCKA agonist 2 is

2-[3-(1H-indazol-3-ylmethyl)-2,4-dioxo-5-(2-pyridinyl)-2,3,4,5-tetrahydro-benzo[b][1,4]diazepin-1-yl-N-isopropyl-N-(4-methoxy-phenyl)acetamide, delivered at 30 .mu.M in the gallbladder assay, administered intraperitoneally at 0.1 .mu.moles/kg in the **gastric** emptying assay.

SUMM . . . tablets and capsules for treatment of obesity and its related conditions, for treatment of diabetes and related conditions, for improving **gastrointestinal** motility, modifying pancreatic enzyme secretions, inducing gallbladder contraction, modifying food intake, inducing satiety and reducing anxiety should be suitable for.

IT 174180-26-8P 174180-27-9P 174181-41-0P 174181-42-1P 174181-43-2P
 174181-44-3P 174181-45-4P 174181-46-5P 174181-47-6P 174181-48-7P
 174181-49-8P 174181-50-1P 174181-51-2P 174181-52-3P 174181-53-4P
 174181-54-5P 174181-55-6P 174181-56-7P 174181-57-8P 174181-58-9P
 174181-59-0P 174181-60-3P 174181-61-4P 174181-62-5P 174181-63-6P
 174181-64-7P 174181-65-8P 174181-66-9P 174181-67-0P
174181-68-1P 174181-69-2P 174181-70-5P 174181-71-6P
 174181-72-7P 174181-73-8P 174181-74-9P 174181-75-0P 174181-76-1P
 174181-77-2P 174181-78-3P **174181-79-4P 174181-80-7P**
174181-81-8P 174181-82-9P 174181-83-0P 174181-84-1P
 174181-85-2P **174181-86-3P** 174181-87-4P 174181-88-5P
 174181-89-6P **174181-90-9P** 174181-91-0P 174181-92-1P
 174181-93-2P **174181-94-3P 174181-95-4P**
174181-96-5P 174181-97-6P 174181-98-7P
174181-99-8P 174182-00-4P 174182-01-5P
174182-02-6P 174182-03-7P 174182-04-8P
174182-05-9P 174182-06-0P 174182-07-1P
174182-08-2P 174182-09-3P 174182-10-6P
174182-11-7P 174182-12-8P 174182-13-9P
174182-14-0P 174182-15-1P 174182-16-2P
174182-17-3P 174182-18-4P 174182-19-5P
174182-20-8P 174182-21-9P 174182-22-0P 174182-23-1P
 174182-24-2P 174182-25-3P

(prepn. of cholecystikinin and gastrin receptor-antagonist
 1,5-benzodiazepindiones)

IT 62-53-3, Benzenamine, reactions 67-64-1, 2-Propanone, reactions
 88-74-4 90-04-0 95-54-5, 1,2-Benzenediamine, reactions 95-56-7
 100-39-0 100-58-3 100-61-8, reactions 102-52-3 104-94-9
 105-53-3 106-49-0, reactions 106-95-6, reactions 109-04-6
 120-92-3, Cyclopentanone 364-74-9 364-83-0 371-40-4 450-95-3
 455-14-1 461-82-5 534-85-0 536-90-3 582-33-2 623-47-2
 626-55-1 872-31-1 937-33-7 1003-09-4 1070-89-9 1073-06-9
 1201-68-9 1663-67-8, Propanedioyl dichloride 1800-60-8 2049-80-1
 3770-50-1 4492-02-8 **4498-67-3**, 1H-Indazole-3-carboxylic acid
 4780-79-4, 1-Naphthalenemethanol 5018-30-4 5281-63-0 14268-66-7,
 1,3-Benzodioxol-5-amine 20577-61-1 22316-50-3 24424-99-5
 26146-77-0 31230-17-8 34535-98-3 35000-38-5 37517-81-0
 40949-94-8 49799-48-6, 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione
 70441-63-3 92146-82-2 96551-21-2 **109216-60-6** 161455-90-9
 161455-96-5 161455-97-6 173944-88-2 174180-28-0 174180-30-4
 174180-31-5 174180-32-6 **174180-33-7** 174180-34-8
 174180-35-9 174180-36-0 **174180-37-1** 174180-38-2
 174180-39-3 **174180-40-6** 174180-41-7 **174180-42-8**
174180-43-9

(prepn. of cholecystokinin and gastrin receptor-antagonist
1,5-benzodiazepindiones)

IT 1578-96-7P 3163-27-7P 3176-62-3P 4687-23-4P,
3-Benzofuranmethanol 4687-24-5P 10368-14-6P 10436-75-6P
25016-17-5P 31143-05-2P 38281-49-1P 64856-16-2P 82071-69-0P
116834-96-9P 131427-21-9P 145324-80-7P 161455-95-4P
173944-86-0P 173944-87-1P 173944-91-7P 173944-93-9P 173944-94-0P
173944-95-1P 173944-96-2P 173944-97-3P 174180-29-1P 174180-44-0P
174180-45-1P 174180-46-2P 174180-47-3P 174180-48-4P 174180-49-5P
174180-50-8P 174180-51-9P 174180-52-0P 174180-53-1P
174180-54-2P 174180-55-3P 174180-56-4P
174180-57-5P 174180-58-6P 174180-59-7P 174180-60-0P
174180-61-1P 174180-62-2P 174180-63-3P 174180-64-4P 174180-65-5P
174180-66-6P 174180-67-7P 174180-68-8P 174180-69-9P
174180-70-2P 174180-71-3P 174180-72-4P 174180-73-5P
174180-74-6P 174180-75-7P 174180-76-8P 174180-77-9P 174180-78-0P
174180-79-1P 174180-80-4P 174180-81-5P 174180-82-6P
174180-83-7P 174180-84-8P 174180-85-9P 174180-86-0P
174180-87-1P 174180-88-2P 174180-89-3P 174180-90-6P
174180-91-7P 174180-92-8P 174180-93-9P 174180-94-0P
174180-95-1P 174180-96-2P 174180-97-3P 174180-98-4P
174180-99-5P 174181-00-1P 174181-01-2P 174181-02-3P
174181-03-4P 174181-04-5P 174181-05-6P
174181-06-7P 174181-07-8P 174181-08-9P 174181-09-0P
174181-10-3P 174181-11-4P 174181-12-5P 174181-13-6P
174181-14-7P 174181-15-8P 174181-16-9P 174181-17-0P
174181-18-1P 174181-19-2P 174181-20-5P 174181-21-6P
174181-22-7P 174181-23-8P 174181-24-9P 174181-25-0P
174181-26-1P 174181-27-2P 174181-28-3P 174181-29-4P
174181-30-7P 174181-31-8P 174181-32-9P 174181-33-0P
174181-34-1P 174181-35-2P 174181-36-3P 174181-37-4P
174181-38-5P 174181-39-6P 174181-40-9P

(prepn. of cholecystokinin and gastrin receptor-antagonist
1,5-benzodiazepindiones)

L10 ANSWER 4 OF 19 USPATFULL

AB A method of inducing a Cholecystokinin-A receptor agonist response in a mammal by administering a compound of formula (I), ##STR1## where R.sup.1 is C.sub.1-C.sub.6 alkyl, C.sub.3-6 cycloalkyl, phenyl, or substituted phenyl; R.sup.2 is C.sub.3-6 alkyl, C.sub.3-6 cycloalkyl, C.sub.3-6 alkenyl, benzyl, phenyl, C.sub.1-3 alkyl or substituted phenyl; or NR.sup.1 R.sup.2 together form 1,2,3,4-tetrahydroquinoline or benzazepine mono-, di-, or trisubstituted independently with C.sub.1-6 alkyl, C.sub.1-6 alkoxy or halogen substituents; n is an integer selected from the grouping consisting of 0,1,2 or 3; p is the integer 0 or 1; q is the integer 0 or 1; r is the integer 0 or 1, provided that when q is 0 then r is 0; R.sup.3, R.sup.4, R.sup.5 and R.sup.8 are selected from a variety of substituents; X is nitrogen, nitroso or R.sup.8; m is an integer selected from the group consisting of 0, 1, 2 or 3; Y and Z are hydrogen or halogen, novel intermediates, a pharmaceutical composition for treating obesity, gall bladder stasis, disorders of pancreatic secretion, methods for such treatment and processes for preparing compounds of formula (I).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 1998:98911 USPATFULL

TITLE: Method of inducing cholecystokinin agonist activity
using 1,4- Benzodiazepine compounds

INVENTOR(S): Aquino, Christopher Joseph, Long Beach, WA, United States
 Dezube, Milana, Chapel Hill, NC, United States
 Sherrill, Ronald George, Cary, NC, United States
 Sugg, Elizabeth Ellen, Durham, NC, United States
 Szewczyk, Jerzy Ryszard, Chapel Hill, NC, United States
 Willson, Timothy Mark, Durham, NC, United States
 PATENT ASSIGNEE(S): Glaxo Wellcome Inc., Research Triangle Park, NC, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5795887		19980818
	WO 9528399		19951026
APPLICATION INFO.:	US 1996-718552		19961011 (8)
	WO 1995-EP1335		19950413
			19961011 PCT 371 date
			19961011 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1994-7468	19940415
	GB 1994-7499	19940415
	GB 1994-20699	19941014
	GB 1994-20702	19941014
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Shah, Mukund J.	
ASSISTANT EXAMINER:	Ngo, Tamthom T.	
LEGAL REPRESENTATIVE:	Brink, Robert H., Makujina, Shah R.	
NUMBER OF CLAIMS:	12	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3406	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB . . . 2 or 3; Y and Z are hydrogen or halogen, novel intermediates, a pharmaceutical composition for treating obesity, gall bladder **stasis**, disorders of pancreatic secretion, methods for such treatment and processes for preparing compounds of formula (I).

SUMM . . . and to a method of inducing a CCK-A receptor agonist response in a mammal in need of treatment for a **gastrointestinal** or central nervous system related disease.

SUMM Cholecystokinin (CCK) is a peptide found in the **gastrointestinal** tract and the central nervous system. see A. J. Prange et al., Ann. Reports Med. Chem. 17, 31, 33 (1982), J. A. Williams, Biomed Res. 3, 107 (1982) and V. Mutt, **Gastrointestinal** Hormones, G. B. J. Green, Ed., Raven Press, New York, 169. CCK has been implicated inter alia as a physiological. . . Eds, Raven Press, New York, 67 (1984), as a regulator of gallbladder contraction and pancreatic enzyme secretion, an inhibitor of **gastric** emptying, and as a neurotransmitter, see A. J. Prange, supra, J. A. Williams, Biomed Res., 3,107 (1982), J. E. Morley, Life Sci. 30, 479, (1982). Gastrin is a peptide involved in **gastric** acid and pepsin secretion in the stomach, see L. Sandvik, et al, American J. Physiology, 260, G925 (1991), C. W. . .

SUMM . . . and improving the cardiovascular and non-insulin dependent diabetes problems associated with these overweight conditions, and for treating obesity, gall bladder **stasis** and disorders of pancreatic secretion.

SUMM CCK has been shown to inhibit **gastric** emptying in humans and

is thus useful for treatment of diabetes, particularly early noninsulin-dependent diabetes, through maintenance of the following.

- SUMM . . . provides a novel method of inducing a Cholecystokinin-A receptor agonist response in a mammal in need of treatment of a **gastrointestinal** or central nervous system related disease which comprises administering to such mammal an effective amount of a 1,4-benzodiazepine compound of.
- SUMM 5. MEASUREMENT OF ACID SECRETION IN **GASTRIC** FISTULA RAT
- SUMM **Gastric** fistula rats are prepared according to the methods described by Dimoline, Carter and Barnes (Am. J. Physiol., 251, G615-G618 (1986)). (200 g) are anaesthetized using a mixture of nitrous oxide, isoflurane and oxygen gas to allow the implantation of a **gastric** fistula. The abdomen is opened with a midline incision and the stomach exteriorised. A small incision is made in the.
- SUMM After a 60 minute acclimatization period, **gastric** secretion is collected every 15 minutes by drainage into pre-weighed pots. During the acclimatization period, a saline infusion (3.5 ml/hour).
- SUMM Collected samples are weighed and the volume of secretions determined. The **gastric** acid concentration of each 15 minute collection is determined by titration to pH 7.0 with 0.1M NaOH using radiometer autotitrator.
- SUMM . . . a Heidenhain pound by a veterinary surgeon according to the methods described by Emas, Swan and Jacobsen (Methods of Studying **Gastric** Secretion, Chapter 42, pp. 749-751, Handbook of Physiology, Section 6, Alimentary Canal. Ed: Code CF. Pub: American Physiology Society). Animals. . . recover from surgery prior to experimental use. For measurement of acid secretion, dogs are starved overnight, with water ad libitum. **Gastric** juice is collected from the Heidenhain pouch at 15 min. intervals and total acid output determined by automatic titration to.
- SUMM 7. RAT **GASTRIC** EMPTYING PROTOCOL
- SUMM TABLE 2

Functional activity of compounds in CCK-A agonist isolated guinea pig gallbladder preparation assay and in **gastric** emptying assay.

Isolated guinea pig
gallbladder:

rat **gastric** emptying:

% contraction

% emptying

Vehicle.sup.A --	66
CCK-8.sup.B 100	0
CCK-8 and CCK-A	
--	52
antagonist.sup.C	
CCK-8 and CCK-B	
--	0
antagonist.sup.D	
CCK-A agonist 1.sup.E	
87	6
CCK-A agonist 2.sup.F	
100	2.5

.sup.A 0.5% methyl cellulose was used as a test vehicle in the **gastric** emptying assay.

.sup.B CCK8 is the Cterminal octapeptide of CCK, delivered at 1 .mu.M in

the gallbladder assay, administered intraperitoneally at 30 nmoles/kg in the **gastric** emptying assay.

.sup.C CCKA antagonist is MK329, see Evans, B. E., et al, Proc. Nat. Acad. Sci. (83), 4918-1922 (1986), administered intraperitoneally at .5

.mu.moles/kg in the **gastric** emptying assay.

.sup.D CCKB antagonist is L365,260, see Bock, M. G. et al, J. Med. Chem., (32), 16-23 (1989), administered intraperitoneally at .5 .mu.moles/kg in the **gastric** emptying assay.

.sup.E CCKA agonist 1 is

2[3(1H-Indazol-3-ylmethyl)-2,4-dioxo-5-phenyl-2,3,4,5-tetrahydro-benzo[b]1,4]diazepin-1-yl-N-isopropyl-N-(4-methoxy-phenyl) acetamide, delivered at 3 .mu.M in the gallbladder assay, administered intraperitoneally at 0.1

.mu.moles/kg in the **gastric** emptying assay.

.sup.F CCKA agonist 2 is

2[3(1H-Indazol-3-ylmethyl)-2,4-dioxo-5-(2-pyridinyl)-2,3,4,5-tetrahydro-benzo[b]1,4]diazepin-1-yl-N-isopropyl-N-(4-methoxy-phenyl) acetamide, delivered at 30 .mu.M in the gallbladder assay, administered intraperitoneally at 0.1 .mu.moles/kg in the **gastric** emptying assay.

SUMM . . . tablets and capsules for treatment of obesity and its related conditions, for treatment of diabetes and related conditions, for improving **gastrointestinal** motility, modifying pancreatic enzyme secretions, inducing gallbladder contraction, modifying food intake, inducing satiety and reducing anxiety should be suitable for. .

CLM What is claimed is:

1. A method of inducing a Cholecystokinin-A receptor agonist response in a mammal in need of treatment of a **gastrointestinal** or central nervous system related disease which comprises administering to such mammal a therapeutically effective amount of a 1,4-benzodiazepine compound. . .

IT 173459-12-6P 173459-13-7P 173459-14-8P **173459-15-9P**
173459-16-0P 173459-17-1P 173459-18-2P 173459-19-3P 173459-20-6P
173459-21-7P 173459-22-8P 173459-23-9P 173459-24-0P 173459-25-1P
173459-26-2P 173459-27-3P 173459-28-4P 173459-29-5P 173459-30-8P
173459-31-9P 173459-32-0P 173459-33-1P 173459-34-2P 173459-35-3P
173459-36-4P 173459-37-5P **173459-82-0P 173459-83-1P**

(prepn. of 1,4-benzodiazepin-2-one-1-acetamides as cholecystokinin-A receptor agonists)

IT 62-53-3, Benzenamine, reactions 67-64-1, Acetone, reactions 73-22-3, L-Tryptophan, reactions 89-77-0, 4-Chloro-2-aminobenzoic acid 99-98-9, N,N-Dimethylbenzene-1,4-diamine 103-71-9, reactions 104-94-9, p-Anisidine 118-48-9, Isatoic anhydride 121-90-4, 3-Nitrobenzoyl chloride 153-94-6, D-Tryptophan 613-89-8, 2-Aminoacetophenone 768-52-5, N-Isopropylaniline 865-47-4, Potassium tert-butoxide 1477-50-5, Indole-2-carboxylic acid 1517-69-7, (R)-1-Phenylethanol 2237-30-1, 3-Aminobenzonitrile 2835-77-0, 2-Aminobenzophenone 2898-08-0 **2942-42-9**, 7-Nitro-1H-indazole 3432-80-2 5292-43-3, tert-Butyl bromoacetate 7693-46-1, 4-Nitrophenyl chloroformate 96551-21-2, 3-Bromomethyl-1-(tert-butoxycarbonyl)indole 145878-38-2 173459-80-8 173459-81-9

(prepn. of 1,4-benzodiazepin-2-one-1-acetamides as cholecystokinin-A receptor agonists)

IT 3967-05-3P 16495-67-3P, N-Isopropyl-4-methoxybenzeneamine 58287-23-3P 58656-99-8P, 3-Nitrobenzoic acid, tert-butyl ester 92146-82-2P, 3-Aminobenzoic acid, tert-butyl ester 106849-46-1P 157837-04-2P 161455-96-5P 161455-97-6P, 2-Bromo-N-Isopropyl-N-phenylacetamide 173459-38-6P, N-Isopropyl-N',N'-dimethylbenzene-1,4-diamine 173459-39-7P 173459-40-0P 173459-41-1P 173459-42-2P 173459-43-3P

173459-44-4P 173459-45-5P 173459-46-6P 173459-47-7P 173459-48-8P
 173459-49-9P 173459-50-2P 173459-51-3P **173459-52-4P**
173459-53-5P 173459-54-6P 173459-55-7P 173459-56-8P
 173459-57-9P 173459-58-0P 173459-59-1P 173459-60-4P 173459-61-5P
 173459-62-6P 173459-63-7P 173459-64-8P 173459-65-9P 173459-66-0P
 173459-67-1P 173459-68-2P 173459-69-3P 173459-70-6P
173459-71-7P 173459-72-8P 173459-73-9P 173459-74-0P
 173459-75-1P 173459-76-2P 173459-77-3P 173459-78-4P 173459-79-5P
 173654-06-3P 173654-07-4P

(prepn. of 1,4-benzodiazepin-2-one-1-acetamides as cholecystokinin-A
 receptor agonists)

L10 ANSWER 5 OF 19 USPATFULL

AB Diazabicyclo derivatives of formula (I) and pharmaceutically acceptable salts thereof: ##STR1## wherein R is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, oxoalkyl, alkoxycarbonylalkyl, alkoxycarbonyl, acyl, dialkylaminoalkyl, hydroxyalkyl, haloalkyl, cyanoalkyl, heterocycloalkyl, aryl, heteroarylalkyl or arylalkyl, the aryl group and the aryl moiety being optionally substituted by alkoxy, nitro, alkyl, amino or halo;

R.sup.2 is hydrogen or alkyl;

R.sup.3 and R.sup.4 may be the same or different and each is hydrogen, alkyl, alkenyl, acyl, alkoxyalkyl or arylalkyl wherein the aryl moiety is optionally substituted by alkoxy, nitro, alkyl, amino or halo;

with the proviso that when R.sup.2 is hydrogen and both R.sup.3 and R.sup.4 are methyl, R.sup.1 does not represent hydrogen, alkyl, unsubstituted benzyl or dimethylaminoethyl; having 5-HT.sub.3 receptor antagonist activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 94:77717 USPATFULL

TITLE: Diazabicyclo derivatives

INVENTOR(S): Satoh, Hiroaki, Saitama, Japan
 Kikuchi, Haruhiko, Tsurugashima, Japan
 Yamada, Kazuhiko, Sayama, Japan
 Fukutomi, Ruta, Kawagoe, Japan
 Suzuki, Masashi, Saitama, Japan
 Hagiwara, Koichiro, Miyoshimachi, Japan
 Hayakawa, Toru, Kawagoe, Japan
 Arai, Takeo, Kawagoe, Japan
 Mino, Setsuko, Fujimi, Japan

PATENT ASSIGNEE(S): Nisshin Flour Milling Co., Ltd., Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5344831		19940906
APPLICATION INFO.:	US 1993-10145		19930128 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1992-16172	19920131
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Berch, Mark L.	

LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt

NUMBER OF CLAIMS: 5

EXEMPLARY CLAIM: 1

LINE COUNT: 1080

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . antagonize the action of 5-HT at 5-HT.sub.3 receptors in the peripheral nervous system and are useful in the treatment of gastric stasis symptoms of gastrointestinal dysfunction such as occur with dyspepsia, reflux oesophagitis, flatulence as well as gastrointestinal disorders such as gastritis, peptic ulcer, diarrhea occurred by various causes and Hirschsprung's disease. The present compounds are also in. . .

IT 141549-74-8P 154412-10-9P 154412-13-2P

154412-14-3P 154412-15-4P 154412-16-5P
 154412-17-6P 154412-18-7P 154412-19-8P
 154412-20-1P 154412-21-2P 154412-22-3P
 154412-23-4P 154412-24-5P 154412-25-6P
 154412-26-7P 154412-27-8P 154412-28-9P
 154412-29-0P 154412-30-3P 154412-31-4P
 154412-32-5P 154412-33-6P 154412-34-7P
 154412-35-8P 154412-36-9P 154412-37-0P
 154412-38-1P 154412-39-2P 154412-40-5P
 154412-41-6P 154412-42-7P 154412-43-8P
 154412-44-9P 154412-45-0P 154412-46-1P
 154412-47-2P 154412-48-3P 154412-49-4P
 154412-50-7P 154412-51-8P 154412-52-9P
 154412-53-0P 154412-54-1P 154412-55-2P
 154412-56-3P 154412-57-4P 154412-58-5P
 154412-59-6P 154412-60-9P 154412-61-0P
 154412-62-1P 154412-63-2P 154412-64-3P

(prepn. of, as HT-receptor antagonist)

IT 78-77-3, Isobutyl bromide 78-95-5, Chloroacetone 100-11-8,
 4-Nitrobenzyl bromide 100-46-9, Benzylamine, reactions 106-95-6,
 Allyl bromide, reactions 542-05-2, Acetonedicarboxylic acid 543-27-1
 590-17-0, Bromoacetonitrile 592-55-2, 2-Ethoxyethyl bromide 612-23-7,
 2-Nitrobenzyl chloride 620-20-2, 3-Chlorobenzyl chloride 624-65-7,
 Propargyl chloride 824-94-2, 4-Methoxybenzyl chloride 870-63-3,
 Isoprenyl bromide 2550-36-9, Cyclohexylmethyl bromide 2695-48-9,
 8-Bromo-1-octene 4377-33-7, 2-(Chloromethyl)pyridine 7051-34-5,
 Cyclopropylmethyl bromide 7252-83-7, Bromoacetaldehyde dimethyl acetal
 18880-00-7, 4-tert-Butylbenzyl bromide 38870-89-2, Methoxy acetyl
 chloride 141549-75-9

(reactant for diazabicyclononyl indazolecarboxamide deriv. HT-receptor antagonist)

L10 ANSWER 6 OF 19 USPATFULL

AB Azabicyclo derivatives of formula (I) and pharmaceutically acceptable salts thereof: ##STR1## wherein A is a group of formula (a), (b) or (c): ##STR2## wherein R.sub.1 is hydrogen, C.sub.1 -C.sub.10 alkyl, aralkyl or di(C.sub.1 -C.sub.4) alkylamino(C.sub.1 -C.sub.6)alkyl;

R.sub.2, R.sub.3 and R.sub.4 may be the same or different and each is hydrogen, amino, halogen, C.sub.1 -C.sub.4 alkoxy or phthalimide;

X is O or NH;

R is C.sub.1 -C.sub.4 alkyl; and

Y is NR, O or S;

having 5-HT.sub.3 receptor antagonist activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 93:89663 USPATFULL
 TITLE: Azabicyclo derivatives
 INVENTOR(S): Kikuchi, Haruhiko, Saitama, Japan
 Satoh, Hiroaki, Saitama, Japan
 Yahata, Nobuhiro, Saitama, Japan
 Hagihara, Kiochiro, Saitama, Japan
 Hayakawa, Toru, Kawagoe, Japan
 Mino, Setsuko, Fujimi, Japan
 Yanai, Makoto, Saitama, Japan
 PATENT ASSIGNEE(S): Nisshin Flour Milling Co., Ltd., Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5256656		19931026
APPLICATION INFO.:	US 1992-853521		19920512 (7)
RELATED APPLN. INFO.:	Division of Ser. No. US 1991-730699, filed on 16 Jul 1991, now patented, Pat. No. US 5187166		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1990-201453	19900731
	JP 1990-292000	19901031
	JP 1990-418549	19901228
	JP 1991-84473	19910326
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Shah, Mukund J.	
ASSISTANT EXAMINER:	Grumbling, Matthew V.	
LEGAL REPRESENTATIVE:	Oblon, Spivak, McClelland, Maier & Neustadt	
NUMBER OF CLAIMS:	5	
EXEMPLARY CLAIM:	1	
LINE COUNT:	761	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . antagonize the action of 5-HT at 5-HT.sub.3 receptors in the peripheral nervous system and are useful in the treatment of **gastric stasis** symptoms of **gastrointestinal** dysfunction such as occur with dyspepsia, reflux oesophagitis, flatulence as well as **gastrointestinal** disorders such as gastritis, peptic ulcer, diarrhea occurred by various causes and Hirschsprung's disease. The present compounds are also in. . .

CLM What is claimed is:

5. A process for the treatment of psychotic disorders, neurotic diseases, **gastrointestinal** disorders, nausea and vomiting, comprising administering to a subject in need of such treatment a compound as defined by claim. . .

IT 141549-63-5P 141549-64-6P **141549-65-7P 141549-66-8P**
 141549-67-9P 141549-68-0P 141549-69-1P 141549-70-4P 141549-71-5P
141549-72-6P 141549-73-7P 141549-74-8P
141549-75-9P 141549-76-0P 141549-77-1P
141549-78-2P 141549-79-3P 141549-80-6P
 141549-81-7P **141549-82-8P** 141549-83-9P 141549-90-8P
 141549-91-9P **141549-92-0P 141549-93-1P** 141549-94-2P

141549-95-3P 141549-96-4P 141549-97-5P 141549-98-6P

141549-99-7P 141550-00-7P 141550-01-8P**141550-02-9P 141550-03-0P 141550-04-1P****141550-05-2P 141550-06-3P 141550-07-4P**

141550-08-5P 141550-09-6P 141550-10-9P

(prepn. of, as 5-HT₃ receptor antagonists)

IT 75-26-3, Isopropyl bromide 100-39-0, Benzyl bromide 107-99-3,
 2-Dimethylaminoethyl chloride 111-83-1, Octyl bromide 7224-84-2
 7252-83-7, Bromoacetaldehyde dimethylacetal **50890-83-0**,
 1-Methylindazole-3-carboxylic acid 53243-73-5 59496-25-2,
 1H-Indole-3-carbonyl chloride **72083-74-0**, 1H-Indazole-3-
 carbonyl chloride 115660-68-9 126921-19-5 130914-52-2 141549-89-5
 (reaction of, and prepn. of 5-HT₃ receptor antagonists)

L10 ANSWER 7 OF 19 USPATFULL

AB Disclosed are compounds of formula (I) ##STR1## in which A is ##STR2##
 The compounds are selective antagonists of 5HT at 5-HT₃ receptors
 and useful in the treatment of psychotic disorders, neurotic diseases,
gastric stasis symptoms, **gastrointestinal**
 disorders, nausea and vomiting.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 93:78790 USPATFULL

TITLE: Piperidine derivatives

INVENTOR(S): Kikuchi, Haruhiko, Tsurugashima, Japan

Sato, Hiroaki, Saitama, Japan

Suguro, Toshio, Komoro, Japan

Hagihara, Koichiro, Saitama, Japan

Hayakawa, Toru, Kawagoe, Japan

Mino, Setsuko, Fujimi, Japan

PATENT ASSIGNEE(S): Nisshin Flour Milling Co., Ltd., Tokyo, Japan (non-U.S.
 corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5246945		19930921
APPLICATION INFO.:	US 1992-830853		19920204 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1991-45632	19910220
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Ivy, C. Warren	
ASSISTANT EXAMINER:	Chang, Celia	
LEGAL REPRESENTATIVE:	Oblon, Spivak, McClelland, Maier & Neustadt	
NUMBER OF CLAIMS:	4	
EXEMPLARY CLAIM:	1	
LINE COUNT:	478	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB . . . The compounds are selective antagonists of 5HT at 5-HT₃
 receptors and useful in the treatment of psychotic disorders, neurotic
 diseases, **gastric stasis** symptoms,
gastrointestinal disorders, nausea and vomiting.

DETD . . . which antagonise the effect of 5-HT at 5-HT₃ receptors in
 the peripheral nervous system, are useful in the treatment of
gastric stasis symptoms of **gastrointestinal**
 dysfunction such as occur with dyspepsia, reflux oesophagitis,

flatulence, and in the treatment of **gastrointestinal** disorders such as gastritis, peptic ulcer, diarrhea occurred by various causes, Hirschsprung's disease. Compounds of formula (I) are also useful. . .

IT 144260-46-8P 144260-47-9P 144260-48-0P
 144260-49-1P 144260-50-4P 144260-51-5P 144260-52-6P 144260-53-7P
 144260-54-8P 144260-55-9P 144260-56-0P 144260-57-1P 144260-58-2P
 144260-59-3P 144260-60-6P 144445-95-4P 144445-96-5P
 144445-97-6P 144445-98-7P 144445-99-8P 144446-00-4P
 144446-01-5P
 (prepn. of, as S3 antagonist)
 IT 74-88-4, Methyl iodide, reactions 42088-91-5 59496-25-2,
 Indole-3-carbonyl chloride 91324-22-0 106649-02-9
 (reaction of, in prepn. of S3 antagonist)

L10 ANSWER 8 OF 19 USPATFULL

AB The invention relates to the use of a compound which acts as an antagonist of 5-HT at 5-HT.sub.3 receptors in the treatment of autism or another disorder originating in childhood in which there is mental retardation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 93:54721 USPATFULL
 TITLE: 5-HT.sub.3 receptor antagonists for the treatment of autism
 INVENTOR(S): Oakley, Nigel R., Cambridge, England
 Coates, Ian H., Hertford, England
 North, Peter C., Royston, England
 Oxford, Alexander W., Royston, England
 PATENT ASSIGNEE(S): Glaxo Group Limited, London, England (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5225407		19930706
APPLICATION INFO.:	US 1992-941951		19920908 (7)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1991-7658685, filed on 21 Feb 1991, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1990-4015	19900222
	GB 1990-4044	19900222
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Waddell, Frederick E.	
ASSISTANT EXAMINER:	Henley, III, Raymond J.	
LEGAL REPRESENTATIVE:	Bacon & Thomas	
NUMBER OF CLAIMS:	11	
EXEMPLARY CLAIM:	1	
LINE COUNT:	523	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM 5-HT.sub.3 receptor antagonists have also been shown to promote **gastric** emptying, and are thus useful in the treatment of conditions which may be relieved by the promotion of **gastric** emptying. Such conditions include **gastric stasis** and symptoms of **gastrointestinal** dysfunction such as dyspepsia, reflux oesophagitis, peptic ulcer and flatulence. Other conditions in which 5-HT.sub.3 antagonists may be effective include irritable

bowel syndrome, and pain, particularly the pain associated with migraine.

IT 89565-68-4 99614-02-5, Ondansetron 103639-04-9 109889-09-0
122852-42-0 138939-59-0 138939-60-3 139014-62-3
(pharmaceutical compn. contg., for treatment of autism or other mental retardation-assocd. disorders of childhood)

L10 ANSWER 9 OF 19 USPATFULL

AB A class of indazole-substituted five-membered heteroaromatic compounds are specific agonists of 5-HT.sub.1 -like receptors and are therefore useful in the treatment of clinical conditions, in particular migraine and associated disorders, for which a selective agonist of these receptors is indicated.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 93:35698 USPATFULL
TITLE: Indazole-substituted five-membered heteroaromatic compounds
INVENTOR(S): Baker, Raymond, Hertfordshire, England
Chambers, Mark S., Hertfordshire, England
Street, Leslie J., Essex, England
PATENT ASSIGNEE(S): Merck Sharpe & Dohme, Ltd., Hertfordshire, United Kingdom (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5208248		19930504
APPLICATION INFO.:	US 1991-730751		19910716 (7)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1991-665047, filed on 6 Mar 1991, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1991-648	19910111
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Gerstl, Robert	
LEGAL REPRESENTATIVE:	North, Robert J., Polk, Manfred, DiPrima, Joseph F.	
NUMBER OF CLAIMS:	7	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1057	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . stated to be useful in the treatment of psychotic disorders (e.g. schizophrenia and mania); anxiety; alcohol or drug withdrawal; pain; gastric stasis; gastric dysfunction; migraine, nausea and vomiting; and presenile and senile dementia. However, they have no action on the 5-HT.sub.1 -like receptors. . .

IT 144056-04-2 144056-05-3 144056-06-4
144056-07-5

(hydroxytryptamine receptor agonist)

IT 144055-99-2P

(prepn. and alkylation of)

IT 144056-00-8P

(prepn. and benzylation of)

IT 144055-90-3P 144055-92-5P

(prepn. and cyclocondensation reaction of, with Me acetamide oxime, (oxadiazolyl)indazoleethanamine from)

IT 144055-89-0P 144055-95-8P 144055-98-1P

144056-03-1P

(prepn. and deprotection of)

IT **144056-08-6P**

(prepn. and hydrolysis of)

IT 7272-54-0P 144055-87-8P **144056-01-9P**

(prepn. and protection of)

IT **144056-02-0P**

(prepn. and reaction of, with chlorothiadiazoamine)

IT **144055-91-4P**

(prepn. of)

IT **144055-79-8P 144055-80-1P 144055-81-2P****144055-82-3P 144055-83-4P 144055-84-5P**

(prepn. of, as hydroxytryptamine receptor agonist)

L10 ANSWER 10 OF 19 USPATFULL

AB Azabicyclo derivatives of formula (I) and pharmaceutically acceptable salts thereof: ##STR1## wherein A is a group of formula (a), (b) or (c): ##STR2## wherein R.sub.1 is hydrogen, C.sub.1 -C.sub.10 alkyl, aralkyl or di(C.sub.1 -C.sub.4) alkylamino(C.sub.1 -C.sub.6)alkyl;

R.sub.2, R.sub.3 and R.sub.4 may be the same or different and each is hydrogen, amino, halogen, C.sub.1 -C.sub.4 alkoxy or phthalimide; X is O or NH;

R is C.sub.1 -C.sub.4 alkyl; and

Y is NR, O or S;

having 5-HT.sub.3 receptor antagonist activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 93:12524 USPATFULL

TITLE: Azabicyclo derivatives and their use as antiemetics

INVENTOR(S): Kikuchi, Haruhiko, Saitama, Japan

Satoh, Hiroaki, Saitama, Japan

Yahata, Nobuhiro, Saitama, Japan

Hagihara, Koichiro, Saitama, Japan

Hayakawa, Toru, Kawagoe, Japan

Mino, Setsuko, Fujimi, Japan

Yanai, Makoto, Saitama, Japan

PATENT ASSIGNEE(S): Nisshin Flour Milling Co., Ltd., Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5187166		19930216
APPLICATION INFO.:	US 1991-730699		19910716 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1990-201453	19900731
	JP 1990-292000	19901031
	JP 1990-418549	19901228
	JP 1991-84473	19910326

DOCUMENT TYPE: Utility

FILE SEGMENT: Granted

PRIMARY EXAMINER: Shah, Mukund J.

ASSISTANT EXAMINER: Grumbling, Matthew V.

LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt
 NUMBER OF CLAIMS: 5
 EXEMPLARY CLAIM: 1
 LINE COUNT: 783

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . antagonize the action of 5-HT at 5-HT.sub.3 receptors in the peripheral nervous system and are useful in the treatment of

gastric stasis symptoms of **gastrointestinal** dysfunction such as occur with dyspepsia, reflux oesophagitis, flatulence as well as **gastrointestinal** disorders such as gastritis, peptic ulcer, diarrhea occurred by various causes and Hirschsprung's disease. The present compounds are also in. . .

CLM What is claimed is:

5. Process for the treatment of psychotic disorders, neurotic diseases, **gastric stasis** symptoms of **gastrointestinal** dysfunction, **gastrointestinal** disorders, nausea and vomiting, comprising administering to a subject in need of such treatment a compound as defined in any. . .

IT 141549-63-5P 141549-64-6P **141549-65-7P 141549-66-8P**
 141549-67-9P 141549-68-0P 141549-69-1P 141549-70-4P 141549-71-5P
141549-72-6P 141549-73-7P 141549-74-8P
141549-75-9P 141549-76-0P 141549-77-1P
141549-78-2P 141549-79-3P 141549-80-6P
 141549-81-7P **141549-82-8P** 141549-83-9P 141549-90-8P
 141549-91-9P **141549-92-0P 141549-93-1P** 141549-94-2P
 141549-95-3P 141549-96-4P 141549-97-5P 141549-98-6P
141549-99-7P 141550-00-7P 141550-01-8P
141550-02-9P 141550-03-0P 141550-04-1P
141550-05-2P 141550-06-3P 141550-07-4P
 141550-08-5P **141550-09-6P** 141550-10-9P

(prepn. of, as 5-HT₃ receptor antagonists)

IT 75-26-3, Isopropyl bromide 100-39-0, Benzyl bromide 107-99-3,
 2-Dimethylaminoethyl chloride 111-83-1, Octyl bromide 7224-84-2
 7252-83-7, Bromoacetaldehyde dimethylacetal **50890-83-0**,
 1-Methylindazole-3-carboxylic acid 53243-73-5 59496-25-2,
 1H-Indole-3-carbonyl chloride **72083-74-0**, 1H-Indazole-3-
 carbonyl chloride 115660-68-9 126921-19-5 130914-52-2 141549-89-5
 (reaction of, and prepn. of 5-HT₃ receptor antagonists)

L10 ANSWER 11 OF 19 USPATFULL

AB 3-[N-Aroyl(or thioaryol)aminoalkyl]-3-quinuclidinols corresponding to the formula: ##STR1## wherein X is O or S, and Ar is phenyl, substituted phenyl, indole, indazole or pyrimidine; optical isomers and the pharmaceutically acceptable acid addition salts and solvates thereof. These compounds have **gastric** emptying, antiemetic, anxiolytic and selective serotonin modulating or inhibiting activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 92:65972 USPATFULL
 TITLE: 3-[N-aroyl(or thioaroyl)aminomethyl]-3-quinuclidinols
 INVENTOR(S): Munson, Jr., Harry R., Leawood, KS, United States
 Jagdmann, Jr., Gunnar E., Apex, NC, United States
 PATENT ASSIGNEE(S): A. H. Robins Company, Incorporated, Richmond, VA,
 United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5137895		19920811

APPLICATION INFO.: US 1991-692582 19910429 (7)
 DOCUMENT TYPE: Utility
 FILE SEGMENT: Granted
 PRIMARY EXAMINER: Ivy, C. Warren
 ASSISTANT EXAMINER: Scalzo, Catherine
 LEGAL REPRESENTATIVE: Tarnowski, George
 NUMBER OF CLAIMS: 13
 EXEMPLARY CLAIM: 1
 LINE COUNT: 1183
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB . . . phenyl, indole, indazole or pyrimidine; optical isomers and the pharmaceutically acceptable acid addition salts and solvates thereof. These compounds have **gastric** emptying, antiemetic, anxiolytic and selective serotonin modulating or inhibiting activity.

SUMM . . . novel 3-[N-aroyle (or thioaroyle)aminomethyl]-1-azabicyclo[2.2.2]octan-3-ols which may also be referred to as 3-[N-aroyle (or thioaroyle)aminomethyl]-3-quinuclidinols. Compounds of the present invention have **gastric** emptying, antiemetic, anxiolytic, antiarrhythmic, and selective serotonin modulation or inhibition properties. This invention also relates to pharmaceutical compositions containing these. . .

SUMM . . . Pat. Nos. 4,593,034; 4,722,834 and 4,657,911 as exemplified by the following composite structure: ##STR2## The compounds are useful in increasing **gastric** motility and for controlling certain types of emesis.

SUMM . . . X is NH or O and R.sup.1 is H, alkyl, phenyl or phenylalkyl and are said to have activity as **gastric** motility enhancers, antiemetics and as serotonin antagonists.

SUMM Compounds which enhance **gastric** emptying are useful in treating delayed **gastric** emptying, indigestion, flatulence, esophageal reflux and peptic ulcer. Compounds of this invention having antiemetic activity are useful in treating emesis. . . or trigeminal neuralgia. Compounds which modulate or inhibit serotonin may also be of potential in treating psychoses, arrhythmias, and irritable bowel syndrome.

SUMM Another object is to provide methods of treating **gastric stasis**, emesis, anxiety and to inhibit or modulate certain actions of serotonin (5-HT) and provide treatment for these disorders in living. . .

DETD A. **Gastric** Emptying Activity

DETD The procedure used to test compounds of the present invention for **gastric** motility enhancing activity was that of Droppleman et al., J. Pharmacol. Methods, 4, 227(1980).

DETD

Example	Gastric Emptying	
	% Increase	Dose mg/kg IP
1	41	9
2	41	9
4	35	10
5	36	10
7	31	10

DETD Generally, the method of controlling emesis, **gastric** emptying, arrhythmia, anxiety and undesirable effects of serotonin in accordance with this invention comprises administering to warm blooded animals including. . . art, preferably with a non-toxic pharmaceutical

carrier such as is described below in an amount to control emesis and/or facilitate **gastric** emptying and/or decrease anxiety and/or selectively inhibit or modulate the effects of serotonin and/or correct cardiac arrhythmias. The active agent. . .

DETD The pharmaceutical compositions for general use as antiemetics, **gastric** emptiers, selective serotonin inhibitors or modulators, antianxiety agents and antiarrhythmics of this invention comprise at least one of the compounds of Formula I, as active ingredients in an amount to provide effective antiemetic, **gastric** emptying or antianxiety action. Daily dosages contemplated for adult humans are in the range of 10 mcg to 100 mg, . . .

CLM What is claimed is:

3. A method of treating warm blooded animals to increase **gastric** emptying which comprises administering thereto a therapeutically effective amount of a compound according to the formula: ##STR23## wherein B is. . .

13. A pharmaceutical composition comprised of: a. an effective amount of a compound for increasing **gastric** emptying, reducing emesis, reducing anxiety, and treating disorders due to serotonin imbalance according to the formula: ##STR33## wherein B is. . .

IT 4498-67-3P, Indazole-3-carboxylic acid

(prepn. of, Me ester, on prepn. of (aroylaminomethyl)quinuclidinol)

IT 144150-39-0P 144150-40-3P 144150-41-4P 144150-42-5P
144150-43-6P 144150-44-7P 144150-45-8P 144150-46-9P 144150-47-0P
144333-72-2P 144333-73-3P 144333-74-4P 144333-75-5P 144333-76-6P

(prepn. of, as drug)

IT 6238-30-8P 21386-95-8P 43120-28-1P 50890-83-0P
107429-88-9P 109216-60-6P 121243-47-8P 128200-12-4P
128200-13-5P 129511-06-4P 138300-74-0P 144150-48-1P 144150-49-2P
144150-50-5P 144150-51-6P 144150-52-7P 144150-53-8P 144150-54-9P
(prepn. of, as intermediate for (aroylaminomethyl)quinuclidinol drug)

L10 ANSWER 12 OF 19 USPATFULL

AB The present invention provides ketones of the general formula (I):
##STR1## and physiologically acceptable salts and solvates thereof,
wherein R.sup.1 and R.sup.2, which may be the same or different, each
represents a hydrogen atom or a C.sub.1-6 alkyl group; Im represents an
imidazolyl group of formula: ##STR2## wherein one of the groups
represented by R.sup.3, R.sup.4 and R.sup.5 is a hydrogen atom or a
C.sub.1-6 alkyl, C.sub.3-7 cycloalkyl, C.sub.3-6 alkenyl, phenyl or
phenylC.sub.1-3 alkyl group, and each of the other two groups, which may
be the same or different, represents a hydrogen atom or a C.sub.1-6
alkyl group; and

A is a group of the formula (a), (b), (c), (d), (e), (f) or (g) as set forth hereinafter,

and when A is the group (g), the group --COCR.sup.1 R.sup.2 CH.sub.2 Im is attached at the 2- or 4- position of the indole moiety.

The compounds are potent and selective antagonists of the effect of 5-HT at 5-HT.sub.3 receptors and are useful, for example, in the treatment of psychotic disorders, anxiety and nausea and vomiting.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 92:7363 USPATFULL

TITLE: Ketone derivatives

INVENTOR(S): Oxford, Alexander W., Hertfordshire, England

PATENT ASSIGNEE(S): Cavalla, David J., London, England
 North, Peter C., Hertfordshire, England
 Glaxo Group Limited, London, England (non-U.S.
 corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5084474		19920128
APPLICATION INFO.:	US 1991-651016		19910204 (7)
RELATED APPLN. INFO.:	Division of Ser. No. US 1989-440880, filed on 24 Nov 1989 which is a division of Ser. No. US 1988-180960, filed on 13 Apr 1988, now patented, Pat. No. US 4918080		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1987-8943	19870414
	GB 1987-13226	19870605
	GB 1987-13227	19870605
	GB 1987-16698	19870715
	GB 1987-20694	19870903

DOCUMENT TYPE: Utility
 FILE SEGMENT: Granted
 PRIMARY EXAMINER: Lee, Mary C.
 ASSISTANT EXAMINER: Davis, Peter
 LEGAL REPRESENTATIVE: Bacon & Thomas
 NUMBER OF CLAIMS: 10
 EXEMPLARY CLAIM: 1
 LINE COUNT: 1566

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . vomiting, particularly that associated with cancer chemotherapy and radiotherapy. Compounds of formula (I) are also useful in the treatment of **gastric stasis**; symptoms of **gastrointestinal** dysfunction such as occur with dyspepsia, peptic ulcer, reflux oesophagitis, flatulence and irritable **bowel** syndrome; migraine; and pain. Compounds of formula (I) may also be used in the treatment of dependency on drugs and. . .

SUMM . . . disorder such as schizophrenia or mania; or from anxiety; nausea or vomiting, particularly that associated with cancer chemotherapy and radiotherapy; **gastric stasis**; symptoms of **gastrointestinal** dysfunction such as dyspepsia, reflux oesophagitis, peptic ulcer, flatulence and irritable **bowel** syndrome; migraine; pain; dependency on drugs or substances of abuse; depression; or dementia and other cognitive disorders, which comprises administering. . .

SUMM . . . of formula (I) may also be administered in combination with other therapeutic agents. Thus, for example, in the treatment of **gastric stasis**, symptoms of **gastrointestinal** dysfunction and nausea and vomiting, the compounds of formula (I) may be administered in combination with antisecretory agents such as. . .

IT 52648-88-1P **69271-42-7P** 120159-91-3P 120159-96-8P
 120159-97-9P 120159-98-0P 120159-99-1P 120160-00-1P 120160-01-2P
 120160-02-3P 120160-03-4P 120160-05-6P 120160-06-7P 120160-07-8P
 120160-08-9P 120160-09-0P 120160-10-3P 120160-11-4P 120160-12-5P
 120160-13-6P 120160-14-7P 120160-15-8P 120160-16-9P 120160-17-0P
 120160-18-1P 120160-19-2P 120160-20-5P 120160-21-6P 120160-22-7P
 120160-23-8P 120160-24-9P **120160-25-0P** 120160-26-1P
 120160-27-2P 120160-28-3P 120160-29-4P, 1-(1-Methyl-1H-indol-4-yl)ethanone 120160-62-5P 120160-72-7P 120160-75-0P 120160-77-2P

120180-86-1P

(prepn. and reaction of, in prepn. of serotonin antagonists)

IT 117186-80-8P 120159-90-2P 120159-91-3P 120159-92-4P 120159-93-5P
120159-94-6P 120159-95-7P 120160-30-7P 120160-31-8P
 120160-33-0P 120160-35-2P 120160-37-4P 120160-39-6P 120160-40-9P
 120160-41-0P 120160-42-1P 120160-43-2P 120160-44-3P 120160-45-4P
 120160-47-6P 120160-48-7P 120160-49-8P 120160-50-1P 120160-51-2P
 120160-52-3P 120160-53-4P 120160-54-5P 120160-55-6P 120160-56-7P
 120160-57-8P 120160-58-9P 120160-59-0P 120160-60-3P 120160-61-4P
 120160-63-6P **120160-64-7P** 120160-66-9P 120160-68-1P
 120160-69-2P 120160-70-5P 120160-71-6P 120160-73-8P 120160-74-9P
 120160-76-1P 120180-87-2P

(prepn. of, as serotonin antagonist)

IT 22720-75-8, 2-Acetylbenzo[b]thiophene 24764-66-7, 1-Acetyl-4-methoxynaphthalene
 40484-98-8, 3-Acetyl-2-methylbenzofuran 50878-45-0, 1-Acetyl-2-methylnaphthalene **50890-83-0**,
 1-Methyl-1H-indazole-3-carboxylic acid 52648-88-1, 3-Acetyl-1,2,4-trimethyl-1H-pyrrole
 60814-30-4, 4-Acetylquinoline 66611-15-2, 3-Acetylbenzofuran 83393-46-8
 90924-06-4, 1-Methyl-1H-indole-4-carboxylic acid 113140-81-1 120159-96-8
 (reaction of, in prepn. of serotonin antagonists)

L10 ANSWER 13 OF 19 USPATFULL

AB The present invention provides a compound of formula I or a salt or prodrug thereof: ##STR1## wherein the dotted circle represents one or two double bonds in any position in the 5-membered ring;

X, Y and Z independently represent oxygen, sulphur, nitrogen or carbon, provided that at least one of X, Y and Z represents oxygen, sulphur or nitrogen;

A represents a group of formula II: ##STR2## in which: R.sup.1 represents hydrogen, hydroxy, C.sub.1-6 alkyl, C.sub.2-6 alkenyl, C.sub.2-6 alkynyl, C.sub.1-6 alkoxy, hydroxy(C.sub.1-6)alkyl, halogen, amino, cyano, --CONR.sup.6 R.sup.7 or --SO.sub.2 NR.sup.6 R.sup.7, in which R.sup.6 and R.sup.7 independently represent hydrogen, C.sub.1-6 alkyl, C.sub.2-6 alkenyl or C.sub.2-6 alkynyl;

R.sup.2 represents hydrogen, halogen, C.sub.1-6 alkyl, C.sub.1-6 alkoxy or C.sub.1-6 alkylcarbonyl;

V represents nitrogen, ##STR3## W represents oxygen, sulphur or ##STR4## in which R.sup.8 represents hydrogen, C.sub.1-6 alkyl, C.sub.2-6 alkenyl or C.sub.2-6 alkynyl;

E represents a bond or a straight or branched alkylene chain containing from 1 to 5 carbon atoms, and optionally being substituted with hydroxy or phenyl; and

F represents:

(a) a non-aromatic azacyclic or azabicyclic ring system; or

(b) a group of formula --NR.sup.a R.sup.b, in which R.sup.a and R.sup.b independently represent hydrogen, C.sub.1-6 alkyl, C.sub.2-6 alkenyl, C.sub.2-6 alkynyl or aryl (C.sub.1-6)alkyl; which compounds are useful in the treatment of psychotic disorders (e.g. schizophrenia and mania); anxiety; alcohol or drug withdrawal; pain; **gastric**

stasis; gastric dysfunction (such as occurs with dyspepsia, peptic ulcer, reflux oesophagitis and flatulence); migraine, nausea and vomiting; and presenile and senile dementia.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 91:66809 USPATFULL

TITLE: Pharmaceutically useful 3-(indol-3-yl)-1,2,4-oxa- and thiadiazoles substituted in the 5-position by an amino containing group

INVENTOR(S): Baker, Raymond, Much Hadham, England
Saunders, John, Bishops Stortford, England
Swain, Christopher, Duxford, England

PATENT ASSIGNEE(S): Merck Sharp & Dohme Ltd., Hertfordshire, England
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5041456		19910820
APPLICATION INFO.:	US 1990-552395		19900713 (7)
RELATED APPLN. INFO.:	Division of Ser. No. US 1989-306007, filed on 3 Feb 1989, now patented, Pat. No. US 4952587		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1988-3317	19880212
	GB 1988-10789	19880502
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Dentz, Bernard	
LEGAL REPRESENTATIVE:	Polk, Manfred, Caruso, Charles M.	
NUMBER OF CLAIMS:	4	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1546	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB . . . which compounds are useful in the treatment of psychotic disorders (e.g. schizophrenia and mania); anxiety; alcohol or drug withdrawal; pain; **gastric stasis; gastric** dysfunction (such as occurs with dyspepsia, peptic ulcer, reflux oesophagitis and flatulence); migraine, nausea and vomiting; and presenile and senile. . . .

SUMM . . . heteroatom, which are useful in the treatment of psychotic disorders (e.g. schizophrenia and mania); anxiety; alcohol or drug withdrawal; pain; **gastric stasis; gastric** dysfunction (such as occurs with dyspepsia, peptic ulcer, reflux oesophagitis and flatulence); migraine, nausea and vomiting; and presenile and senile. . . .

CLM What is claimed is:
4. A method for the treatment of psychotic disorders; anxiety; alcohol or drug withdrawal; pain; **gastric stasis, gastric** dysfunction; migraine, nausea and vomiting; and presenile and senile dementia; said method comprises administering to a patient in need of. . . .

IT 24662-21-3P, 1-Methylindole-3-thiocarboxamide **50264-88-5P**,
1H-Indazole-3-carbonitrile 95649-37-9P 123837-16-1P 125136-76-7P
125136-81-4P 125817-99-4P 125818-00-0P 125818-01-1P 125818-02-2P
125818-03-3P 125818-04-4P 125818-05-5P 125818-06-6P 125818-07-7P
125818-08-8P 125818-09-9P 125818-10-2P 125818-11-3P,
1,7-Dimethylindole-3-carboxylic acid 125818-12-4P, 1,7-Dimethylindole-3-

carboxamide 125818-13-5P, 1,7-Dimethylindole-3-nitrile 125818-14-6P
 125818-15-7P 125818-16-8P 125818-17-9P 125818-18-0P 125818-19-1P
 125834-61-9P 125875-32-3P
 (prepn. and reaction of, in prepn. of pharmaceuticals)
 IT 125817-30-3P 125817-31-4P 125817-32-5P 125817-33-6P 125817-34-7P
 125817-35-8P 125817-36-9P 125817-37-0P 125817-38-1P 125817-39-2P
 125817-40-5P 125817-41-6P 125817-42-7P 125817-43-8P 125817-44-9P
 125817-45-0P 125817-46-1P 125817-47-2P 125817-48-3P 125817-49-4P
 125817-50-7P 125817-51-8P 125817-52-9P 125817-53-0P 125817-54-1P
 125817-55-2P **125817-56-3P** 125817-57-4P 125817-58-5P
 125817-59-6P 125817-60-9P 125817-61-0P 125817-63-2P 125817-64-3P
 125817-65-4P 125817-66-5P 125817-67-6P 125817-68-7P 125817-69-8P
 125817-70-1P 125817-72-3P 125817-73-4P 125817-74-5P 125817-75-6P
 125817-76-7P 125817-78-9P 125817-79-0P 125817-80-3P 125817-81-4P
 125817-82-5P 125817-83-6P 125817-84-7P 125817-85-8P 125817-86-9P
 125817-87-0P **125817-89-2P** 125817-90-5P 125817-91-6P
 125817-92-7P 125817-93-8P 125817-94-9P 125817-95-0P 125817-96-1P
 125834-59-5P 125834-60-8P 125875-28-7P 125875-29-8P 125875-30-1P
 125875-31-2P 125948-38-1P 125948-39-2P 125948-40-5P 125948-41-6P
 (prepn. of, for treatment of psychotic disorders, senile dementia,
 peptic ulcer, etc.)
 IT 50-00-0, Formaldehyde, reactions 54-85-3, Isonicotinic acid hydrazide
 74-89-5, Methylamine, reactions 96-33-3, Methyl acrylate 110-89-4,
 Piperidine, reactions 1690-72-8, Methyl 1-methylpiperidine-3-
 carboxylate 1690-75-1, Methyl 1-methylpiperidine-4-carboxylate
 3853-06-3, Methyl 3-dimethylaminopropanoate 4621-66-3, Thionicotinamide
 5457-28-3, 1H-Indole-3-nitrile 5470-11-1, Hydroxylamine hydrochloride
 6238-34-2 14719-37-0, Ethyl N-tert-butoxycarbonyl glycinate
 17380-46-0, 3-Bromoacetyl-5-cyanoindole 17694-68-7 18513-76-3
 24424-99-5, Di-tert-butyldicarbonate 24662-37-1 30448-16-9,
 7-Methylindole-3-carboxylic acid 30740-19-3, 2-Carbomethoxy-1-
 azabicyclo[2.2.2]octane 31539-88-5, 3-Carbomethoxy-1-
 azabicyclo[2.2.2]oct-2-ene 33229-89-9, Ethyl N,N-dimethylaminoglycine
 36193-65-4, 1H-Indole-2-carbonitrile 38206-86-9, 3-Carbomethoxy-1-
 azabicyclo[2.2.2]octane **50264-88-5**, Indazole-3-carbonitrile
 60680-97-9, 1-Methylindole-2-nitrile 114761-19-2 114761-20-5
 118959-44-7, 1-Methylindole-3-carboxamide 122684-38-2 125097-83-8
 125817-97-2 125817-98-3, 3-Cyano-5-fluoro-1-methylindole 125817-99-4
 (reaction of, in prepn. of pharmaceuticals)

L10 ANSWER 14 OF 19 USPATFULL

AB The present invention is concerned with compounds of formula 1: ##STR1##
 wherein R.sub.1 is straight or branched alkyl having 1-4 C-atoms,
 halogen or cyano;

n has the value 0-1;

R.sub.2 is hydrogen, (1-6 C)alkyl, (3-6 C)alkenyl, (3-6 C)alkenyl, (3-6 C)cycloalkyl, (3-6 C)cycloalkyl-(1-4 C) alkyl, phenyl, phenyl-(1-3 C)alkyl, COOR.sub.6, COR.sub.6, CONR.sub.6 R.sub.7 or SO.sub.2
 --R.sub.6, wherein R.sub.6 and R.sub.7 independently of each other are hydrogen, (1-6 C)alkyl, (3-6 C)cycloalkyl, phenyl or phenyl-(1-4 C)alkyl, wherein the benzene ring is optionally substituted with a methyl group or a halogen atom, with the proviso that R.sub.6 is not hydrogen when R.sub.2 is a group COOR.sub.6 or SO.sub.2 R.sub.6 ;

R.sub.3 is hydrogen, straight or branched (1-6 C)alkyl or a phenyl-(1-3 C)alkyl group optionally substituted in the benzene ring; and

A is a group of formula 2 or 3 ##STR2## wherein one of the groups R.sub.8, R.sub.9 and R.sub.10 is hydrogen, (1-C)alkyl, (3-6 C)cycloalkyl, (3-4 C)alkenyl or (3-4 C)alkynyl and the two other groups, independently of each other, are hydrogen or (1-4 C)alkyl, and the pharmacologically acceptable acid addition salts thereof, which are pharmaceutically useful as strong and selective antagonists of "neuronal" 5-hydroxy tryptamine (5-HT) receptors.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 91:62806 USPATFULL
 TITLE: Substituted 1H-indazole-3-carboxamides
 INVENTOR(S): Hamminga, Derk, Weesp, Netherlands
 van Wijngaarden, Ineke, Weesp, Netherlands
 PATENT ASSIGNEE(S): Duphar International Research B.V., Weesp, Netherlands
 (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5037844		19910806
APPLICATION INFO.:	US 1990-554918		19900720 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	NL 1989-1917	19890725
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Lee, Mary C.	
ASSISTANT EXAMINER:	McKane, Joseph K.	
LEGAL REPRESENTATIVE:	Stevens, Davis, Miller & Mosher	
NUMBER OF CLAIMS:	3	
EXEMPLARY CLAIM:	1	
LINE COUNT:	270	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . may be used for the treatment of symptoms which are caused by overexcitation of the said receptors a) in the **gastrointestinal** system (nausea and vomiting as a result of exogenic factors, for example, cancer therapy, or endogenic factors, for example, **stasis** of the stomach and migraine), ulcer, dyspepsia, spasms, irritable **bowel** syndrome, etc., or b) in the central nervous system (hallucinations, delusions, manias, depressions, anxiety, pain, nausea, improvement of vigility, etc.,. . . .

IT 134615-45-5P 134615-46-6P
 (prepn. and reaction of, in prepn. of serotonin antagonist)

IT 134615-41-1P 134615-42-2P 134615-43-3P
 134615-44-4P
 (prepn. of, as serotonin antagonist)

IT 50890-83-0 126921-14-0 127984-54-7
 (reaction of, in prepn. of serotonin antagonist)

L10 ANSWER 15 OF 19 USPATFULL

AB The present invention provides ketones of the general formula (I):
 ##STR1## and physiologically acceptable salts and solvates thereof,
 wherein R.sup.1 and R.sup.2, which may be the same or different, each
 represents a hydrogen atom or a C.sub.1-6 alkyl group;

Im represents an imidazolyl group of formula: ##STR2## wherein one of
 the groups represented by R.sup.3, R.sup.4 and R.sup.5 is a hydrogen

atom or a C.sub.1-6 alkyl, C.sub.3-7 cycloalkyl, C.sub.3-6 alkenyl, phenyl or phenylC.sub.1-3 alkyl group, and each of the other two groups, which may be the same or different, represents a hydrogen atom or a C.sub.1-6 alkyl group; and p0 A is a group of the formula (a), (b), (c), (d), (e), (f) or (g): ##STR3## and when A is the group (g), the group --COCR.sup.1 R.sup.2 CH.sub.2 Im is attached at the 2- or 4- position of the indole moiety.

The compounds are potent and selective antagonists of the effect of 5-HT at 5-HT.sub.3 receptors and are useful, for example, in the treatment of psychotic disorders, anxiety and nausea and vomiting.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 91:42726 USPATFULL
 TITLE: Ketone derivatives
 INVENTOR(S): Oxford, Alexander W., Royston, England
 North, Peter C., Royston, England
 PATENT ASSIGNEE(S): Glaxo Group Limited, United States (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5019586		19910528
APPLICATION INFO.:	US 1989-440880		19891124 (7)
RELATED APPLN. INFO.:	Division of Ser. No. US 1988-180960, filed on 13 Apr 1988, now patented, Pat. No. US 4918080		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Lee, Mary C.		
ASSISTANT EXAMINER:	Davis, Peter		
LEGAL REPRESENTATIVE:	Bacon & Thomas		
NUMBER OF CLAIMS:	11		
EXEMPLARY CLAIM:	1,10		
LINE COUNT:	1572		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . vomiting, particularly that associated with cancer chemotherapy and radiotherapy. Compounds of formula (I) are also useful in the treatment of **gastric stasis**; symptoms of **gastrointestinal** dysfunction such as occur with dyspepsia, peptic ulcer, reflux oesophagitis, flatulence and irritable **bowel** syndrome; migraine; and pain. Compounds of formula (I) may also be used in the treatment of dependency on drugs and. . .

SUMM . . . disorder such as schizophrenia or mania; or from anxiety; nausea or vomiting, particularly that associated with cancer chemotherapy and radiotherapy; **gastric stasis**; symptoms of **gastrointestinal** dysfunction such as dyspepsia, reflux oesophagitis, peptic ulcer, flatulence and irritable **bowel** syndrome; migraine; pain; dependency on drugs or substances of abuse; depression; or dementia and other cognitive disorders, which comprises administering. . .

SUMM . . . of formula (I) may also be administered in combination with other therapeutic agents. Thus, for example, in the treatment of **gastric stasis**, symptoms of **gastrointestinal** dysfunction and nausea and vomiting, the compounds of formula (I) may be administered in combination with antisecretory agents such as. . .

IT 52648-88-1P 69271-42-7P 120159-91-3P 120159-96-8P
 120159-97-9P 120159-98-0P 120159-99-1P 120160-00-1P 120160-01-2P
 120160-02-3P 120160-03-4P 120160-05-6P 120160-06-7P 120160-07-8P

120160-08-9P 120160-09-0P 120160-10-3P 120160-11-4P 120160-12-5P
 120160-13-6P 120160-14-7P 120160-15-8P 120160-16-9P 120160-17-0P
 120160-18-1P 120160-19-2P 120160-20-5P 120160-21-6P 120160-22-7P
 120160-23-8P 120160-24-9P **120160-25-0P** 120160-26-1P
 120160-27-2P 120160-28-3P 120160-29-4P, 1-(1-Methyl-1H-indol-4-
 yl)ethanone 120160-62-5P 120160-72-7P 120160-75-0P 120160-77-2P
 120180-86-1P

(prepn. and reaction of, in prepn. of serotonin antagonists)

IT 117186-80-8P 120159-90-2P 120159-91-3P 120159-92-4P 120159-93-5P
120159-94-6P 120159-95-7P 120160-30-7P 120160-31-8P
 120160-33-0P 120160-35-2P 120160-37-4P 120160-39-6P 120160-40-9P
 120160-41-0P 120160-42-1P 120160-43-2P 120160-44-3P 120160-45-4P
 120160-47-6P 120160-48-7P 120160-49-8P 120160-50-1P 120160-51-2P
 120160-52-3P 120160-53-4P 120160-54-5P 120160-55-6P 120160-56-7P
 120160-57-8P 120160-58-9P 120160-59-0P 120160-60-3P 120160-61-4P
 120160-63-6P **120160-64-7P** 120160-66-9P 120160-68-1P
 120160-69-2P 120160-70-5P 120160-71-6P 120160-73-8P 120160-74-9P
 120160-76-1P 120180-87-2P

(prepn. of, as serotonin antagonist)

IT 22720-75-8, 2-Acetylbenzo[b]thiophene 24764-66-7, 1-Acetyl-4-
 methoxynaphthalene 40484-98-8, 3-Acetyl-2-methylbenzofuran
 50878-45-0, 1-Acetyl-2-methylnaphthalene **50890-83-0**,
 1-Methyl-1H-indazole-3-carboxylic acid 52648-88-1, 3-Acetyl-1,2,4-
 trimethyl-1H-pyrrole 60814-30-4, 4-Acetylquinoline 66611-15-2,
 3-Acetylbenzofuran 83393-46-8 90924-06-4, 1-Methyl-1H-indole-4-
 carboxylic acid 113140-81-1 120159-96-8

(reaction of, in prepn. of serotonin antagonists)

L10 ANSWER 16 OF 19 USPTAFULL

AB The present invention provides a compound of formula I or a salt or
 prodrug thereof: ##STR1## wherein the dotted circle represents one or
 two double bonds in any position in the 5-membered ring;

X, Y and Z independently represent oxygen, sulphur, nitrogen or carbon,
 provided that at least one of X, Y and Z represents oxygen, sulphur or
 nitrogen;

A represents a group of formula II: ##STR2## in which R^{sup.1} represents
 hydrogen, hydroxy, C_{sub.1-6} alkyl, C_{sub.2-6} alkenyl, C_{sub.2-6}
 alkynyl, C_{sub.1-6} alkoxy, hydroxy(C_{sub.1-6})alkyl, halogen, amino,
 cyano, --CONR^{sup.6} R^{sup.7} or --SO_{sub.2} NR^{sup.6} R^{sup.7}, in which
 R^{sup.6} and R^{sup.7} independently represent hydrogen, C_{sub.1-6} alkyl,
 C_{sub.2-6} alkenyl or C_{sub.2-6} alkynyl;

R^{sup.2} represents hydrogen, halogen, C_{sub.1-6} alkyl, C_{sub.1-6} alkoxy
 or C_{sub.1-6} alkylcarbonyl;

V represents nitrogen, ##STR3## and W represents oxygen, sulphur or
 ##STR4## in which R^{sup.8} represents hydrogen, C_{sub.1-6} alkyl,
 C_{sub.2-6} alkenyl or C_{sub.2-6} alkynyl;

E represents a bond or a straight or branched alkylene chain containing
 from 1 to 5 carbon atoms, and optionally being substituted with hydroxy
 or phenyl; and

F represents:

(a) a non-aromatic azacyclic or azabicyclic ring system; or

(b) a group of formula --NR.sup.a R.sup.b, in which R.sup.a and R.sup.b independently represent hydrogen, C.sub.1-6 alkyl, C.sub.2-6 alkenyl, C.sub.2-6 alkynyl or aryl(C.sub.1-6)alkyl; which compounds are useful in the treatment of psychotic disorders (e.g. schizophrenia and mania); anxiety; alcohol or drug withdrawal; pain; **gastric stasis**; **gastric** dysfunction (such as occurs with dyspepsia, peptic ulcer, reflux oesophagitis and flatulence); migraine, nausea and vomiting; and presenile and senile dementia.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 90:67640 USPATFULL
 TITLE: Physiologically active 1,2,4,-oxa- and thiadiazoles
 INVENTOR(S): Baker, Raymond, Much Hadham, England
 Saunders, John, Bishops Stortford, England
 Swain, Christopher, Duxford, England
 PATENT ASSIGNEE(S): Merck Sharp & Dohme Ltd., Hertfordshire, England
 (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4952587		19900828
APPLICATION INFO.:	US 1989-306007		19890203 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1988-3317	19880212
	GB 1988-10789	19880506
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Lee, Mary C.	
ASSISTANT EXAMINER:	Dentz, Bernard L.	
LEGAL REPRESENTATIVE:	DiPrima, Joseph F., Polk, Manfred	
NUMBER OF CLAIMS:	5	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1558	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB . . . which compounds are useful in the treatment of psychotic disorders (e.g. schizophrenia and mania); anxiety; alcohol or drug withdrawal; pain; **gastric stasis**; **gastric** dysfunction (such as occurs with dyspepsia, peptic ulcer, reflux oesophagitis and flatulence); migraine, nausea and vomiting; and presenile and senile. . .

SUMM . . . heteroatom, which are useful in the treatment of psychotic disorders (e.g. schizophrenia and mania); anxiety; alcohol or drug withdrawal; pain; **gastric stasis**; **gastric** dysfunction (such as occurs with dyspepsia, peptic ulcer, reflux oesophagitis and flatulence); migraine, nausea and vomiting; and presenile and senile. . .

CLM What is claimed is:

4. A pharmaceutical composition for the treatment of psychotic disorders; anxiety; alcohol or drug withdrawal; pain; **gastric stasis**; **gastric** dysfunction; migraine, nausea and vomiting; and presenile and senile dementia; comprising an effective amount of a compound according to claim. . .
5. A method for the treatment of psychotic disorders; anxiety; alcohol or drug withdrawal; pain; **gastric** dysfunction; migraine, nausea and vomiting; and presenile and senile dementia; which method

comprises administering to a patient in need of. . .

IT 24662-21-3P, 1-Methylindole-3-thiocarboxamide **50264-88-5P**,
 1H-Indazole-3-carbonitrile 95649-37-9P 123837-16-1P 125136-76-7P
 125136-81-4P 125817-99-4P 125818-00-0P 125818-01-1P 125818-02-2P
 125818-03-3P 125818-04-4P 125818-05-5P 125818-06-6P 125818-07-7P
 125818-08-8P 125818-09-9P 125818-10-2P 125818-11-3P,
 1,7-Dimethylindole-3-carboxylic acid 125818-12-4P, 1,7-Dimethylindole-3-
 carboxamide 125818-13-5P, 1,7-Dimethylindole-3-nitrile 125818-14-6P
 125818-15-7P 125818-16-8P 125818-17-9P 125818-18-0P 125818-19-1P
 125834-61-9P 125875-32-3P
 (prepn. and reaction of, in prepn. of pharmaceuticals)

IT 125817-30-3P 125817-31-4P 125817-32-5P 125817-33-6P 125817-34-7P
 125817-35-8P 125817-36-9P 125817-37-0P 125817-38-1P 125817-39-2P
 125817-40-5P 125817-41-6P 125817-42-7P 125817-43-8P 125817-44-9P
 125817-45-0P 125817-46-1P 125817-47-2P 125817-48-3P 125817-49-4P
 125817-50-7P 125817-51-8P 125817-52-9P 125817-53-0P 125817-54-1P
 125817-55-2P **125817-56-3P** 125817-57-4P 125817-58-5P
 125817-59-6P 125817-60-9P 125817-61-0P 125817-63-2P 125817-64-3P
 125817-65-4P 125817-66-5P 125817-67-6P 125817-68-7P 125817-69-8P
 125817-70-1P 125817-72-3P 125817-73-4P 125817-74-5P 125817-75-6P
 125817-76-7P 125817-78-9P 125817-79-0P 125817-80-3P 125817-81-4P
 125817-82-5P 125817-83-6P 125817-84-7P 125817-85-8P 125817-86-9P
 125817-87-0P **125817-89-2P** 125817-90-5P 125817-91-6P
 125817-92-7P 125817-93-8P 125817-94-9P 125817-95-0P 125817-96-1P
 125834-59-5P 125834-60-8P 125875-28-7P 125875-29-8P 125875-30-1P
 125875-31-2P 125948-38-1P 125948-39-2P 125948-40-5P 125948-41-6P
 (prepn. of, for treatment of psychotic disorders, senile dementia,
 peptic ulcer, etc.)

IT 50-00-0, Formaldehyde, reactions 54-85-3, Isonicotinic acid hydrazide
 74-89-5, Methylamine, reactions 96-33-3, Methyl acrylate 110-89-4,
 Piperidine, reactions 1690-72-8, Methyl 1-methylpiperidine-3-
 carboxylate 1690-75-1, Methyl 1-methylpiperidine-4-carboxylate
 3853-06-3, Methyl 3-dimethylaminopropanoate 4621-66-3, Thionicotinamide
 5457-28-3, 1H-Indole-3-nitrile 5470-11-1, Hydroxylamine hydrochloride
 6238-34-2 14719-37-0, Ethyl N-tert-butoxycarbonyl glycinate
 17380-46-0, 3-Bromoacetyl-5-cyanoindole 17694-68-7 18513-76-3
 24424-99-5, Di-tert-butyldicarbonate 24662-37-1 30448-16-9,
 7-Methylindole-3-carboxylic acid 30740-19-3, 2-Carbomethoxy-1-
 azabicyclo[2.2.2]octane 31539-88-5, 3-Carbomethoxy-1-
 azabicyclo[2.2.2]oct-2-ene 33229-89-9, Ethyl N,N-dimethylaminoglycine
 36193-65-4, 1H-Indole-2-carbonitrile 38206-86-9, 3-Carbomethoxy-1-
 azabicyclo[2.2.2]octane **50264-88-5**, Indazole-3-carbonitrile
 60680-97-9, 1-Methylindole-2-nitrile 114761-19-2 114761-20-5
 118959-44-7, 1-Methylindole-3-carboxamide 122684-38-2 125097-83-8
 125817-97-2 125817-98-3, 3-Cyano-5-fluoro-1-methylindole 125817-99-4
 (reaction of, in prepn. of pharmaceuticals)

L10 ANSWER 17 OF 19 USPATFULL

AB The present invention provides a compound of formula I or a salt or
 prodrug thereof: ##STR1## wherein the dotted line represents an optional
 chemical bond in one of the two possible positions;

A represents a group of formula II: ##STR2## in which R.sup.1 represents
 hydrogen, hydroxy, C.sub.1-6 alkyl, C.sub.2-6 alkenyl, C.sub.2-6
 alkynyl, C.sub.1-6 alkoxy, benzyloxy, hydroxy (C.sub.1-6)alkyl, halogen,
 amino, cyano, nitro, --CONR.sup.6 R.sup.7 or --SO.sub.2 NR.sup.6
 R.sup.7, in which R.sup.6 and R.sup.7 independently represent hydrogen,
 halogen, C.sub.1-6 alkyl, C.sub.2-6 alkenyl or C.sub.2-6 alkynyl;

R.sup.2 represents hydrogen, halogen, C.sub.1-6 alkyl, C.sub.1-6 alkoxy or C.sub.1-6 alkylcarbonyl;

V represents nitrogen, --CH or --C--; and

W represents oxygen, sulphur or --NR.sup.8, in which R.sup.8 represents hydrogen, C.sub.1-6 alkyl, C.sub.2-6 alkenyl or C.sub.2-6 alkynyl;

two of X, Y and Z are the same or different and each represents oxygen, sulphur or nitrogen; and the remaining group X, Y or Z is carbon, or Y is carbonyl (C=O); and

Q is the residue of an azacyclic or azabicyclic ring system; which compounds are useful in the treatment of psychotic disorders (e.g. schizophrenia and mania); anxiety; alcohol or drug withdrawal or dependence; pain; **gastric stasis**; **gastric** dysfunction (such as occurs with dyspepsia, peptic ulcer, reflux oesophagitis and flatulence); migraine, nausea and vomiting; movement disorders; and presenile and senile dementia.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 90:54599 USPATFULL
 TITLE: Spirocyclic compounds incorporating five-membered rings with two heteroatoms for treating psychotic disorders, etc.
 INVENTOR(S): Baker, Raymond, Much Hadham, England
 Kneen, Clare O., Little Walden, England
 Saunders, John, Bishops Stortford, England
 Swain, Christopher, Duxford, England
 PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, Hoddesdon, England (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4940703		19900710
APPLICATION INFO.:	US 1989-333076		19890404 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1988-8433	19880411
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Schwartz, Richard A.	
LEGAL REPRESENTATIVE:	Nicholson, William H., DiPrima, Joseph F.	
NUMBER OF CLAIMS:	8	
EXEMPLARY CLAIM:	1,8	
LINE COUNT:	1616	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB . . . are useful in the treatment of psychotic disorders (e.g. schizophrenia and mania); anxiety; alcohol or drug withdrawal or dependence; pain; **gastric stasis**; **gastric** dysfunction (such as occurs with dyspepsia, peptic ulcer, reflux oesophagitis and flatulence); migraine, nausea and vomiting; movement disorders; and presenile. . . .

SUMM . . . are useful in the treatment of psychotic disorders (e.g. schizophrenia and mania); anxiety; alcohol or drug withdrawal or dependence; pain; **gastric stasis**; **gastric**

dysfunction (such as occurs with dyspepsia, peptic ulcer, reflux oesophagitis and flatulence); migraine, nausea and vomiting; movement disorders; and presenile. . . .

CLM What is claimed is:

7. A pharmaceutical composition for the treatment of psychotic disorders; anxiety; alcohol or drug withdrawal or dependence; pain; **gastric stasis**; **gastric** dysfunction; migraine; nausea and vomiting; movement disorders; or presenile and senile dementia; comprising an effective amount for the intended purpose. . . .

8. A method for the treatment of psychotic disorders; anxiety; alcohol or drug withdrawal or dependence; pain; **gastric stasis**; **gastric** dysfunction; migraine, nausea and vomiting; movement disorders; and presenile and senile dementia; which method comprises administering to a patient in. . . .

IT 128199-67-7P 128199-68-8P 128199-69-9P 128199-70-2P 128199-71-3P
 128199-73-5P 128199-74-6P 128199-75-7P 128199-76-8P 128199-77-9P
 128199-78-0P 128199-79-1P 128199-80-4P 128199-81-5P 128199-82-6P
 128199-83-7P 128199-84-8P 128199-85-9P **128199-86-0P**
 128199-87-1P 128199-88-2P 128199-89-3P 128199-90-6P 128199-91-7P
 128199-92-8P 128199-93-9P 128199-94-0P 128199-95-1P 128199-96-2P
 128199-97-3P 128199-98-4P 128199-99-5P 128200-00-0P 128200-01-1P
 128200-02-2P **128200-03-3P** 128200-04-4P 128200-05-5P
 128200-06-6P 128200-07-7P 128200-08-8P 128200-09-9P 128200-10-2P
 128223-36-9P 128223-37-0P 128223-38-1P 128298-52-2P 128298-53-3P
 128298-54-4P 128298-55-5P 128298-56-6P 128298-57-7P 128298-59-9P
 129511-54-2P

(prepn. of, as serotonergic S3 antagonist)

IT 106-95-6, Allyl bromide, reactions 106-96-7, Propargyl bromide
 532-24-1, 8-Methyl-8-azabicyclo[3.2.1]octan-3-one 539-74-2, Ethyl
 3-bromopropionate 771-50-6, Indole-3-carboxylic acid 1006-94-6,
 5-Methoxy-1H-indole 1193-65-3, 3-Quinuclidinone hydrochloride
 5006-62-2, Ethyl nipecotate 5457-28-3, 1H-Indole-3-nitrile 6146-52-7,
 5-Nitro-1H-indole 6238-30-8 7051-34-5, (Bromomethyl)cyclopropane
 7677-24-9, Trimethylsilyl cyanide 21472-89-9, 1-Azabicyclo[2.2.1]heptan-
 3-one 24434-84-2, Benzo[b]thiophene-3-carbonitrile 24662-37-1,
 1-Methyl-1H-indole-3-nitrile **50264-88-5**, 1H-Indazole-3-
 carbonitrile 125817-98-3 125818-13-5, 1,7-Dimethyl-1H-indole-3-
 nitrile 128200-42-0 128200-43-1 128200-44-2 128200-45-3
 128200-46-4, 1,5-Dimethyl-1H-indole-3-nitrile

(reaction of, in prepn. of serotonergic S3 antagonists)

L10 ANSWER 18 OF 19 USPATFULL

AB The present invention provides ketones of the general formula (I):
 ##STR1## and physiologically acceptable salts and solvates thereof,
 wherein R.sup.1 and R.sup.2, which may be the same or different, each
 represents a hydrogen atom or a C.sub.1-6 alkyl group; Im represents an
 imidazolyl group of formula: ##STR2## wherein one of the groups
 represented by R.sup.3, R.sup.4 and R.sup.5 is a hydrogen atom or a
 C.sub.1-6 alkyl, C.sub.3-7 cycloalkyl, C.sub.3-6 alkenyl, phenyl or
 phenyl C.sub.1-3 alkyl group, and each of the other two groups, which
 may be the same or different, represents a hydrogen atom or a C.sub.1-6
 alkyl group; and an aromatic or heteroaromatic group as defined in the
 specification.

The compounds are potent and selective antagonists of the effect of 5-HT at 5-HT.sub.3 receptors and are useful, for example, in the treatment of psychotic disorders, anxiety and nausea and vomiting.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 90:30024 USPATFULL
 TITLE: Imidazolyl containing ketone derivatives
 INVENTOR(S): Oxford, Alexander W., Royston, England
 Cavalla, David J., London, England
 North, Peter C., Royston, England
 PATENT ASSIGNEE(S): Glaxo Group Limited, London, England (non-U.S.
 corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4918080		19900417
APPLICATION INFO.:	US 1988-180960		19880413 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1987-8943	19870414
	GB 1987-13227	19870605
	GB 1987-13226	19870605
	GB 1987-16698	19870715
	GB 1987-20694	19870903

DOCUMENT TYPE: Utility
 FILE SEGMENT: Granted
 PRIMARY EXAMINER: Lee, Mary C.
 ASSISTANT EXAMINER: Dentz, Bernard I.
 LEGAL REPRESENTATIVE: Bacon & Thomas
 NUMBER OF CLAIMS: 8
 EXEMPLARY CLAIM: 1
 LINE COUNT: 1568

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . vomiting, particularly that associated with cancer chemotherapy and radiotherapy. Compounds of formula (I) are also useful in the treatment of **gastric stasis**; symptoms of **gastrointestinal** dysfunction such as occur with dyspepsia, peptic ulcer, reflux oesophagitis, flatulence and irritable **bowel** syndrome; migraine; and pain. Compounds of formula (I) may also be used in the treatment of dependency on drugs and. . .

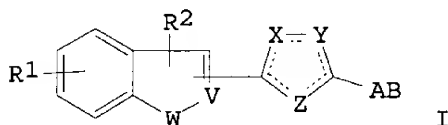
SUMM . . . disorder such as schizophrenia or mania; or from anxiety; nausea or vomiting, particularly that associated with cancer chemotherapy and radiotherapy; **gastric stasis**; symptoms of **gastrointestinal** dysfunction such as dyspepsia, reflux oesophagitis, peptic ulcer, flatulence and irritable **bowel** syndrome; migraine; pain; dependency on drugs or substances of abuse; depression; or dementia and other cognitive disorders, which comprises administering. . .

SUMM . . . of formula (I) may also be administered in combination with other therapeutic agents. Thus, for example, in the treatment of **gastric stasis**, symptoms of **gastrointestinal** dysfunction and nausea and vomiting, the compounds of formula (I) may be administered in combination with antisecretory agents such as. . .

IT 52648-88-1P **69271-42-7P** 120159-91-3P 120159-96-8P
 120159-97-9P 120159-98-0P 120159-99-1P 120160-00-1P 120160-01-2P
 120160-02-3P 120160-03-4P 120160-05-6P 120160-06-7P 120160-07-8P
 120160-08-9P 120160-09-0P 120160-10-3P 120160-11-4P 120160-12-5P
 120160-13-6P 120160-14-7P 120160-15-8P 120160-16-9P 120160-17-0P
 120160-18-1P 120160-19-2P 120160-20-5P 120160-21-6P 120160-22-7P
 120160-23-8P 120160-24-9P **120160-25-0P** 120160-26-1P

120160-27-2P 120160-28-3P 120160-29-4P, 1-(1-Methyl-1H-indol-4-yl)ethanone 120160-62-5P 120160-72-7P 120160-75-0P 120160-77-2P 120180-86-1P
 (prepn. and reaction of, in prepn. of serotonin antagonists)
 IT 117186-80-8P 120159-90-2P 120159-91-3P 120159-92-4P 120159-93-5P
120159-94-6P 120159-95-7P 120160-30-7P 120160-31-8P
 120160-33-0P 120160-35-2P 120160-37-4P 120160-39-6P 120160-40-9P
 120160-41-0P 120160-42-1P 120160-43-2P 120160-44-3P 120160-45-4P
 120160-47-6P 120160-48-7P 120160-49-8P 120160-50-1P 120160-51-2P
 120160-52-3P 120160-53-4P 120160-54-5P 120160-55-6P 120160-56-7P
 120160-57-8P 120160-58-9P 120160-59-0P 120160-60-3P 120160-61-4P
 120160-63-6P **120160-64-7P** 120160-66-9P 120160-68-1P
 120160-69-2P 120160-70-5P 120160-71-6P 120160-73-8P 120160-74-9P
 120160-76-1P 120180-87-2P
 (prepn. of, as serotonin antagonist)
 IT 22720-75-8, 2-Acetylbenzo[b]thiophene 24764-66-7, 1-Acetyl-4-methoxynaphthalene 40484-98-8, 3-Acetyl-2-methylbenzofuran 50878-45-0, 1-Acetyl-2-methylnaphthalene **50890-83-0**, 1-Methyl-1H-indazole-3-carboxylic acid 52648-88-1, 3-Acetyl-1,2,4-trimethyl-1H-pyrrole 60814-30-4, 4-Acetylquinoline 66611-15-2, 3-Acetylbenzofuran 83393-46-8 90924-06-4, 1-Methyl-1H-indole-4-carboxylic acid 113140-81-1 120159-96-8
 (reaction of, in prepn. of serotonin antagonists)

L10 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2002 ACS
 GI



AB Title compds. I [X, Y, Z = O, S, N, C and at least one of X, Y, and Z = O, S, N; the dotted circle = one or two double bonds in any position; R1 = H, OH, alkyl, alkenyl, alkynyl, amino, cyano, etc.; R2 = H, halo, alkyl, alkoxy, alkylcarbonyl; V = CH, C (when bond with the 5-membered ring); W = O, S, NR3 (R3 = H, alkyl, alkenyl, alkynyl); A = bond, (substituted) alkylene; B = non-arom. aza(bi)cyclyl, NR4R5 (R4, R5 = H, alkyl, alkenyl, alkynyl, aralkyl)] are prepd. I are useful for treating psychotic disorders (e.g. schizophrenia, mania), anxiety, alc. or drug withdrawal, pain, **gastric stasis**, **gastric** dysfunction, peptic ulcer, esophageal reflux, flatulence), migraine, nausea, vomiting, and presenile and senile dementia (Alzheimer's disease) (no data). A mixt. of H2NOH, HCl, K2CO3, and 1-methylindole-3-nitrile in EtOH was refluxed to give 1-methylindol-3-ylamide oxime, which in DMF in the presence of mol. sieves was successively treated with NaH and 3-carbomethoxy-1-azabicyclo[2.2.2]octane to give 3-[3-(methylindol-3-yl)-1,2,4-oxadiazol-5-yl]-1-azabicyclo[2.2.2]octane.

ACCESSION NUMBER: 1990:139035 CAPLUS
 DOCUMENT NUMBER: 112:139035
 TITLE: Five-membered heterocycles as pharmaceuticals
 INVENTOR(S): Baker, Raymond; Saunders, John; Swain, Christopher
 PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
 SOURCE: Eur. Pat. Appl., 39 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 328200	A1	19890816	EP 1989-200244	19890203
EP 328200	B1	19931208		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4952587	A	19900828	US 1989-306007	19890203
AT 98241	E	19931215	AT 1989-200244	19890203
ES 2061928	T3	19941216	ES 1989-200244	19890203
ZA 8900921	A	19900228	ZA 1989-921	19890207
JP 01268687	A2	19891026	JP 1989-28813	19890209
JP 2505875	B2	19960612		
FI 8900657	A	19890813	FI 1989-657	19890210
DK 8900616	A	19890813	DK 1989-616	19890210
NO 8900594	A	19890814	NO 1989-594	19890210
AU 8929860	A1	19890817	AU 1989-29860	19890210
AU 614027	B2	19910815		
CA 1337199	A1	19951003	CA 1989-590772	19890210
US 5041456	A	19910820	US 1990-552395	19900713
PRIORITY APPLN. INFO.:			GB 1988-3317	19880212
			GB 1988-10789	19880506
			EP 1989-200244	19890203
			US 1989-306007	19890203

AB Title compds. I [X, Y, Z = O, S, N, C and at least one of X, Y, and Z = O, S, N; the dotted circle = one or two double bonds in any position; R1 = H, OH, alkyl, alkenyl, alkynyl, amino, cyano, etc.; R2 = H, halo, alkyl, alkoxy, alkylcarbonyl; V = CH, C (when bond with the 5-membered ring); W = O, S, NR3 (R3 = H, alkyl, alkenyl, alkynyl); A = bond, (substituted) alkylene; B = non-arom. aza(bi)cyclyl, NR4R5 (R4, R5 = H, alkyl, alkenyl, alkynyl, aralkyl)] are prepd. I are useful for treating psychotic disorders (e.g. schizophrenia, mania), anxiety, alc. or drug withdrawal, pain, **gastric stasis**, **gastric** dysfunction, peptic ulcer, esophageal reflux, flatulence), migraine, nausea, vomiting, and presenile and senile dementia (Alzheimer's disease) (no data). A mixt. of H2NOH, HCl, K2CO3, and 1-methylindole-3-nitrile in EtOH was refluxed to give 1-methylindol-3-ylamide oxime, which in DMF in the presence of mol. sieves was successively treated with NaH and 3-carbomethoxy-1-azabicyclo[2.2.2]octane to give 3-[3-(methylindol-3-yl)-1,2,4-oxadiazol-5-yl]-1-azabicyclo[2.2.2]octane.

IT Stomach, disease or disorder

(**stasis**, treatment of, by oxadiazoles, thiadiazoles, and thiazoles)

IT 24662-21-3P, 1-Methylindole-3-thiocarboxamide **50264-88-5P**, 1H-Indazole-3-carbonitrile 95649-37-9P 123837-16-1P 125136-76-7P 125136-81-4P 125817-99-4P 125818-00-0P 125818-01-1P 125818-02-2P 125818-03-3P 125818-04-4P 125818-05-5P 125818-06-6P 125818-07-7P 125818-08-8P 125818-09-9P 125818-10-2P 125818-11-3P, 1,7-Dimethylindole-3-carboxylic acid 125818-12-4P, 1,7-Dimethylindole-3-carboxamide 125818-13-5P, 1,7-Dimethylindole-3-nitrile 125818-14-6P 125818-15-7P 125818-16-8P 125818-17-9P 125818-18-0P 125818-19-1P 125834-61-9P 125875-32-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, in prepn. of pharmaceuticals)

IT 125817-30-3P 125817-31-4P 125817-32-5P 125817-33-6P 125817-34-7P
 125817-35-8P 125817-36-9P 125817-37-0P 125817-38-1P 125817-39-2P
 125817-40-5P 125817-41-6P 125817-42-7P 125817-43-8P 125817-44-9P
 125817-45-0P 125817-46-1P 125817-47-2P 125817-48-3P 125817-49-4P
 125817-50-7P 125817-51-8P 125817-52-9P 125817-53-0P 125817-54-1P
 125817-55-2P **125817-56-3P** 125817-57-4P 125817-58-5P
 125817-59-6P 125817-60-9P 125817-61-0P 125817-63-2P 125817-64-3P
 125817-65-4P 125817-66-5P 125817-67-6P 125817-68-7P 125817-69-8P
 125817-70-1P 125817-72-3P 125817-73-4P 125817-74-5P 125817-75-6P
 125817-76-7P 125817-78-9P 125817-79-0P 125817-80-3P 125817-81-4P
 125817-82-5P 125817-83-6P 125817-84-7P 125817-85-8P 125817-86-9P
 125817-87-0P **125817-89-2P** 125817-90-5P 125817-91-6P
 125817-92-7P 125817-93-8P 125817-94-9P 125817-95-0P 125817-96-1P
 125834-59-5P 125834-60-8P 125875-28-7P 125875-29-8P 125875-30-1P
 125875-31-2P 125948-38-1P 125948-39-2P 125948-40-5P 125948-41-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, for treatment of psychotic disorders, senile dementia,
 peptic ulcer, etc.)

IT 50-00-0, Formaldehyde, reactions 54-85-3, Isonicotinic acid hydrazide
 74-89-5, Methylamine, reactions 96-33-3, Methyl acrylate 110-89-4,
 Piperidine, reactions 1690-72-8, Methyl 1-methylpiperidine-3-carboxylate
 1690-75-1, Methyl 1-methylpiperidine-4-carboxylate 3853-06-3, Methyl
 3-dimethylaminopropanoate 4621-66-3, Thionicotinamide 5457-28-3,
 1H-Indole-3-nitrile 5470-11-1, Hydroxylamine hydrochloride 6238-34-2
 14719-37-0, Ethyl N-tert-butoxycarbonyl glycinate 17380-46-0,
 3-Bromoacetyl-5-cyanoindole 17694-68-7 18513-76-3 24424-99-5,
 Di-tert-butyldicarbonate 24662-37-1 30448-16-9, 7-Methylindole-3-
 carboxylic acid 30740-19-3, 2-Carbomethoxy-1-azabicyclo[2.2.2]octane
 31539-88-5, 3-Carbomethoxy-1-azabicyclo[2.2.2]oct-2-ene 33229-89-9,
 Ethyl N,N-dimethylaminoglycine 36193-65-4, 1H-Indole-2-carbonitrile
 38206-86-9, 3-Carbomethoxy-1-azabicyclo[2.2.2]octane **50264-88-5**,
 Indazole-3-carbonitrile 60680-97-9, 1-Methylindole-2-nitrile
 114761-19-2 114761-20-5 118959-44-7, 1-Methylindole-3-carboxamide
 122684-38-2 125097-83-8 125817-97-2 125817-98-3,
 3-Cyano-5-fluoro-1-methylindole 125817-99-4

RL: RCT (Reactant)

(reaction of, in prepn. of pharmaceuticals)

09/476,253

=> d 110 hitstr 2-19

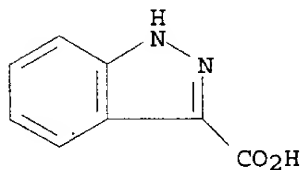
L10 ANSWER 2 OF 19 USPATFULL

IT 4498-67-3P, Indazole-3-carboxylic acid 50890-83-0P,
1-Methylindazole-3-carboxylic acid 106649-02-9P
109216-60-6P

(prepn. and reaction of, in prepn. of S3 antagonists)

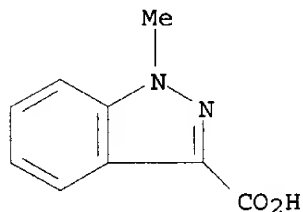
RN 4498-67-3 USPATFULL

CN 1H-Indazole-3-carboxylic acid (6CI, 8CI, 9CI) (CA INDEX NAME)



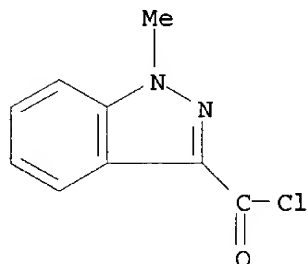
RN 50890-83-0 USPATFULL

CN 1H-Indazole-3-carboxylic acid, 1-methyl- (9CI) (CA INDEX NAME)



RN 106649-02-9 USPATFULL

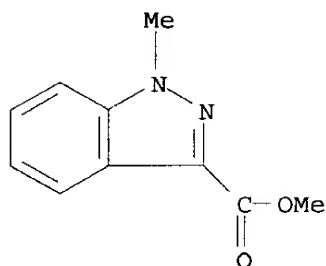
CN 1H-Indazole-3-carbonyl chloride, 1-methyl- (9CI) (CA INDEX NAME)



RN 109216-60-6 USPATFULL

CN 1H-Indazole-3-carboxylic acid, 1-methyl-, methyl ester (9CI) (CA INDEX NAME)

09/476,253



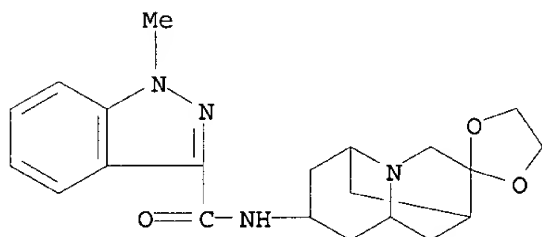
IT 148000-77-5P 148000-78-6P 148000-79-7P

148000-80-0P 148000-81-1P

(prepn. of, as S3 antagonist)

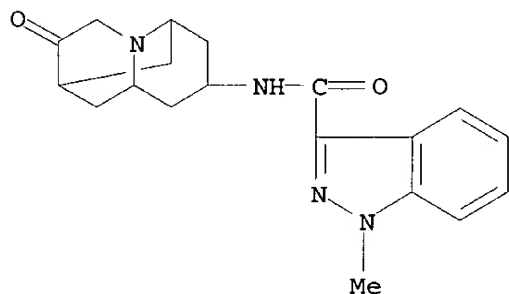
RN 148000-77-5 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(hexahydrospiro[1,3-dioxolane-2,3'-(4'H)-
[2,6]methano[2H]quinolizin]-8'-yl)-1-methyl-,
(2'.alpha.,6'.alpha.,8'.alpha.,9'a.beta.)- (9CI) (CA INDEX NAME)



RN 148000-78-6 USPATFULL

CN 1H-Indazole-3-carboxamide, 1-methyl-N-(octahydro-3-oxo-2,6-methano-2H-
quinolizin-8-yl)-, (2.alpha.,6.alpha.,8.alpha.,9a.beta.)- (9CI) (CA
INDEX NAME)



RN 148000-79-7 USPATFULL

CN 1H-Indazole-3-carboxamide, 1-methyl-N-(octahydro-3-oxo-2,6-methano-2H-
quinolizin-8-yl)-, (2.alpha.,6.alpha.,8.alpha.,9a.beta.)-,
monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

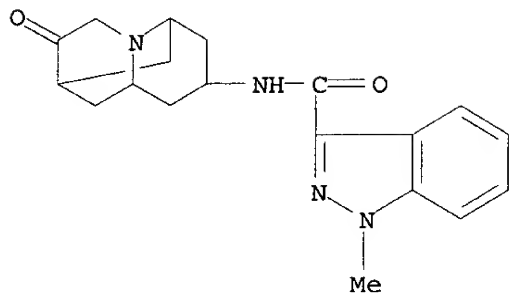
CRN 148000-78-6

CMF C19 H22 N4 O2

CDES *

Delacroix

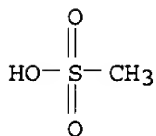
09/476,253



CM 2

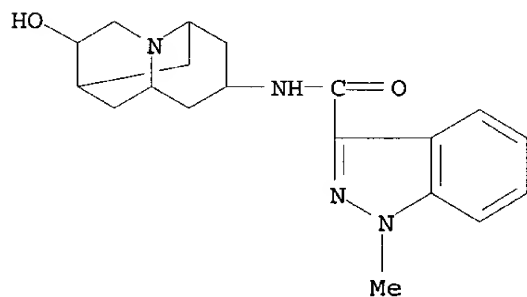
CRN 75-75-2

CMF C H4 O3 S



RN 148000-80-0 USPATFULL

CN 1H-Indazole-3-carboxamide, 1-methyl-N-(octahydro-3-hydroxy-2,6-methano-2H-quinolizin-8-yl)- (9CI) (CA INDEX NAME)



RN 148000-81-1 USPATFULL

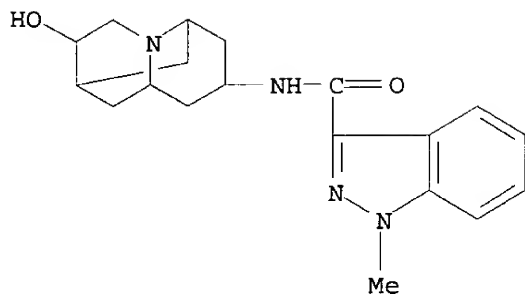
CN 1H-Indazole-3-carboxamide, 1-methyl-N-(octahydro-3-hydroxy-2,6-methano-2H-quinolizin-8-yl)-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 148000-80-0

CMF C19 H24 N4 O2

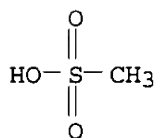
09/476,253



CM 2

CRN 75-75-2

CMF C H4 O3 S



L10 ANSWER 3 OF 19 USPATFULL

IT 174181-68-1P 174181-69-2P 174181-79-4P

174181-80-7P 174181-81-8P 174181-82-9P

174181-86-3P 174181-90-9P 174181-94-3P

174181-95-4P 174181-96-5P 174181-97-6P

174181-98-7P 174181-99-8P 174182-00-4P

174182-01-5P 174182-02-6P 174182-03-7P

174182-04-8P 174182-05-9P 174182-06-0P

174182-07-1P 174182-08-2P 174182-09-3P

174182-10-6P 174182-11-7P 174182-12-8P

174182-13-9P 174182-14-0P 174182-15-1P

174182-16-2P 174182-17-3P 174182-18-4P

174182-20-8P

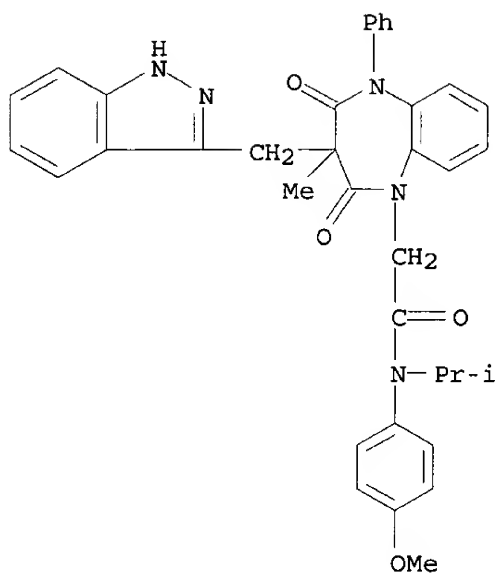
(prepn. of cholecystokinin and gastrin receptor-antagonist

1,5-benzodiazepindiones)

RN 174181-68-1 USPATFULL

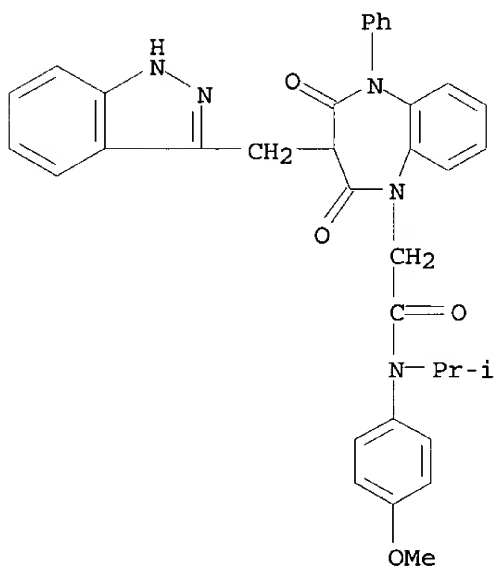
CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-N-(4-methoxyphenyl)-3-methyl-N-(1-methylethyl)-2,4-dioxo-5-phenyl- (9CI) (CA INDEX NAME)

09/476,253



RN 174181-69-2 USPATFULL

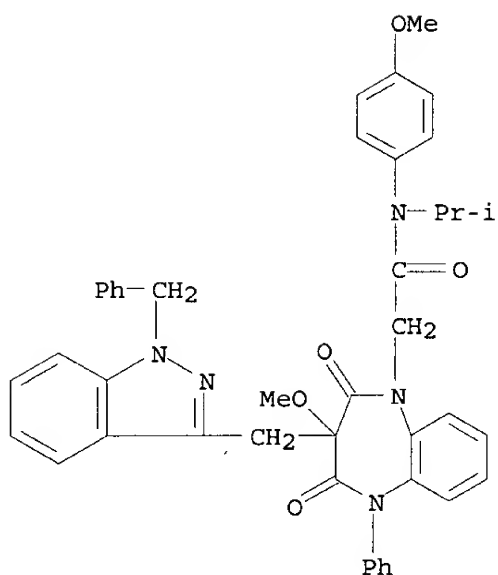
CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-N-(4-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo-5-phenyl- (9CI) (CA INDEX NAME)



RN 174181-79-4 USPATFULL

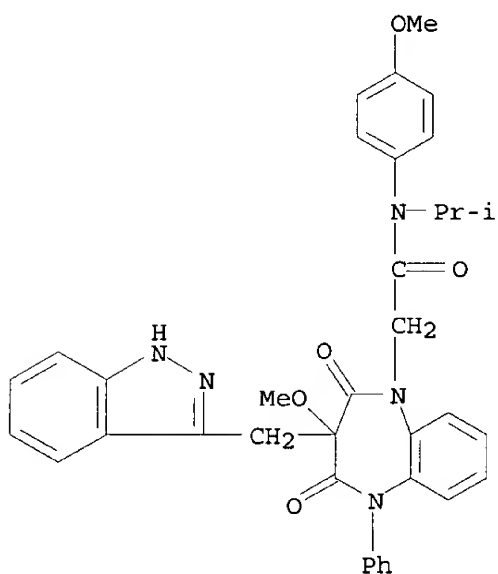
CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-methoxy-N-(4-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo-5-phenyl-3-[[1-(phenylmethyl)-1H-indazol-3-yl]methyl]- (9CI) (CA INDEX NAME)

09/476,253



RN 174181-80-7 USPATFULL

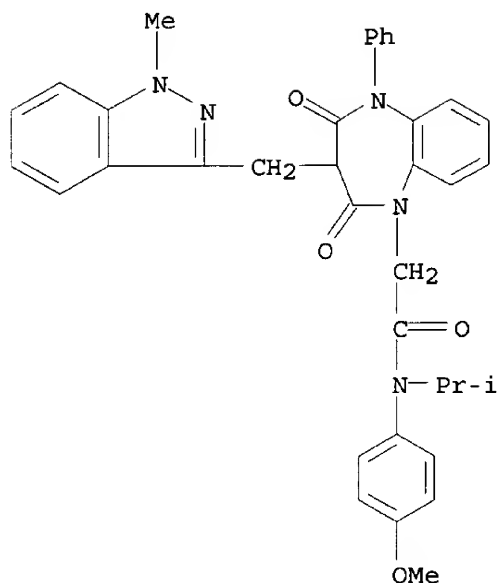
CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-3-methoxy-N-(4-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo-5-phenyl- (9CI) (CA INDEX NAME)



RN 174181-81-8 USPATFULL

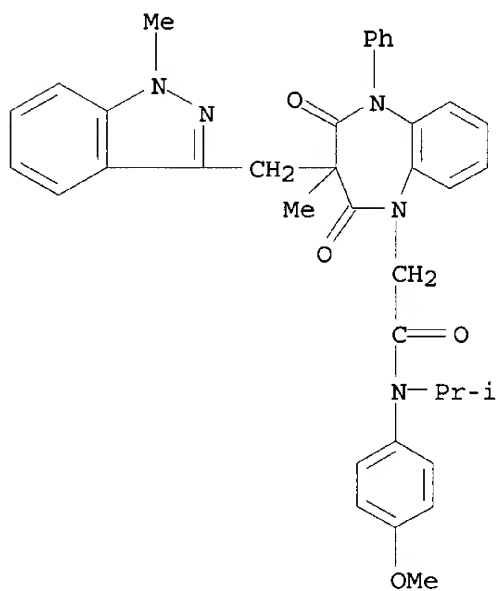
CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-N-(4-methoxyphenyl)-N-(1-methylethyl)-3-[(1-methyl-1H-indazol-3-yl)methyl]-2,4-dioxo-5-phenyl- (9CI) (CA INDEX NAME)

09/476,253



RN 174181-82-9 USPATFULL

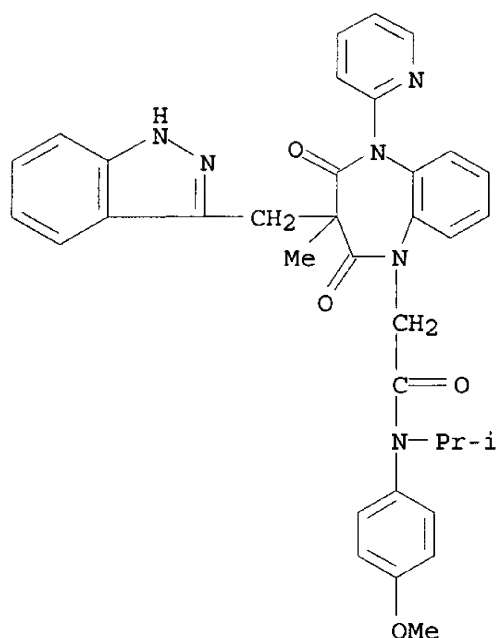
CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-N-(4-methoxyphenyl)-3-methyl-N-(1-methylethyl)-3-[(1-methyl-1H-indazol-3-yl)methyl]-2,4-dioxo-5-phenyl- (9CI) (CA INDEX NAME)



RN 174181-86-3 USPATFULL

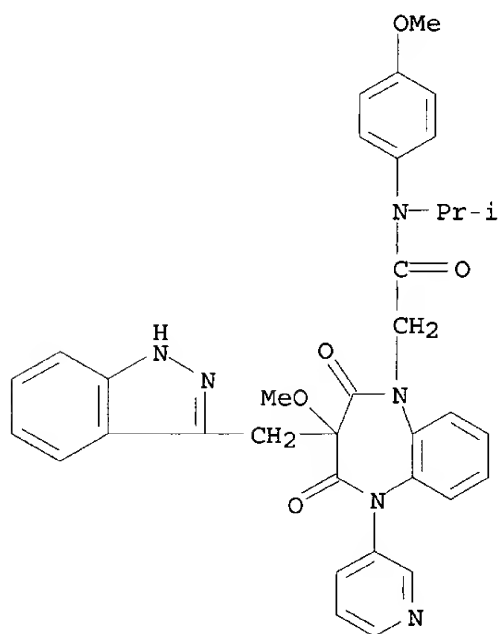
CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-N-(4-methoxyphenyl)-3-methyl-N-(1-methylethyl)-2,4-dioxo-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

09/476,253



RN 174181-90-9 USPATFULL

CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-3-methoxy-N-(4-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)

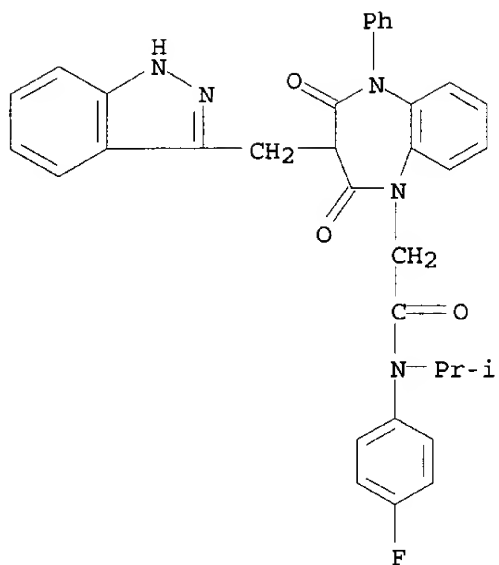


RN 174181-94-3 USPATFULL

CN 1H-1,5-Benzodiazepine-1-acetamide, N-(4-fluorophenyl)-2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-N-(1-methylethyl)-2,4-dioxo-5-phenyl- (9CI) (CA INDEX NAME)

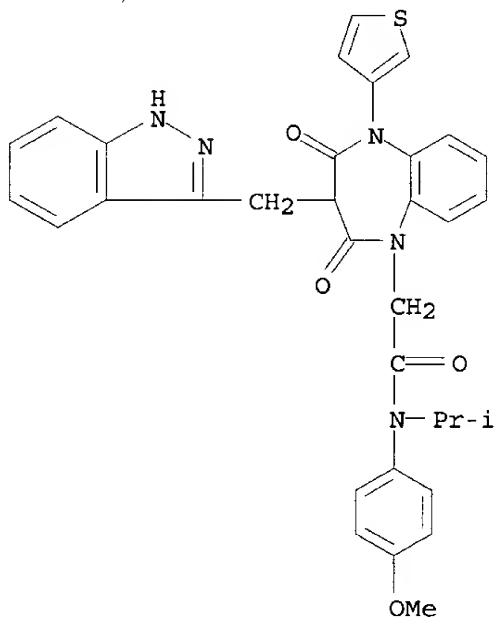
Delacroix

09/476,253



RN 174181-95-4 USPATFULL

CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-N-(4-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo-5-(3-thienyl)-(9CI) (CA INDEX NAME)

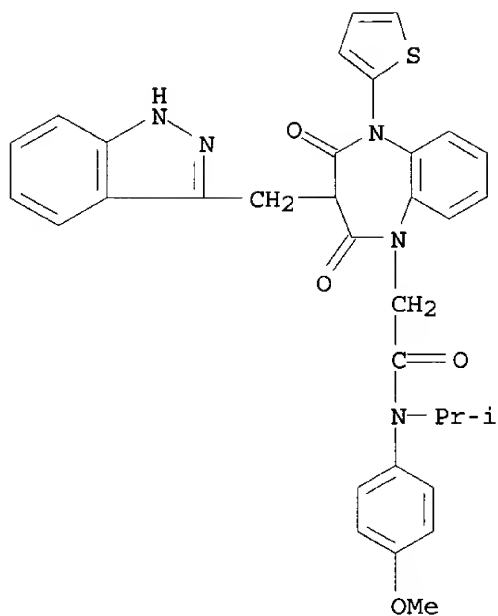


RN 174181-96-5 USPATFULL

CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-N-(4-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo-5-(2-thienyl)-(9CI) (CA INDEX NAME)

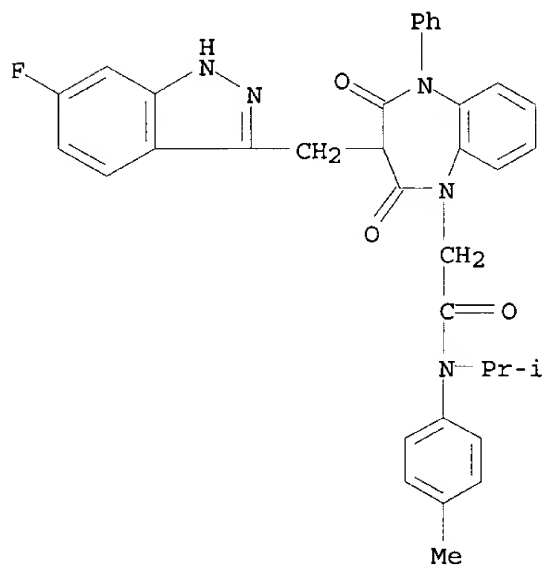
Delacroix

09/476,253



RN 174181-97-6 USPATFULL

CN 1H-1,5-Benzodiazepine-1-acetamide, 3-[(6-fluoro-1H-indazol-3-yl)methyl]-
2,3,4,5-tetrahydro-N-(1-methylethyl)-N-(4-methylphenyl)-2,4-dioxo-5-
phenyl- (9CI) (CA INDEX NAME)

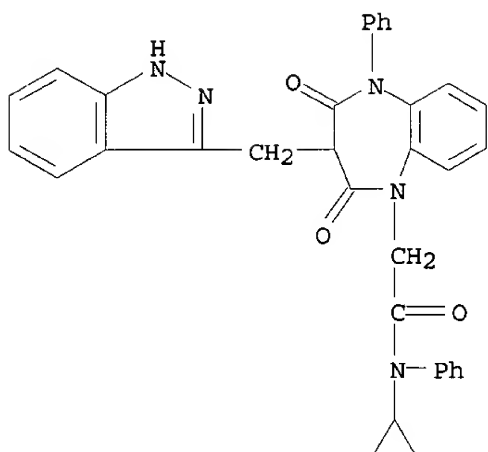


RN 174181-98-7 USPATFULL

CN 1H-1,5-Benzodiazepine-1-acetamide, N-cyclopropyl-2,3,4,5-tetrahydro-3-(1H-
indazol-3-ylmethyl)-2,4-dioxo-N,5-diphenyl- (9CI) (CA INDEX NAME)

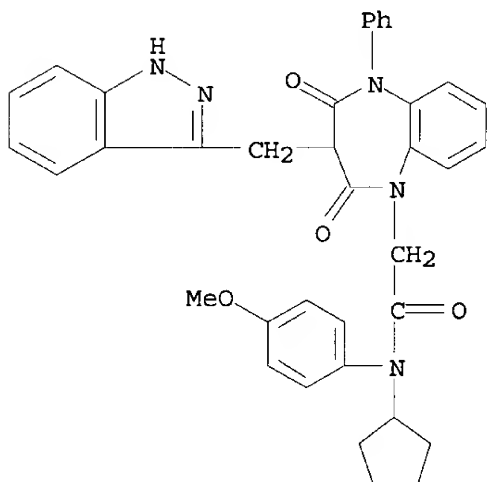
Delacroix

09/476,253



RN 174181-99-8 USPATFULL

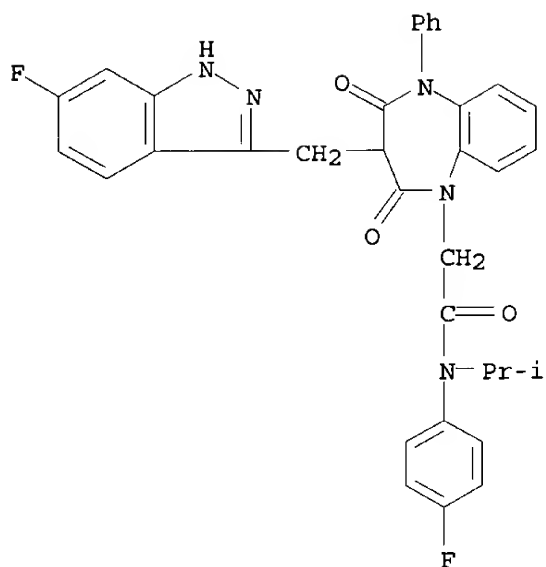
CN 1H-1,5-Benzodiazepine-1-acetamide, N-cyclopentyl-2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-N-(4-methoxyphenyl)-2,4-dioxo-5-phenyl- (9CI) (CA INDEX NAME)



RN 174182-00-4 USPATFULL

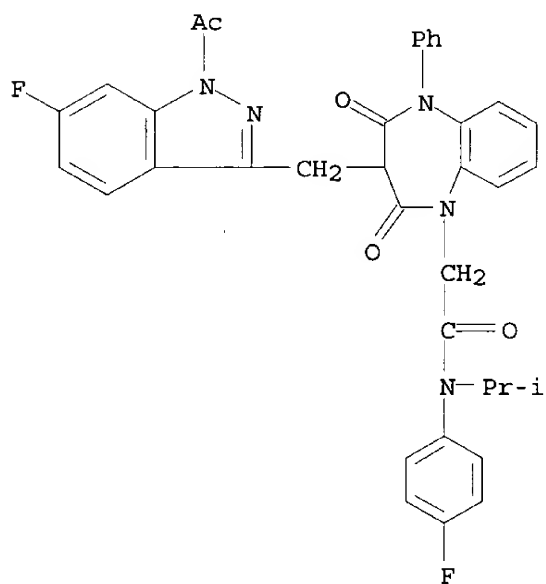
CN 1H-1,5-Benzodiazepine-1-acetamide, 3-[(6-fluoro-1H-indazol-3-yl)methyl]-N-(4-fluorophenyl)-2,3,4,5-tetrahydro-N-(1-methylethyl)-2,4-dioxo-5-phenyl- (9CI) (CA INDEX NAME)

09/476,253



RN 174182-01-5 USPATFULL

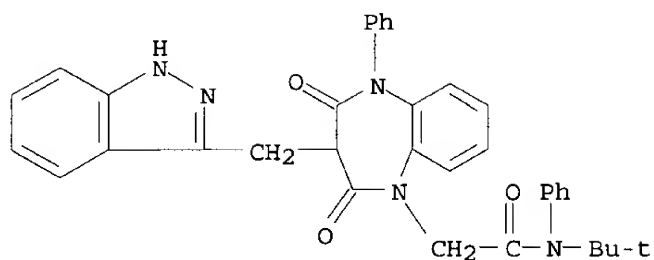
CN 1H-1,5-Benzodiazepine-1-acetamide, 3-[(1-acetyl-6-fluoro-1H-indazol-3-yl)methyl]-N-(4-fluorophenyl)-2,3,4,5-tetrahydro-N-(1-methylethyl)-2,4-dioxo-5-phenyl- (9CI) (CA INDEX NAME)



RN 174182-02-6 USPATFULL

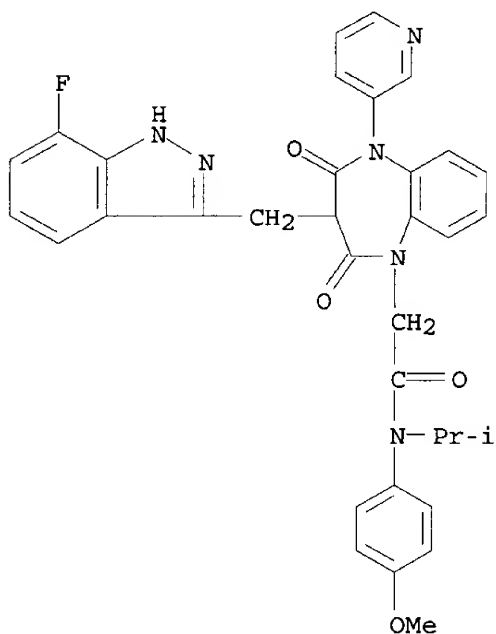
CN 1H-1,5-Benzodiazepine-1-acetamide, N-(1,1-dimethylethyl)-2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-2,4-dioxo-N,5-diphenyl- (9CI) (CA INDEX NAME)

09/476,253



RN 174182-03-7 USPATFULL

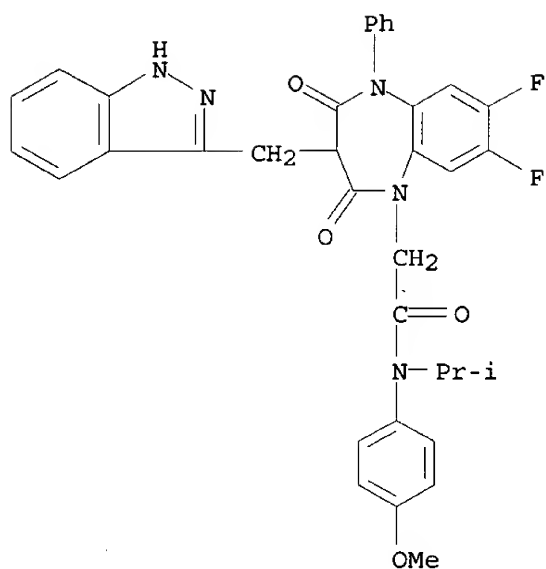
CN 1H-1,5-Benzodiazepine-1-acetamide, 3-[(7-fluoro-1H-indazol-3-yl)methyl]-
2,3,4,5-tetrahydro-N-(4-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo-5-(3-
pyridinyl)- (9CI) (CA INDEX NAME)



RN 174182-04-8 USPATFULL

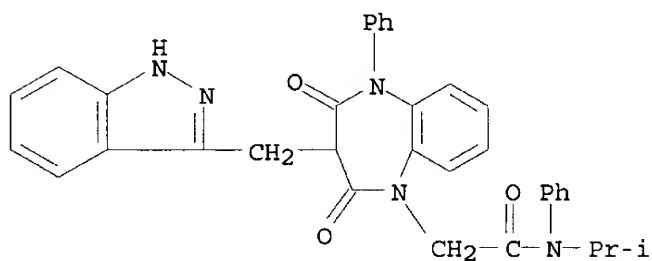
CN 1H-1,5-Benzodiazepine-1-acetamide, 7,8-difluoro-2,3,4,5-tetrahydro-3-(1H-
indazol-3-ylmethyl)-N-(4-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo-5-
phenyl- (9CI) (CA INDEX NAME)

09/476,253



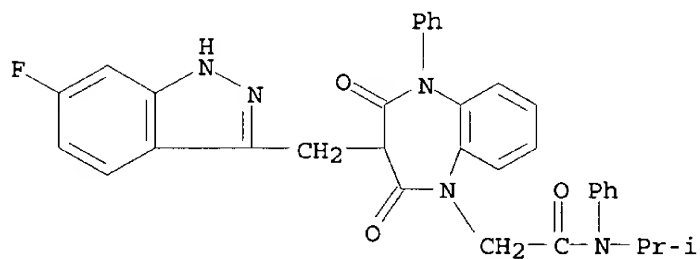
RN 174182-05-9 USPATFULL

CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-N-(1-methylethyl)-2,4-dioxo-N,5-diphenyl- (9CI) (CA INDEX NAME)



RN 174182-06-0 USPATFULL

CN 1H-1,5-Benzodiazepine-1-acetamide, 3-[(6-fluoro-1H-indazol-3-yl)methyl]-2,3,4,5-tetrahydro-N-(1-methylethyl)-2,4-dioxo-N,5-diphenyl- (9CI) (CA INDEX NAME)



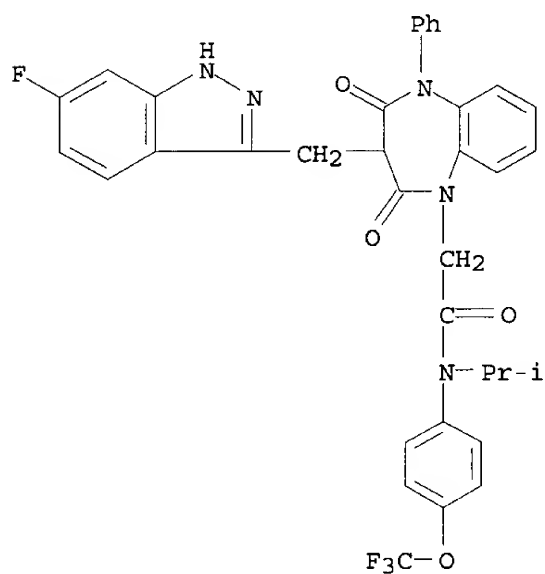
RN 174182-07-1 USPATFULL

CN 1H-1,5-Benzodiazepine-1-acetamide, 3-[(6-fluoro-1H-indazol-3-yl)methyl]-

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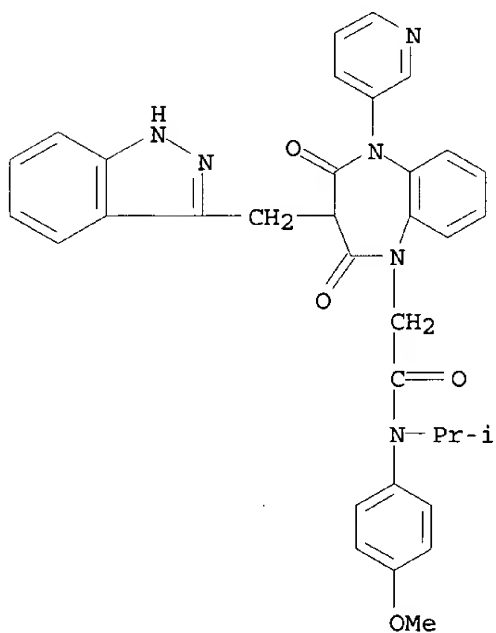
09/476,253

2,3,4,5-tetrahydro-N-(1-methylethyl)-2,4-dioxo-5-phenyl-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 174182-08-2 USPATFULL

CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-N-(4-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)



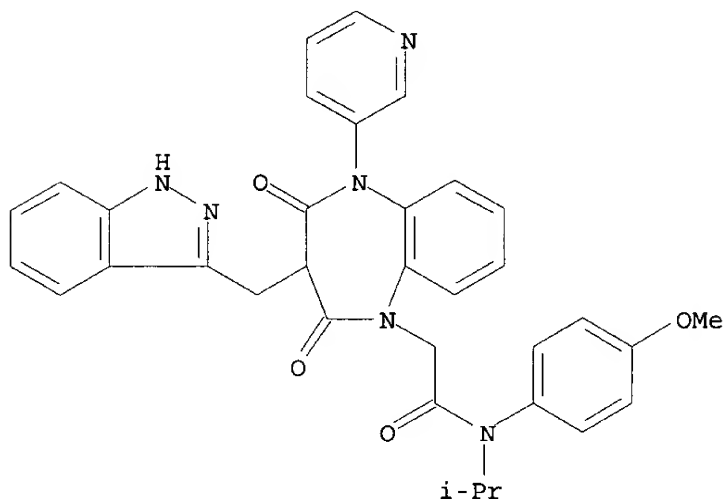
RN 174182-09-3 USPATFULL

CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-(1H-indazol-3-

09/476,253

ylmethyl)-N-(4-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo-5-(3-pyridinyl)-, (+)-(9CI) (CA INDEX NAME)

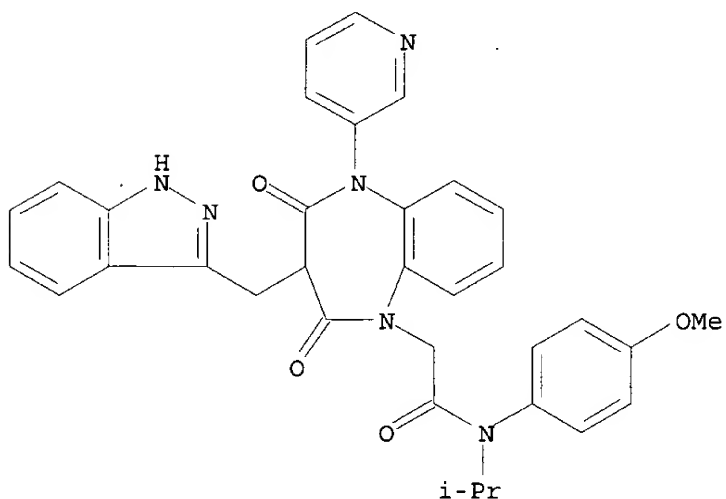
Rotation (+).



RN 174182-10-6 USPATFULL

CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-N-(4-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo-5-(3-pyridinyl)-, (-)-(9CI) (CA INDEX NAME)

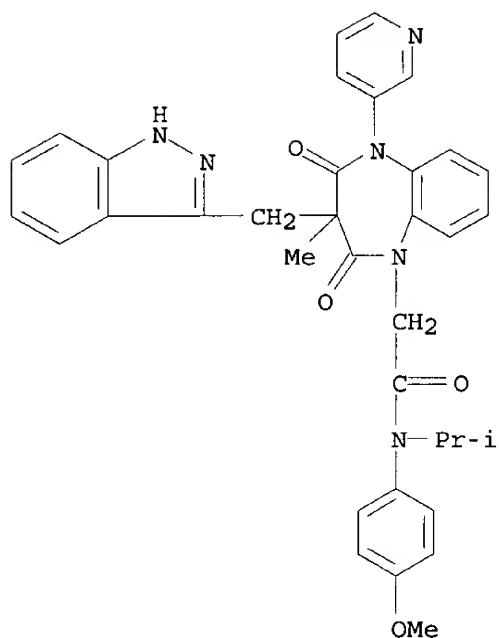
Rotation (-).



RN 174182-11-7 USPATFULL

CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-N-(4-methoxyphenyl)-3-methyl-N-(1-methylethyl)-2,4-dioxo-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)

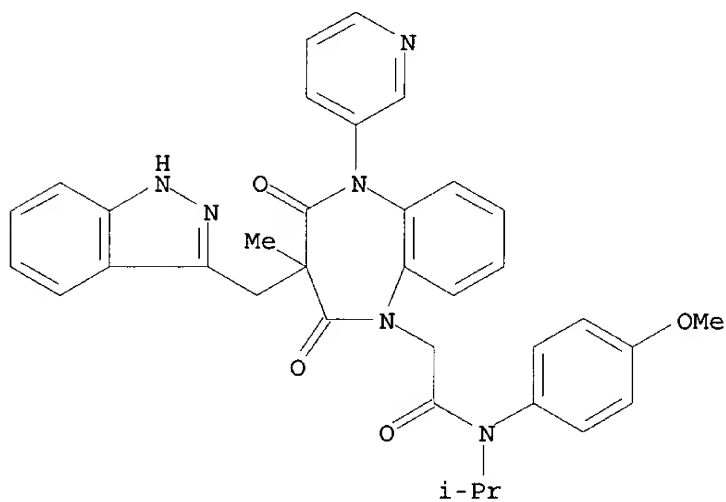
09/476,253



RN 174182-12-8 USPATFULL

CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-N-(4-methoxyphenyl)-3-methyl-N-(1-methylethyl)-2,4-dioxo-5-(3-pyridinyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



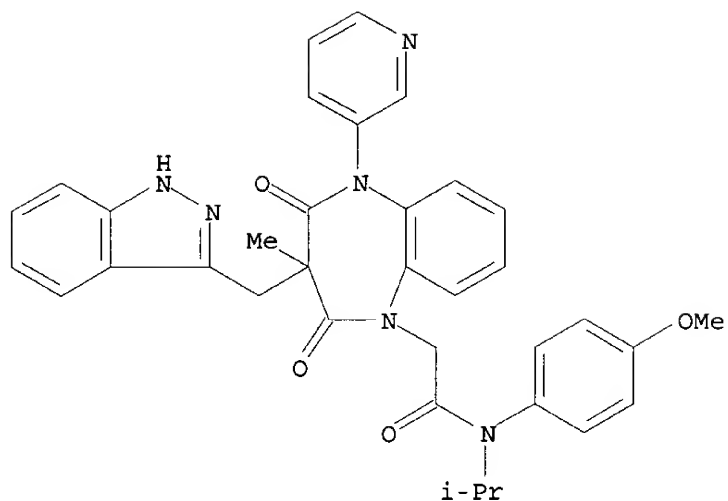
RN 174182-13-9 USPATFULL

CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-N-(4-methoxyphenyl)-3-methyl-N-(1-methylethyl)-2,4-dioxo-5-(3-pyridinyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

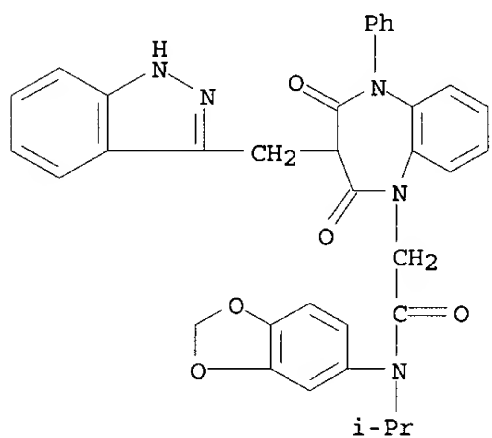
Delacroix

09/476,253



RN 174182-14-0 USPATFULL

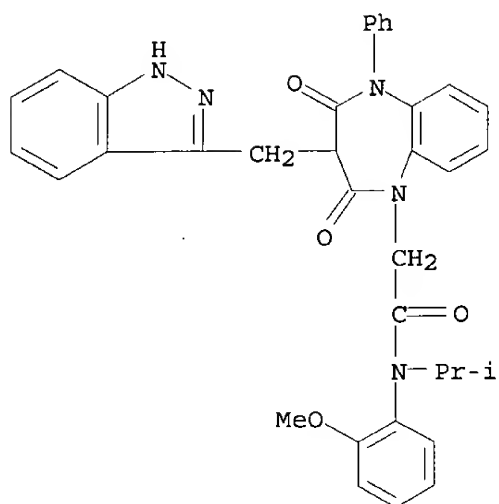
CN 1H-1,5-Benzodiazepine-1-acetamide, N-1,3-benzodioxol-5-yl-2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-N-(1-methylethyl)-2,4-dioxo-5-phenyl- (9CI) (CA INDEX NAME)



RN 174182-15-1 USPATFULL

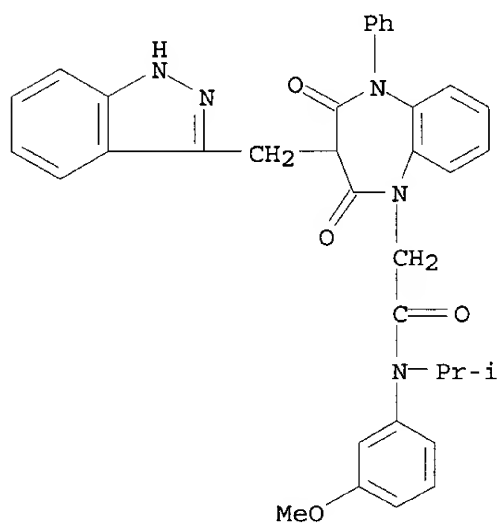
CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-N-(2-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo-5-phenyl- (9CI) (CA INDEX NAME)

09/476,253



RN 174182-16-2 USPATFULL

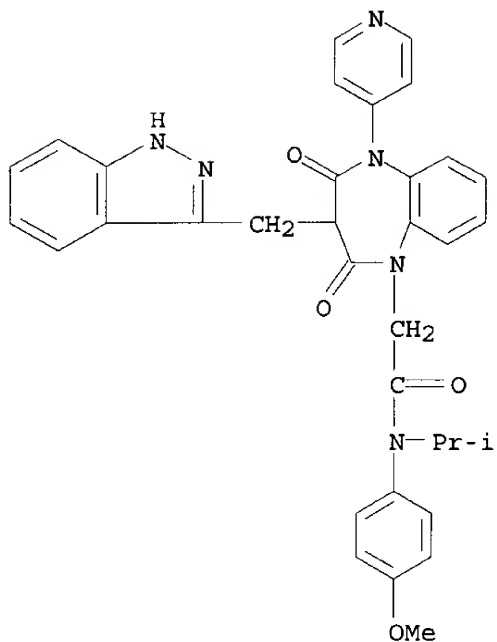
CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-N-(3-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo-5-phenyl-(9CI) (CA INDEX NAME)



RN 174182-17-3 USPATFULL

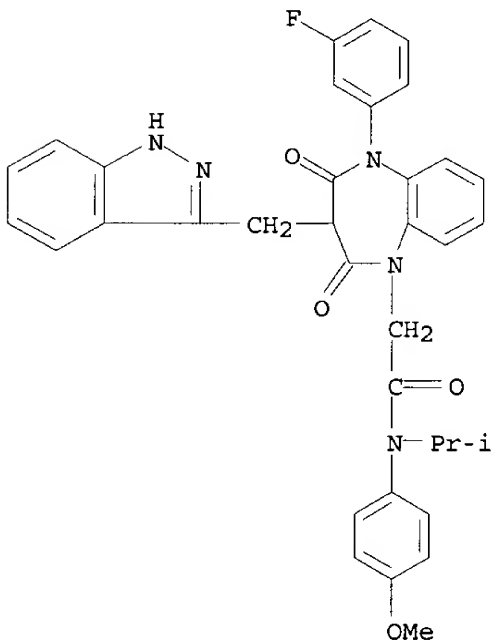
CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-N-(4-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

09/476,253



RN 174182-18-4 USPATFULL

CN 1H-1,5-Benzodiazepine-1-acetamide, 5-(3-fluorophenyl)-2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-N-(4-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo-(9CI) (CA INDEX NAME)

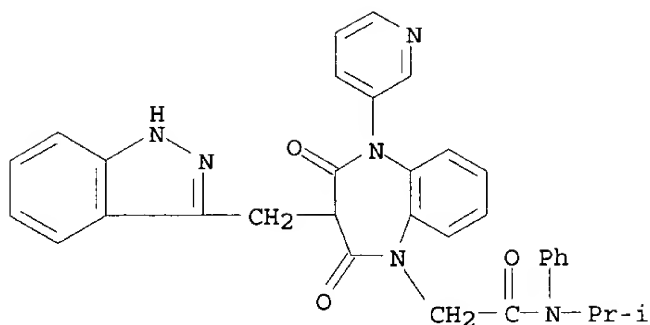


RN 174182-20-8 USPATFULL

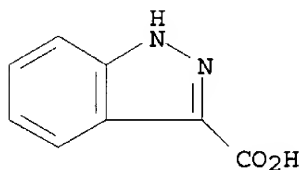
CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-(1H-indazol-3-ylmethyl)-N-(1-methylethyl)-2,4-dioxo-N-phenyl-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Delacroix

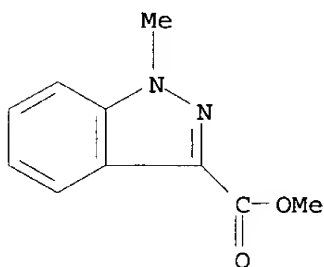
09/476,253



IT 4498-67-3, 1H-Indazole-3-carboxylic acid 109216-60-6
174180-33-7 174180-37-1 174180-40-6
174180-42-8 174180-43-9
(prepn. of cholecystinin and gastrin receptor-antagonist
1,5-benzodiazepindiones)
RN 4498-67-3 USPATFULL
CN 1H-Indazole-3-carboxylic acid (6CI, 8CI, 9CI) (CA INDEX NAME)

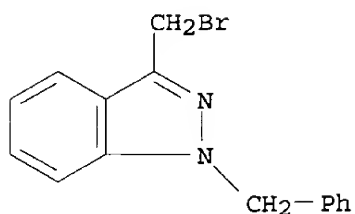


RN 109216-60-6 USPATFULL
CN 1H-Indazole-3-carboxylic acid, 1-methyl-, methyl ester (9CI) (CA INDEX NAME)



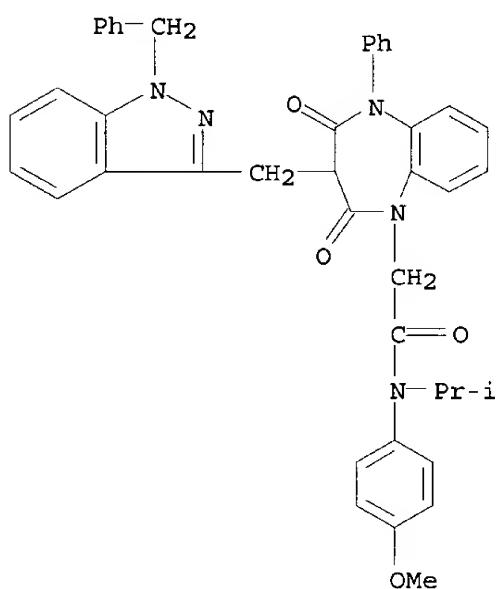
RN 174180-33-7 USPATFULL
CN 1H-Indazole, 3-(bromomethyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

09/476,253



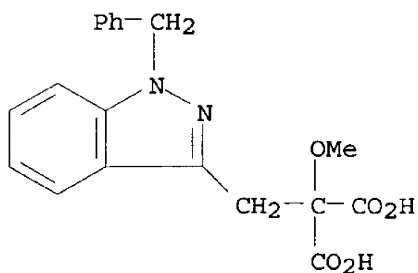
RN 174180-37-1 USPATFULL

CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-N-(4-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo-5-phenyl-3-[[1-(phenylmethyl)-1H-indazol-3-yl]methyl]- (9CI) (CA INDEX NAME)



RN 174180-40-6 USPATFULL

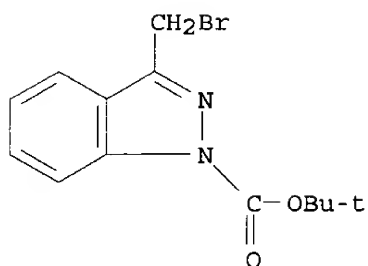
CN Propanedioic acid, methoxy[[1-(phenylmethyl)-1H-indazol-3-yl]methyl]- (9CI) (CA INDEX NAME)



RN 174180-42-8 USPATFULL

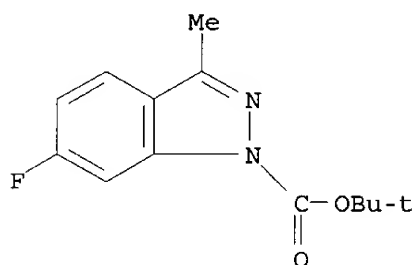
CN 1H-Indazole-1-carboxylic acid, 3-(bromomethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

09/476,253



RN 174180-43-9 USPATFULL

CN 1H-Indazole-1-carboxylic acid, 6-fluoro-3-methyl-, 1,1-dimethylethyl ester
(9CI) (CA INDEX NAME)



IT 1578-96-7P 3176-62-3P 131427-21-9P

174180-54-2P 174180-56-4P 174180-57-5P

174180-69-9P 174180-72-4P 174180-82-6P

174180-83-7P 174180-87-1P 174180-90-6P

174180-92-8P 174180-95-1P 174180-97-3P

174181-00-1P 174181-04-5P 174181-05-6P

174181-06-7P 174181-09-0P 174181-10-3P

174181-13-6P 174181-14-7P 174181-15-8P

174181-19-2P 174181-21-6P 174181-24-9P

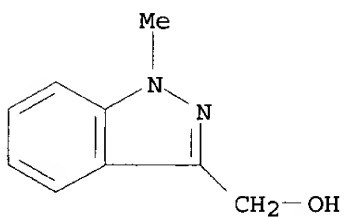
174181-28-3P 174181-32-9P 174181-33-0P

174181-34-1P

(prepn. of cholecystokinin and gastrin receptor-antagonist
1,5-benzodiazepindiones)

RN 1578-96-7 USPATFULL

CN 1H-Indazole-3-methanol, 1-methyl- (9CI) (CA INDEX NAME)

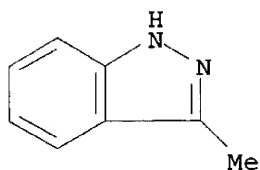


RN 3176-62-3 USPATFULL

CN 1H-Indazole, 3-methyl- (6CI, 8CI, 9CI) (CA INDEX NAME)

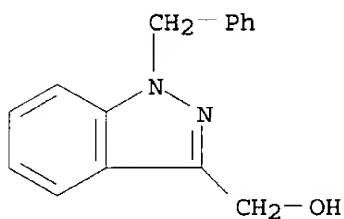
Delacroix

09/476,253



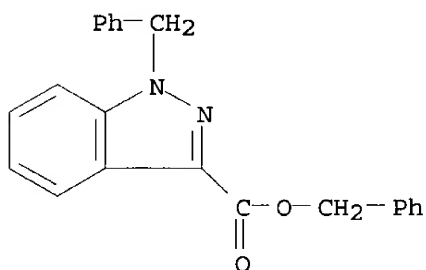
RN 131427-21-9 USPATFULL

CN 1H-Indazole-3-methanol, 1-(phenylmethyl)- (9CI) (CA INDEX NAME)



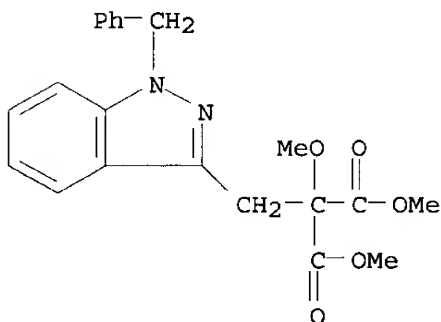
RN 174180-54-2 USPATFULL

CN 1H-Indazole-3-carboxylic acid, 1-(phenylmethyl)-, phenylmethyl ester (9CI)
(CA INDEX NAME)



RN 174180-56-4 USPATFULL

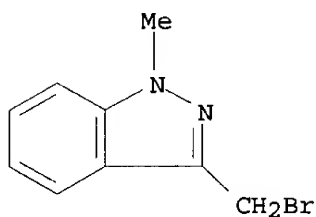
CN Propanedioic acid, methoxy[[1-(phenylmethyl)-1H-indazol-3-yl]methyl]-,
dimethyl ester (9CI) (CA INDEX NAME)



09/476,253

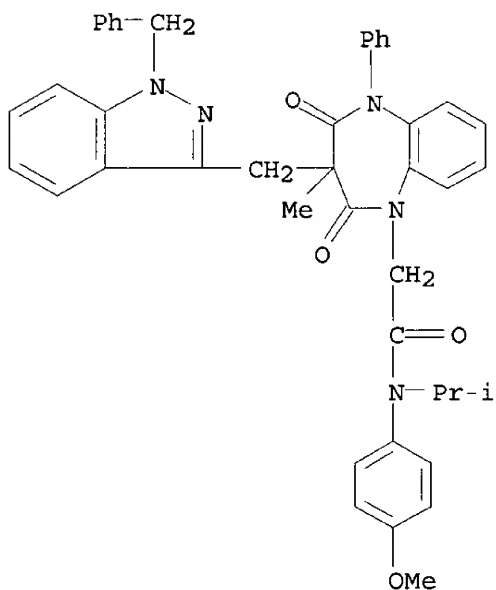
RN 174180-57-5 USPATFULL

CN 1H-Indazole, 3-(bromomethyl)-1-methyl- (9CI) (CA INDEX NAME)



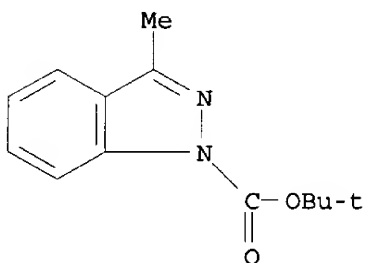
RN 174180-69-9 USPATFULL

CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-N-(4-methoxyphenyl)-3-methyl-N-(1-methylethyl)-2,4-dioxo-5-phenyl-3-[[1-(phenylmethyl)-1H-indazol-3-yl]methyl]- (9CI) (CA INDEX NAME)



RN 174180-72-4 USPATFULL

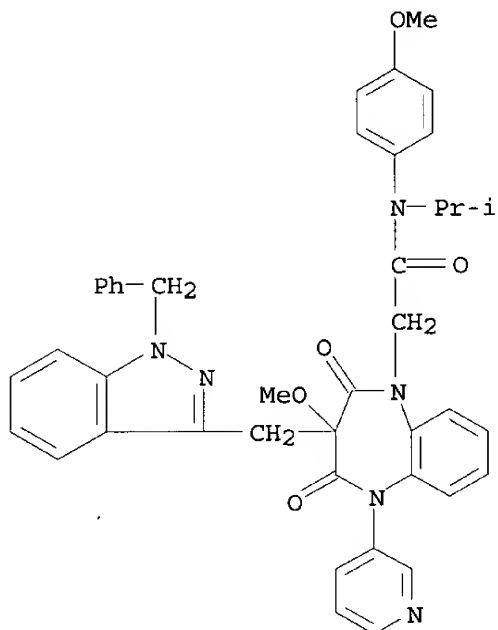
CN 1H-Indazole-1-carboxylic acid, 3-methyl-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)



09/476,253

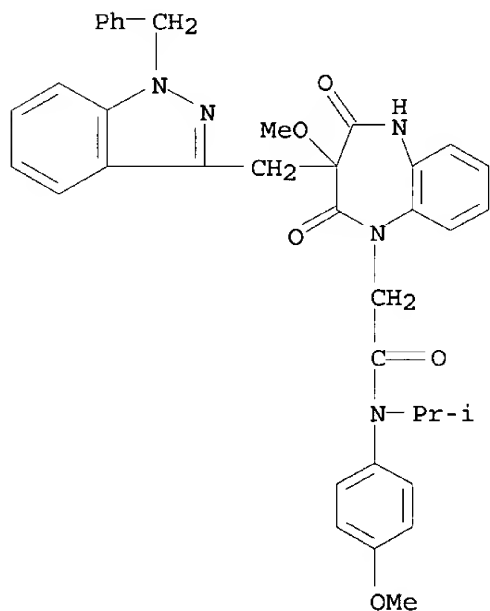
RN 174180-82-6 USPATFULL

CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-methoxy-N-(4-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo-3-[[1-(phenylmethyl)-1H-indazol-3-yl]methyl]-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 174180-83-7 USPATFULL

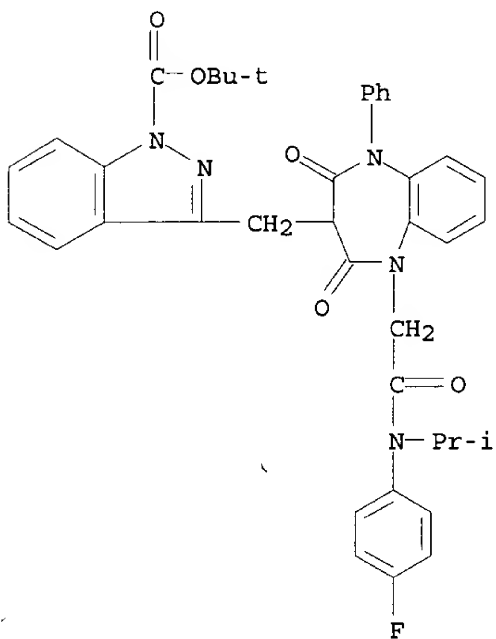
CN 1H-1,5-Benzodiazepine-1-acetamide, 2,3,4,5-tetrahydro-3-methoxy-N-(4-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo-3-[[1-(phenylmethyl)-1H-indazol-3-yl]methyl]- (9CI) (CA INDEX NAME)



09/476,253

RN 174180-87-1 USPATFULL

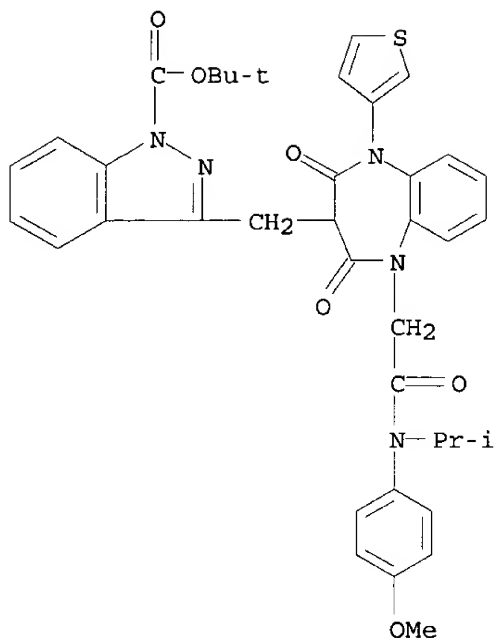
CN 1H-Indazole-1-carboxylic acid, 3-[[1-[2-[(4-fluorophenyl)(1-methylethyl)amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-phenyl-1H-1,5-benzodiazepin-3-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 174180-90-6 USPATFULL

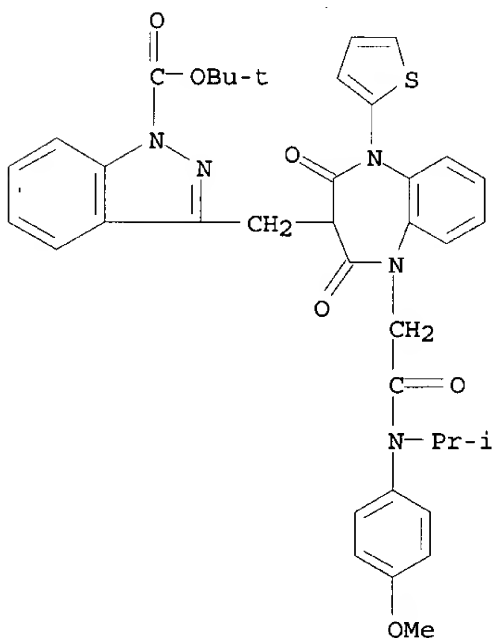
CN 1H-Indazole-1-carboxylic acid, 3-[[2,3,4,5-tetrahydro-1-[2-[(4-methoxyphenyl)(1-methylethyl)amino]-2-oxoethyl]-2,4-dioxo-5-(3-thienyl)-1H-1,5-benzodiazepin-3-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

09/476,253



RN 174180-92-8 USPATFULL

CN 1H-Indazole-1-carboxylic acid, 3-[[2,3,4,5-tetrahydro-1-[2-[(4-methoxyphenyl)(1-methylethyl)amino]-2-oxoethyl]-2,4-dioxo-5-(2-thienyl)-1H-1,5-benzodiazepin-3-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

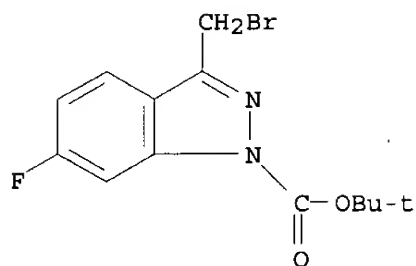


RN 174180-95-1 USPATFULL

CN 1H-Indazole-1-carboxylic acid, 3-(bromomethyl)-6-fluoro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

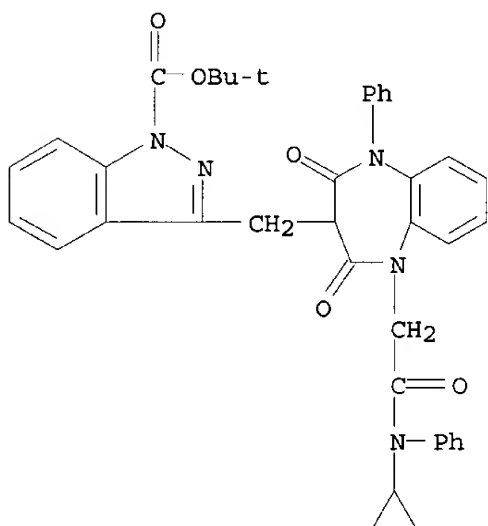
Delacroix

09/476,253



RN 174180-97-3 USPATFULL

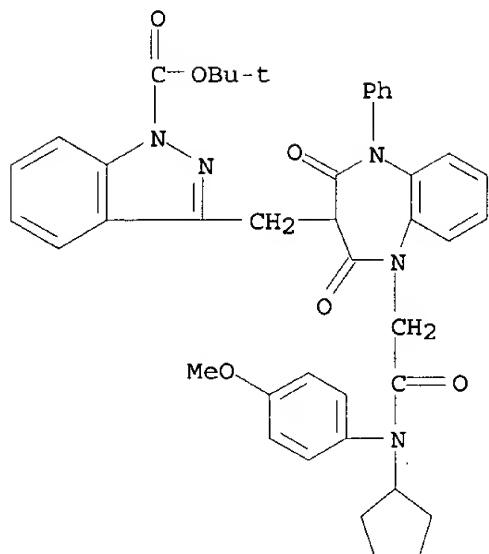
CN 1H-Indazole-1-carboxylic acid, 3-[[1-[2-(cyclopropylphenylamino)-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-phenyl-1H-1,5-benzodiazepin-3-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 174181-00-1 USPATFULL

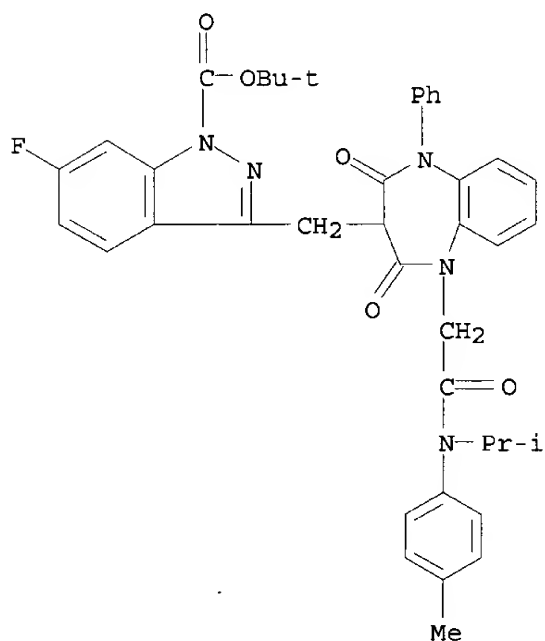
CN 1H-Indazole-1-carboxylic acid, 3-[[1-[2-[cyclopentyl(4-methoxyphenyl)amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-phenyl-1H-1,5-benzodiazepin-3-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

09/476,253



RN 174181-04-5 USPATFULL

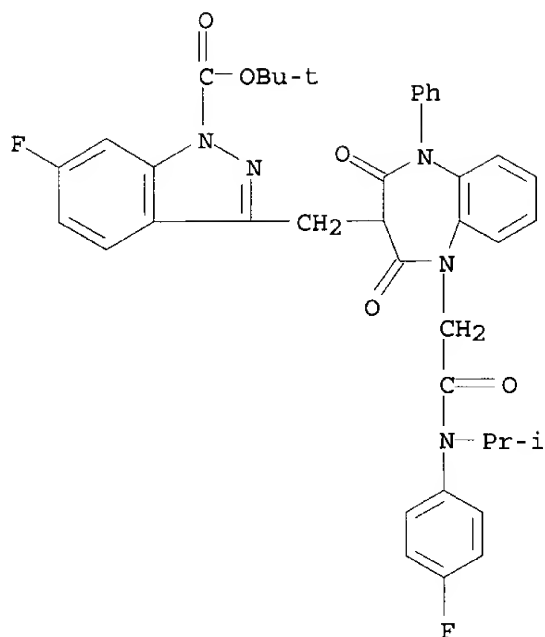
CN 1H-Indazole-1-carboxylic acid, 6-fluoro-3-[[2,3,4,5-tetrahydro-1-[2-[(1-methylethyl)(4-methylphenyl)amino]-2-oxoethyl]-2,4-dioxo-5-phenyl-1H-1,5-benzodiazepin-3-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 174181-05-6 USPATFULL

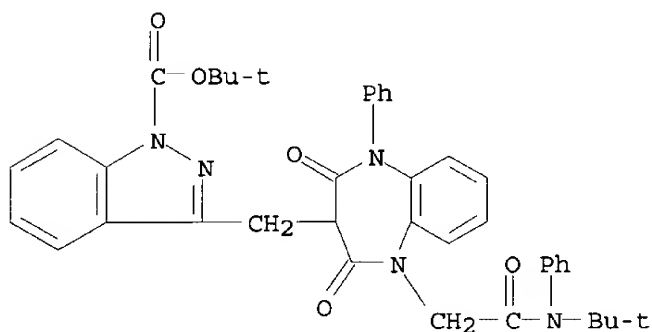
CN 1H-Indazole-1-carboxylic acid, 6-fluoro-3-[[1-[2-[(4-fluorophenyl)(1-methylethyl)amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-phenyl-1H-1,5-benzodiazepin-3-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

09/476,253



RN 174181-06-7 USPATFULL

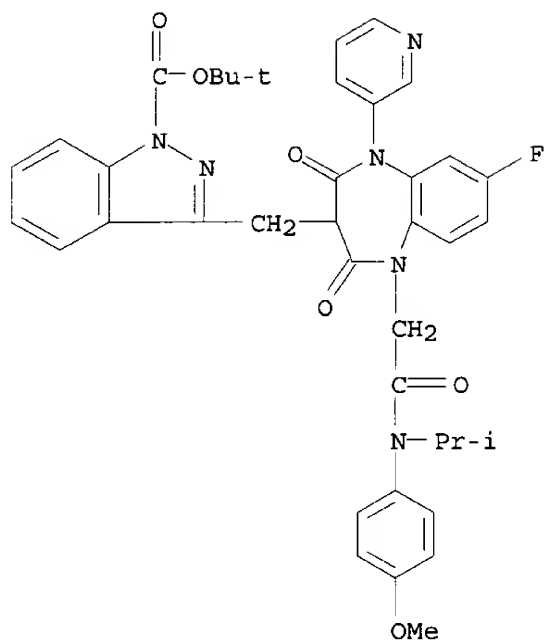
CN 1H-Indazole-1-carboxylic acid, 3-[[1-[2-[(1,1-dimethylethyl)phenylamino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-phenyl-1H-1,5-benzodiazepin-3-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 174181-09-0 USPATFULL

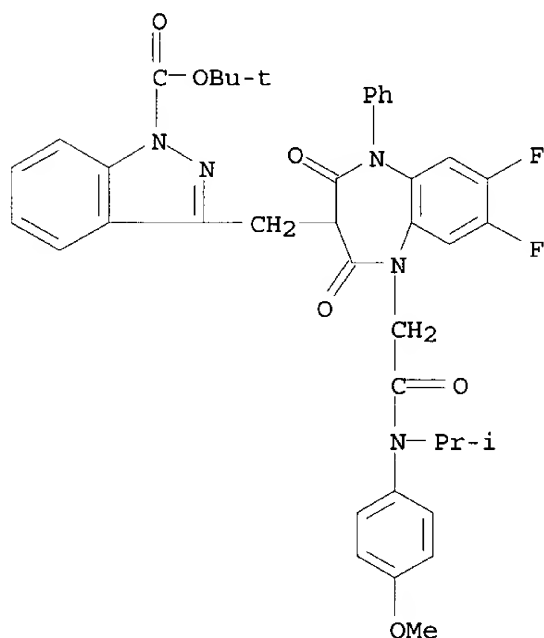
CN 1H-Indazole-1-carboxylic acid, 3-[[7-fluoro-2,3,4,5-tetrahydro-1-[2-[(4-methoxyphenyl)(1-methylethyl)amino]-2-oxoethyl]-2,4-dioxo-5-(3-pyridinyl)-1H-1,5-benzodiazepin-3-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

09/476,253



RN 174181-10-3 USPATFULL

CN 1H-Indazole-1-carboxylic acid, 3-[[7,8-difluoro-2,3,4,5-tetrahydro-1-[2-[(4-methoxyphenyl)(1-methylethyl)amino]-2-oxoethyl]-2,4-dioxo-5-phenyl-1H-1,5-benzodiazepin-3-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



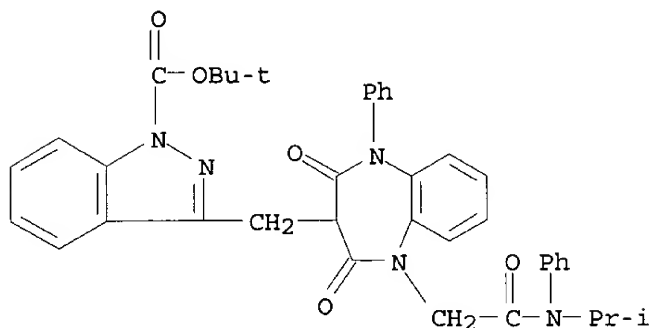
RN 174181-13-6 USPATFULL

CN 1H-Indazole-1-carboxylic acid, 3-[[2,3,4,5-tetrahydro-1-[2-[(1-methylethyl)phenylamino]-2-oxoethyl]-2,4-dioxo-5-phenyl-1H-1,5-

Delacroix

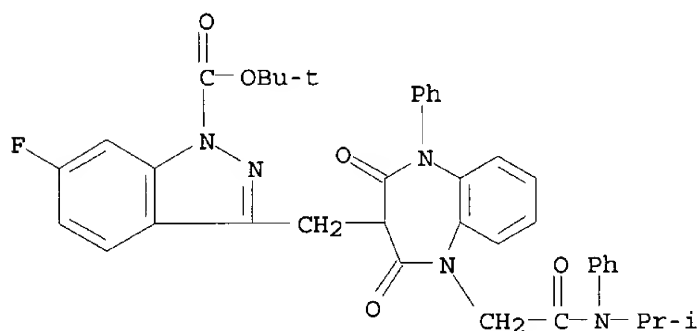
09/476,253

benzodiazepin-3-yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 174181-14-7 USPATFULL

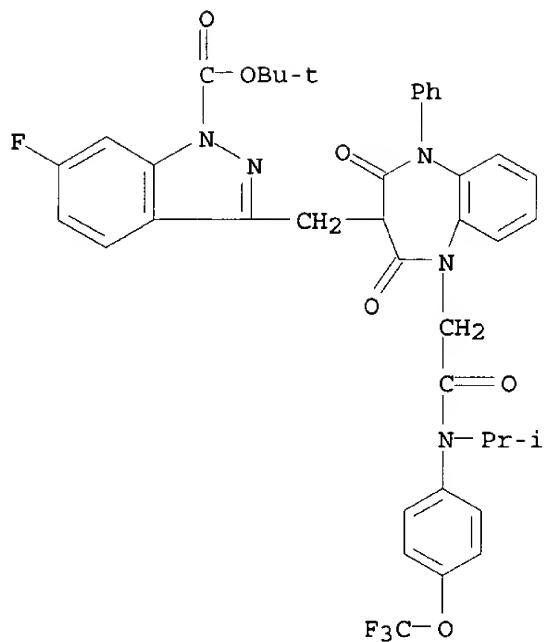
CN 1H-Indazole-1-carboxylic acid, 6-fluoro-3-[[2,3,4,5-tetrahydro-1-[2-[(1-methylethyl)phenylamino]-2-oxoethyl]-2,4-dioxo-5-phenyl-1H-1,5-benzodiazepin-3-yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 174181-15-8 USPATFULL

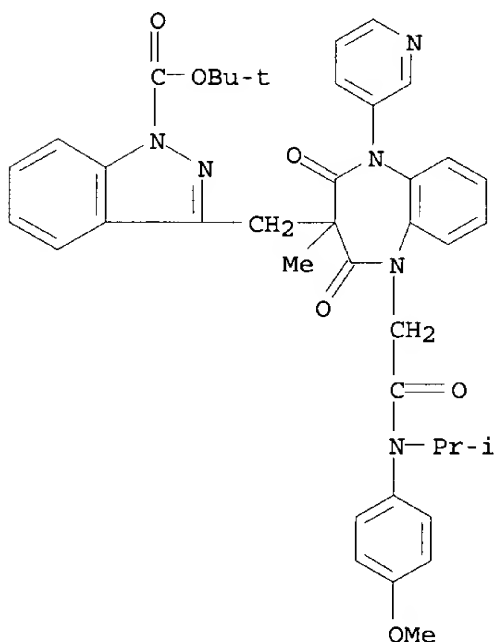
CN 1H-Indazole-1-carboxylic acid, 6-fluoro-3-[[2,3,4,5-tetrahydro-1-[2-[(1-methylethyl)[4-(trifluoromethoxy)phenyl]amino]-2-oxoethyl]-2,4-dioxo-5-phenyl-1H-1,5-benzodiazepin-3-yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

09/476,253



RN 174181-19-2 USPATFULL

CN 1H-Indazole-1-carboxylic acid, 3-[[2,3,4,5-tetrahydro-1-[2-[(4-methoxyphenyl)(1-methylethyl)amino]-2-oxoethyl]-3-methyl-2,4-dioxo-5-(3-pyridinyl)-1H-1,5-benzodiazepin-3-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



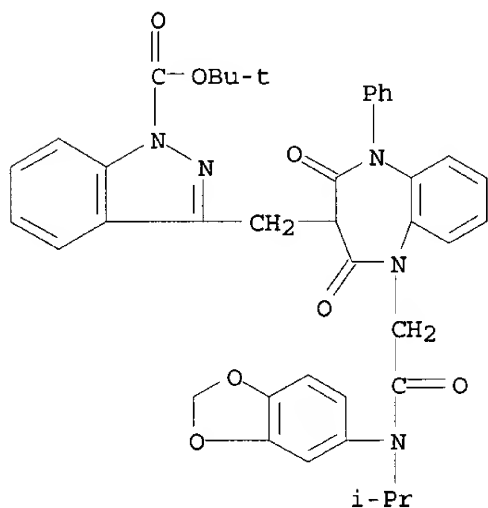
RN 174181-21-6 USPATFULL

CN 1H-Indazole-1-carboxylic acid, 3-[[1-[2-[1,3-benzodioxol-5-yl(1-methylethyl)amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-phenyl-1H-1,5-benzodiazepin-3-yl]methyl]-, 1,1-dimethylethyl ester

Delacroix

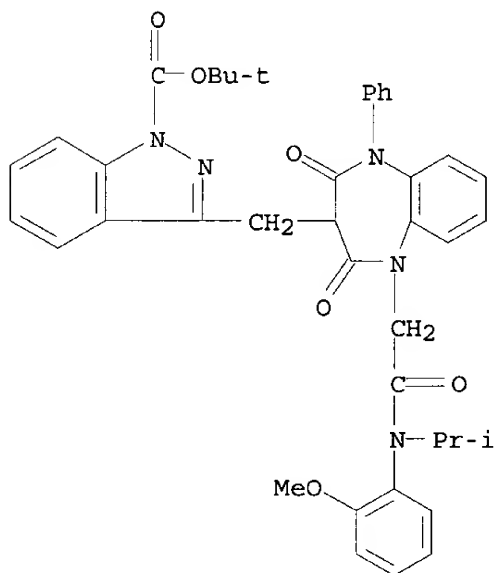
09/476,253

1,5-benzodiazepin-3-yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA
INDEX NAME)



RN 174181-24-9 USPATFULL

CN 1H-Indazole-1-carboxylic acid, 3-[[2,3,4,5-tetrahydro-1-[2-[(2-methoxyphenyl)(1-methylethyl)amino]-2-oxoethyl]-2,4-dioxo-5-phenyl-1H-1,5-benzodiazepin-3-yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA
INDEX NAME)

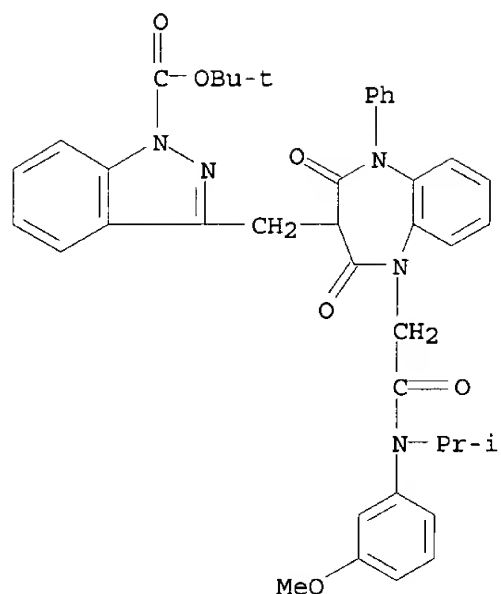


RN 174181-28-3 USPATFULL

CN 1H-Indazole-1-carboxylic acid, 3-[[2,3,4,5-tetrahydro-1-[2-[(3-methoxyphenyl)(1-methylethyl)amino]-2-oxoethyl]-2,4-dioxo-5-phenyl-1H-1,5-benzodiazepin-3-yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA
INDEX NAME)

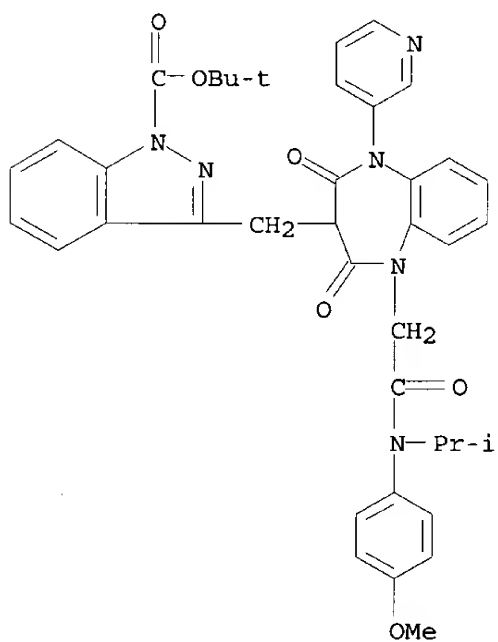
Delacroix

09/476,253



RN 174181-32-9 USPATFULL

CN 1H-Indazole-1-carboxylic acid, 3-[[[2,3,4,5-tetrahydro-1-[2-[(4-methoxyphenyl)(1-methylethyl)amino]-2-oxoethyl]-2,4-dioxo-5-(3-pyridinyl)-1H-1,5-benzodiazepin-3-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

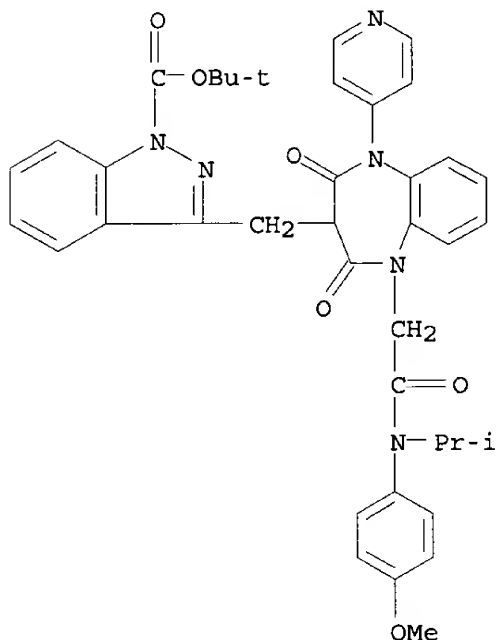


RN 174181-33-0 USPATFULL

CN 1H-Indazole-1-carboxylic acid, 3-[[[2,3,4,5-tetrahydro-1-[2-[(4-

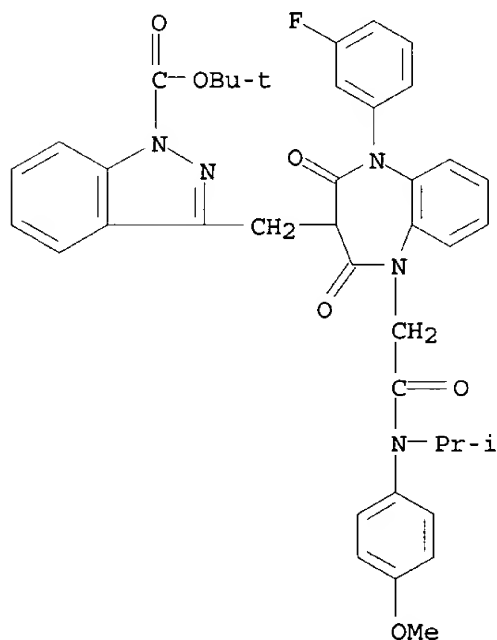
09/476,253

methoxyphenyl) (1-methylethyl amino)-2-oxoethyl}-2,4-dioxo-5-(4-pyridinyl)-1H-1,5-benzodiazepin-3-yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



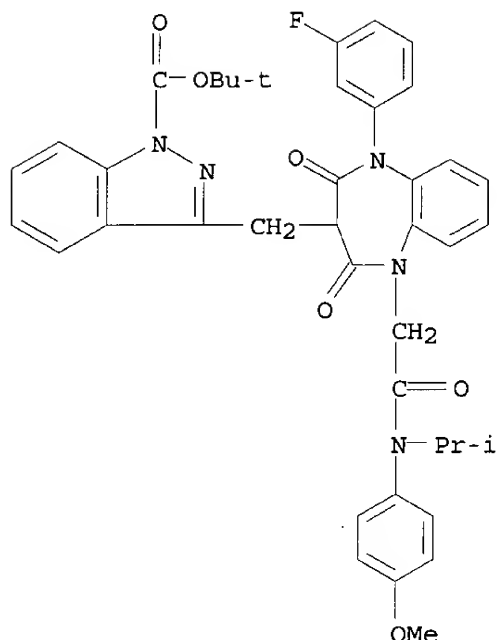
RN 174181-34-1 USPATFULL

CN 1H-Indazole-1-carboxylic acid, 3-[[1-(3-fluorophenyl)-2,3,4,5-tetrahydro-5-[2-[(4-methoxyphenyl)(1-methylethyl)amino]-2-oxoethyl]-2,4-dioxo-1H-1,5-benzodiazepin-3-yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



Delacroix

09/476,253



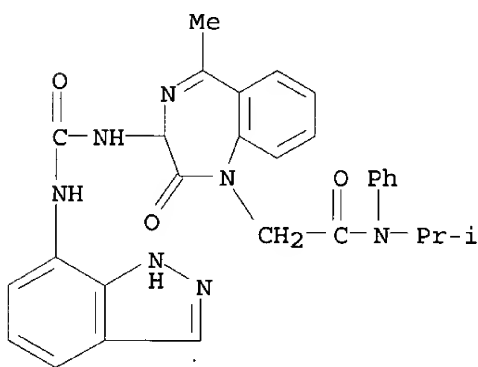
L10 ANSWER 4 OF 19 USPATFULL

IT 173459-15-9P 173459-82-0P 173459-83-1P

(prepn. of 1,4-benzodiazepin-2-one-1-acetamides as cholecystokin-A
receptor agonists)

RN 173459-15-9 USPATFULL

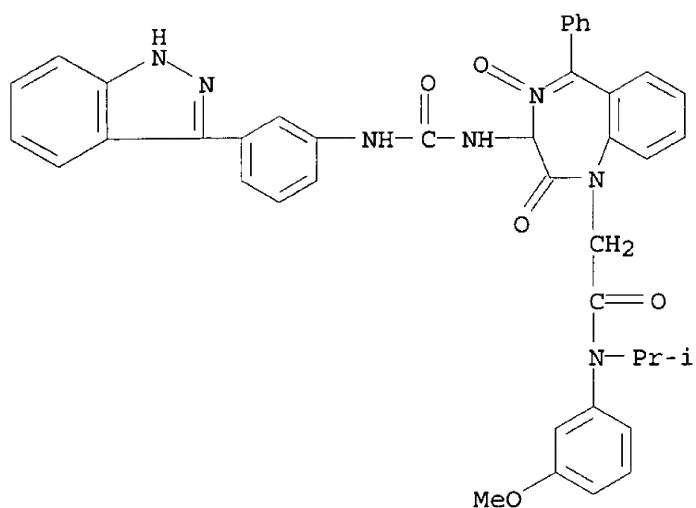
CN 1H-1,4-Benzodiazepine-1-acetamide, 2,3-dihydro-3-[[[3-(1H-indazol-7-ylamino)carbonyl]amino]-5-methyl-N-(1-methylethyl)-2-oxo-N-phenyl- (9CI)
(CA INDEX NAME)



RN 173459-82-0 USPATFULL

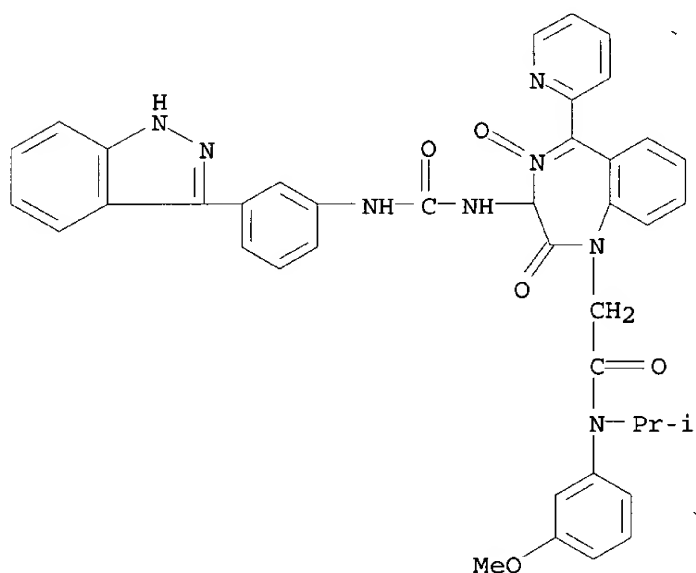
CN 1H-1,4-Benzodiazepine-1-acetamide, 2,3-dihydro-3-[[[3-(1H-indazol-3-yl)phenyl]amino]carbonyl]amino]-N-(3-methoxyphenyl)-N-(1-methylethyl)-2-oxo-5-phenyl-, 4-oxide (9CI) (CA INDEX NAME)

09/476,253



RN 173459-83-1 USPATFULL

CN 1H-1,4-Benzodiazepine-1-acetamide, 2,3-dihydro-3-[[[3-(1H-indazol-3-yl)phenyl]amino]carbonyl]amino]-N-(3-methoxyphenyl)-N-(1-methylethyl)-2-oxo-5-(2-pyridinyl)-, 4-oxide (9CI) (CA INDEX NAME)



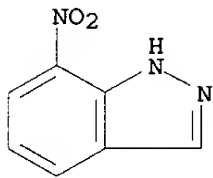
IT 2942-42-9, 7-Nitro-1H-indazole

(prepn. of 1,4-benzodiazepin-2-one-1-acetamides as cholecystokinine-A receptor agonists)

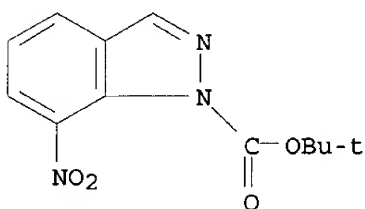
RN 2942-42-9 USPATFULL

CN 1H-Indazole, 7-nitro- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

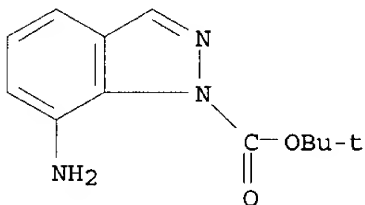
09/476,253



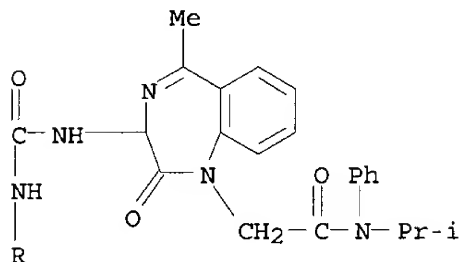
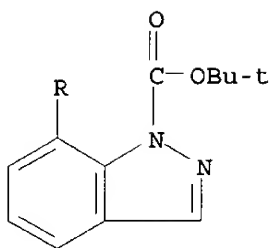
IT 173459-52-4P 173459-53-5P 173459-71-7P
(prepn. of 1,4-benzodiazepin-2-one-1-acetamides as cholecystokinin-A
receptor agonists)
RN 173459-52-4 USPATFULL
CN 1H-Indazole-1-carboxylic acid, 7-nitro-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)



RN 173459-53-5 USPATFULL
CN 1H-Indazole-1-carboxylic acid, 7-amino-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)



RN 173459-71-7 USPATFULL
CN 1H-Indazole-1-carboxylic acid, 7-[[[2,3-dihydro-5-methyl-1-[2-[(1-methylethyl)phenylamino]-2-oxoethyl]-2-oxo-1H-1,4-benzodiazepin-3-yl]amino]carbonyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 5 OF 19 USPATFULL

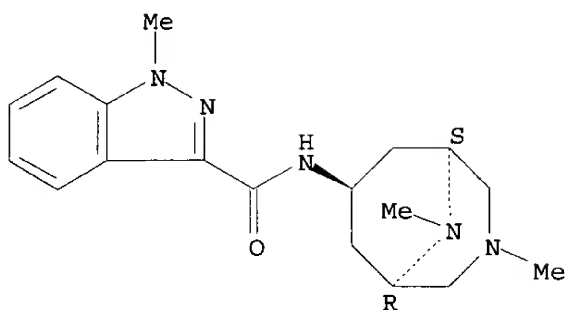
IT 141549-74-8P 154412-10-9P 154412-13-2P
 154412-14-3P 154412-15-4P 154412-16-5P
 154412-17-6P 154412-18-7P 154412-19-8P
 154412-20-1P 154412-21-2P 154412-22-3P
 154412-23-4P 154412-24-5P 154412-25-6P
 154412-26-7P 154412-27-8P 154412-28-9P
 154412-29-0P 154412-30-3P 154412-31-4P
 154412-32-5P 154412-33-6P 154412-34-7P
 154412-35-8P 154412-36-9P 154412-37-0P
 154412-38-1P 154412-39-2P 154412-40-5P
 154412-41-6P 154412-42-7P 154412-43-8P
 154412-44-9P 154412-45-0P 154412-46-1P
 154412-47-2P 154412-48-3P 154412-49-4P
 154412-50-7P 154412-51-8P 154412-52-9P
 154412-53-0P 154412-54-1P 154412-55-2P
 154412-56-3P 154412-57-4P 154412-58-5P
 154412-59-6P 154412-60-9P 154412-61-0P
 154412-62-1P 154412-63-2P 154412-64-3P
 (prepn. of, as HT-receptor antagonist)

RN 141549-74-8 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-methyl-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

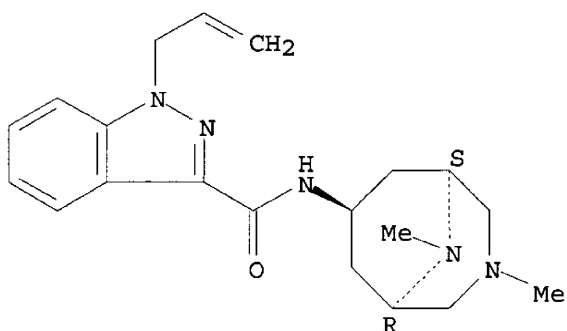
09/476,253



RN 154412-10-9 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(2-propenyl)-, endo- (9CI) (CA INDEX NAME)

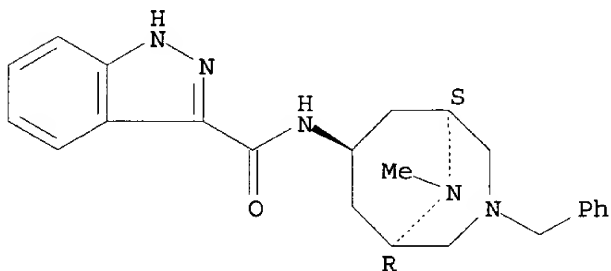
Relative stereochemistry.



RN 154412-13-2 USPATFULL

CN 1H-Indazole-3-carboxamide, N-[9-methyl-3-(phenylmethyl)-3,9-diazabicyclo[3.3.1]non-7-yl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

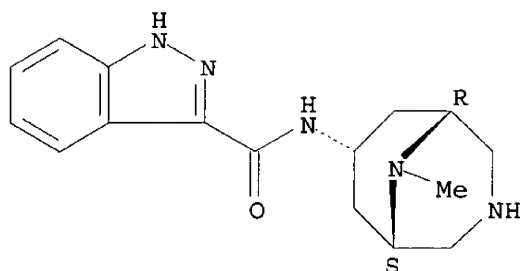


RN 154412-14-3 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(9-methyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

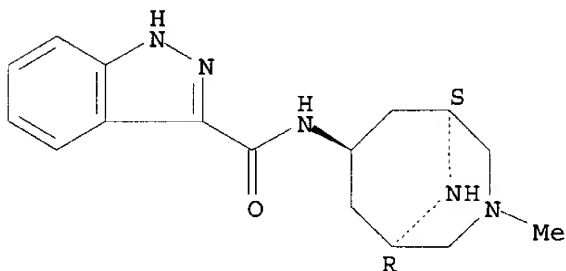
09/476,253



RN 154412-15-4 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3-methyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, endo- (9CI) (CA INDEX NAME)

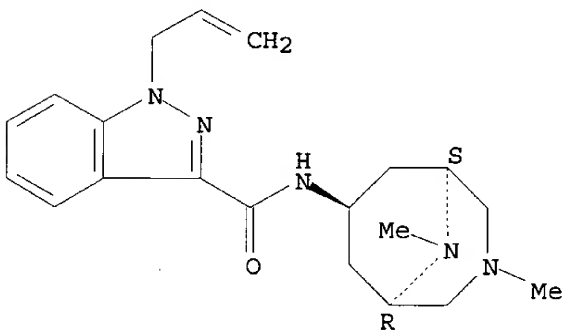
Relative stereochemistry.



RN 154412-16-5 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(2-propenyl)-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

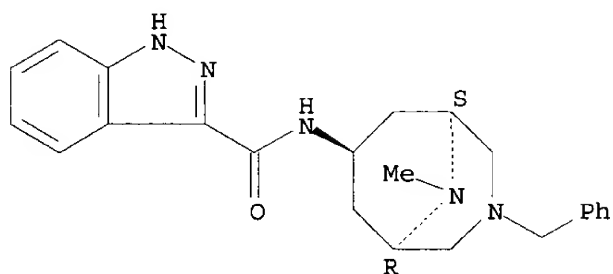
RN 154412-17-6 USPATFULL

CN 1H-Indazole-3-carboxamide, N-[9-methyl-3-(phenylmethyl)-3,9-diazabicyclo[3.3.1]non-7-yl]-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Delacroix

09/476,253

Relative stereochemistry.

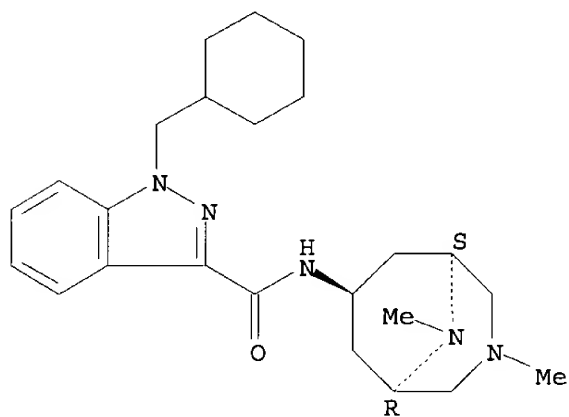


● HCl

RN 154412-18-7 USPATFULL

CN 1H-Indazole-3-carboxamide, 1-(cyclohexylmethyl)-N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

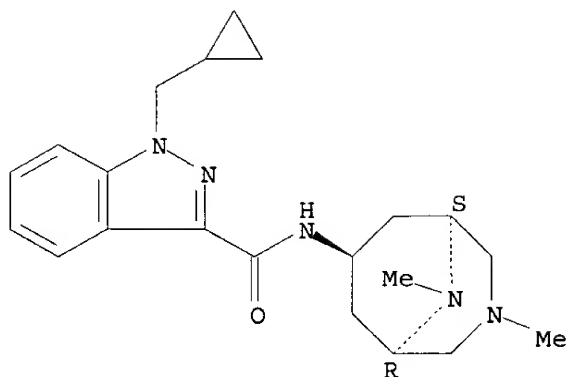


RN 154412-19-8 USPATFULL

CN 1H-Indazole-3-carboxamide, 1-(cyclopropylmethyl)-N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

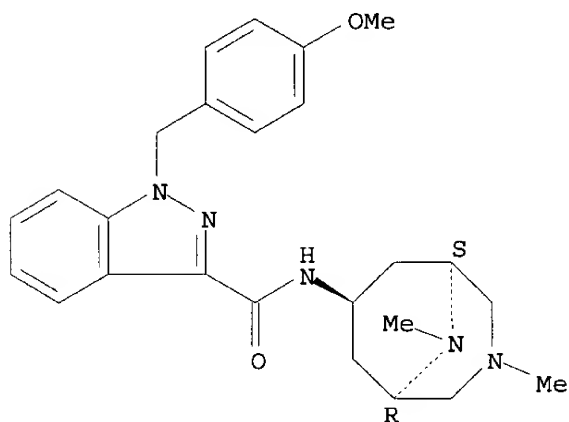
09/476,253



RN 154412-20-1 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-[(4-methoxyphenyl)methyl]-, endo- (9CI) (CA INDEX NAME)

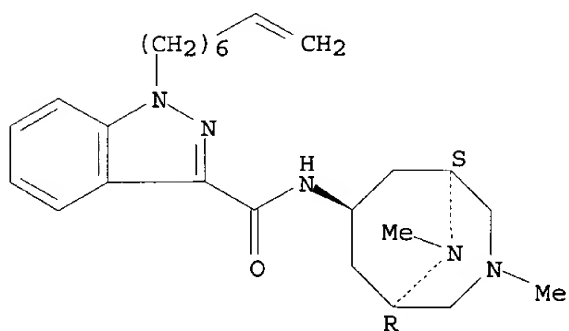
Relative stereochemistry.



RN 154412-21-2 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(7-octenyl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



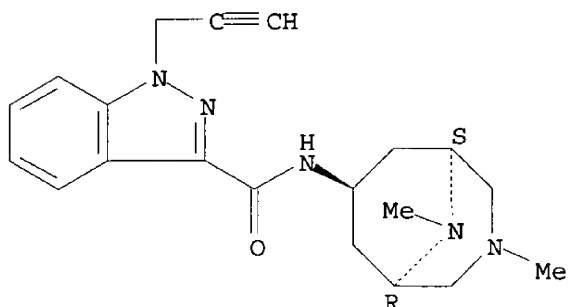
Delacroix

09/476,253

RN 154412-22-3 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(2-propynyl)-, endo- (9CI) (CA INDEX NAME)

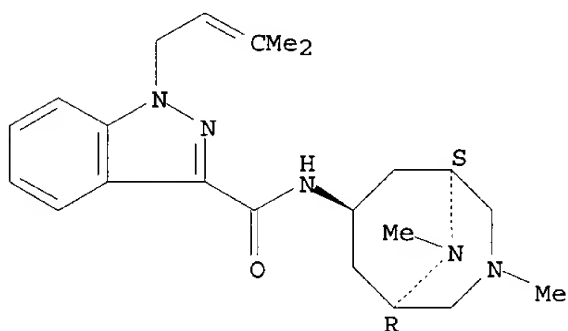
Relative stereochemistry.



RN 154412-23-4 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(3-methyl-2-butenyl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

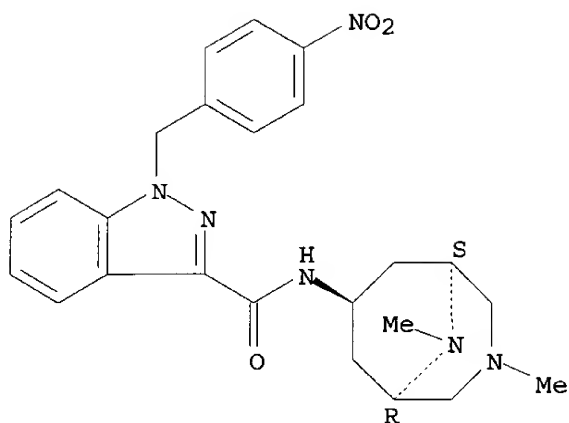


RN 154412-24-5 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-[(4-nitrophenyl)methyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

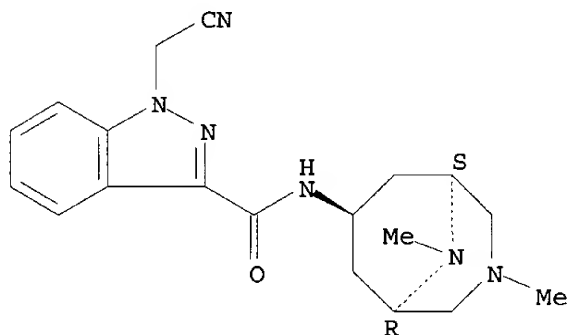
09/476,253



RN 154412-25-6 USPATFULL

CN 1H-Indazole-3-carboxamide, 1-(cyanomethyl)-N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

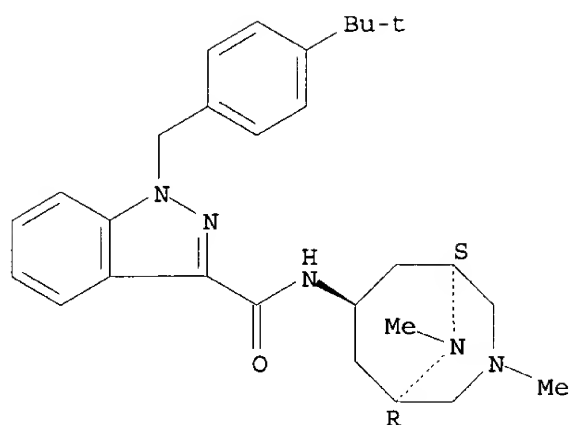


RN 154412-26-7 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-[[4-(1,1-dimethylethyl)phenyl]methyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

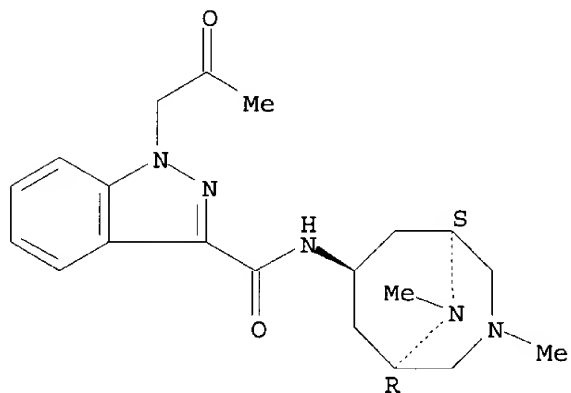
09/476,253



RN 154412-27-8 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(2-oxopropyl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

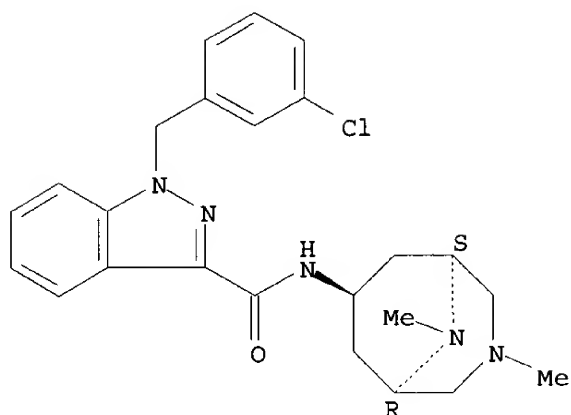


RN 154412-28-9 USPATFULL

CN 1H-Indazole-3-carboxamide, 1-[(3-chlorophenyl)methyl]-N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

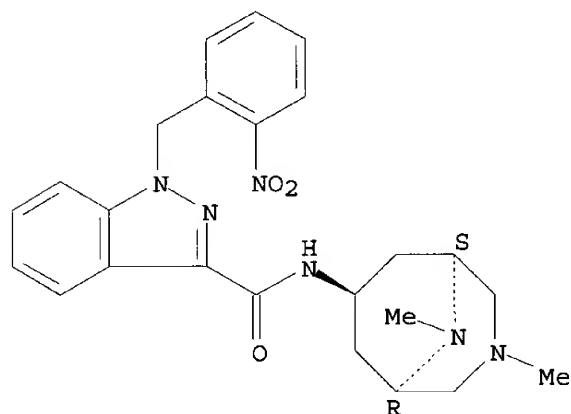
09/476,253



RN 154412-29-0 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-[(2-nitrophenyl)methyl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

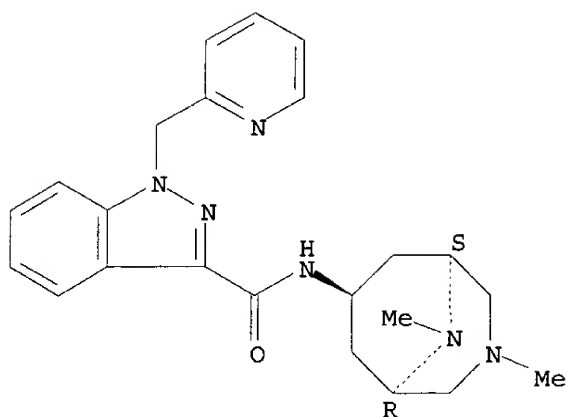


RN 154412-30-3 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(2-pyridinylmethyl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

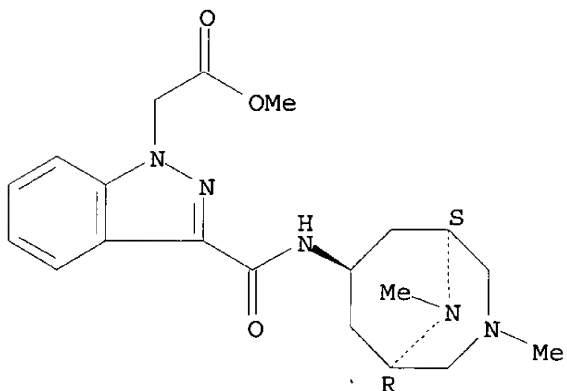
09/476,253



RN 154412-31-4 USPATFULL

CN 1H-Indazole-1-acetic acid, 3-[[[(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)amino]carbonyl]-, methyl ester, endo- (9CI) (CA INDEX NAME)

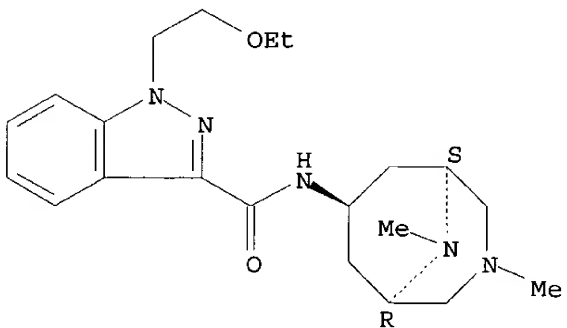
Relative stereochemistry.



RN 154412-32-5 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(2-ethoxyethyl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



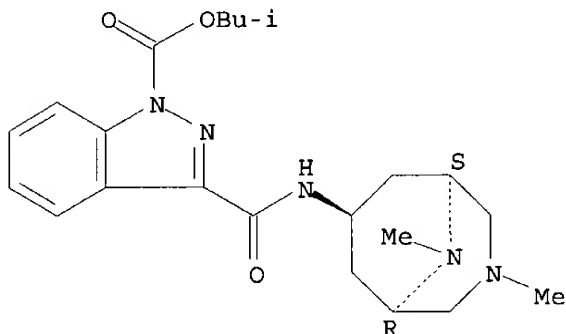
Delacroix

09/476,253

RN 154412-33-6 USPATFULL

CN 1H-Indazole-1-carboxylic acid, 3-[[[(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)amino]carbonyl]-, 2-methylpropyl ester, endo- (9CI) (CA INDEX NAME)

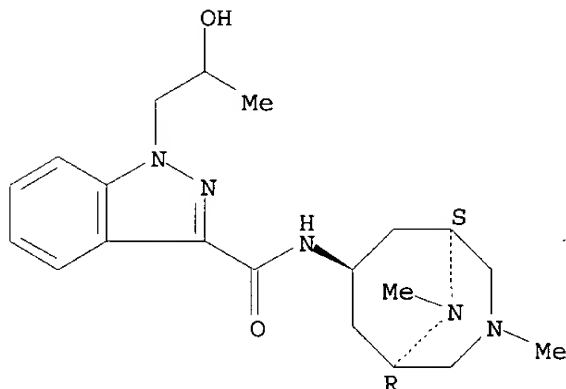
Relative stereochemistry.



RN 154412-34-7 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(2-hydroxypropyl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

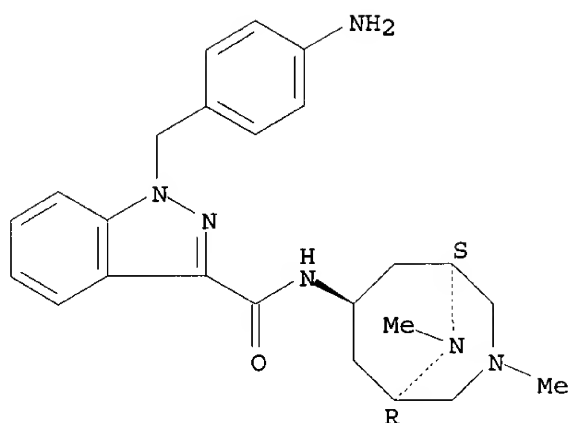


RN 154412-35-8 USPATFULL

CN 1H-Indazole-3-carboxamide, 1-[(4-aminophenyl)methyl]-N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

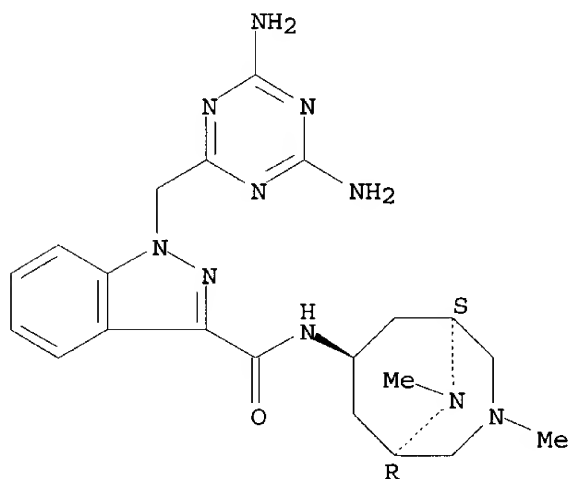
09/476,253



RN 154412-36-9 USPATFULL

CN 1H-Indazole-3-carboxamide, 1-[(4,6-diamino-1,3,5-triazin-2-yl)methyl]-N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

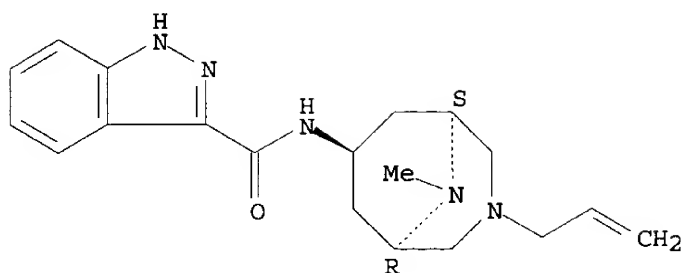


RN 154412-37-0 USPATFULL

CN 1H-Indazole-3-carboxamide, N-[9-methyl-3-(2-propenyl)-3,9-diazabicyclo[3.3.1]non-7-yl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

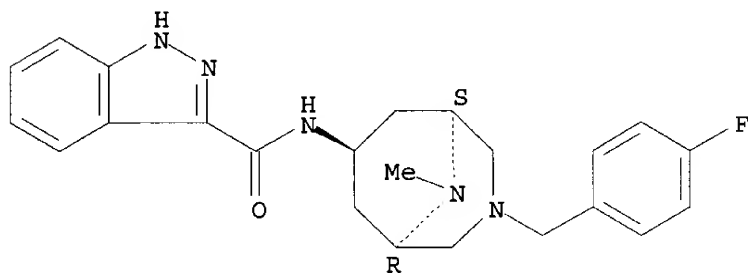
09/476,253



RN 154412-38-1 USPATFULL

CN 1H-Indazole-3-carboxamide, N-[3-[(4-fluorophenyl)methyl]-9-methyl-3,9-diazabicyclo[3.3.1]non-7-yl]-, endo- (9CI) (CA INDEX NAME)

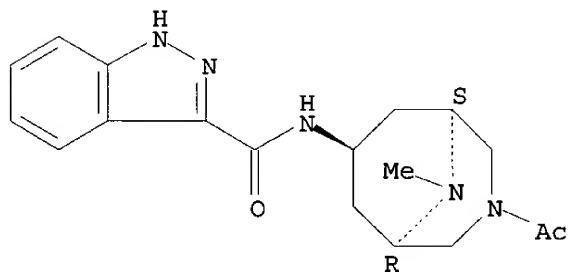
Relative stereochemistry.



RN 154412-39-2 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3-acetyl-9-methyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

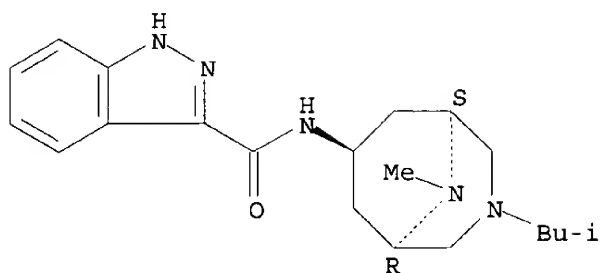


RN 154412-40-5 USPATFULL

CN 1H-Indazole-3-carboxamide, N-[9-methyl-3-(2-methylpropyl)-3,9-diazabicyclo[3.3.1]non-7-yl]-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

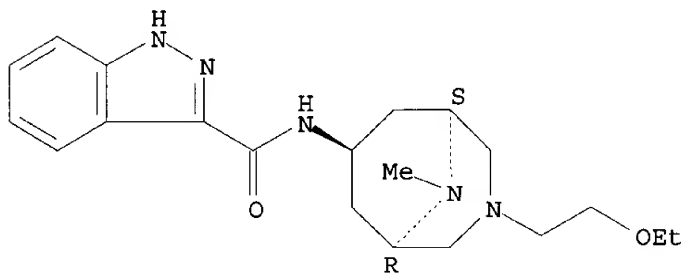
09/476,253



RN 154412-41-6 USPATFULL

CN 1H-Indazole-3-carboxamide, N-[3-(2-ethoxyethyl)-9-methyl-3,9-diazabicyclo[3.3.1]non-7-yl]-, endo- (9CI) (CA INDEX NAME)

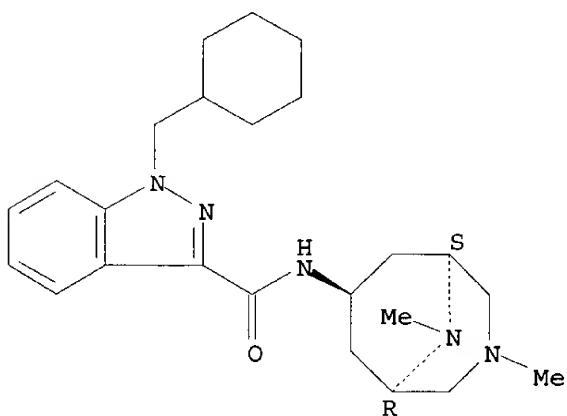
Relative stereochemistry.



RN 154412-42-7 USPATFULL

CN 1H-Indazole-3-carboxamide, 1-(cyclohexylmethyl)-N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



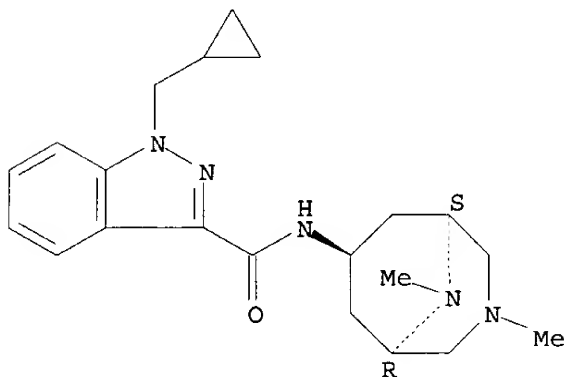
● HCl

09/476,253

RN 154412-43-8 USPATFULL

CN 1H-Indazole-3-carboxamide, 1-(cyclopropylmethyl)-N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

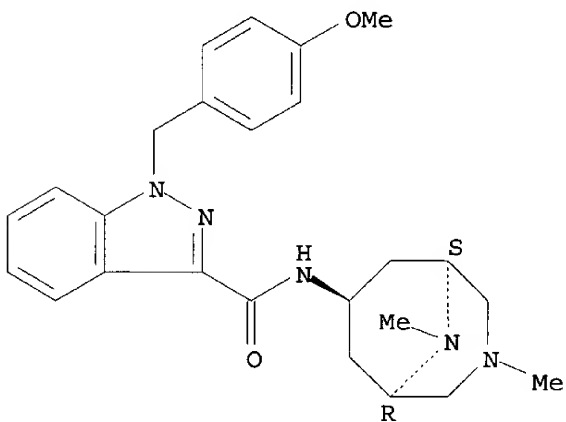


● HCl

RN 154412-44-9 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-[(4-methoxyphenyl)methyl]-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



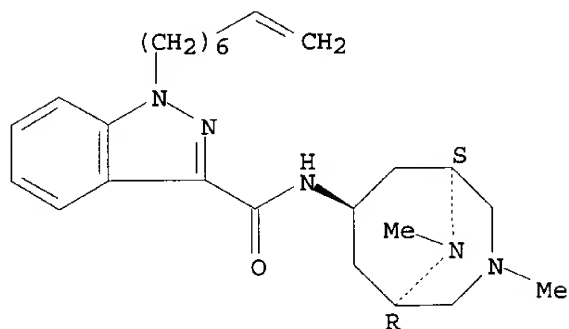
● HCl

RN 154412-45-0 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(7-octenyl)-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

09/476,253

Relative stereochemistry.

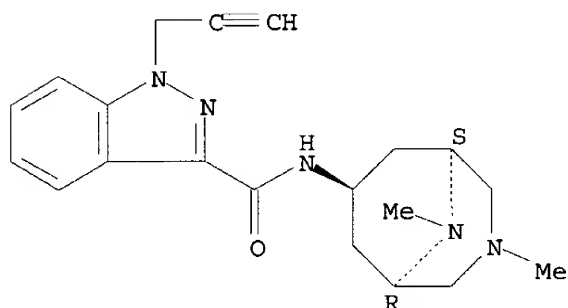


● HCl

RN 154412-46-1 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(2-propynyl)-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



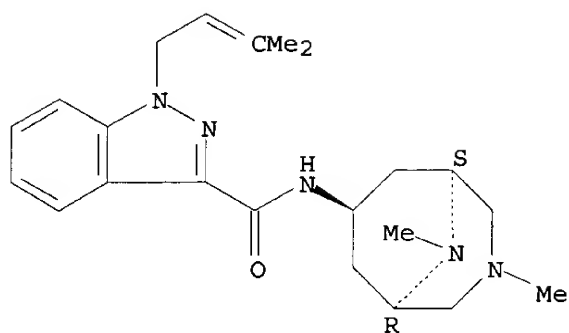
● HCl

RN 154412-47-2 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(3-methyl-2-butenyl)-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/476,253

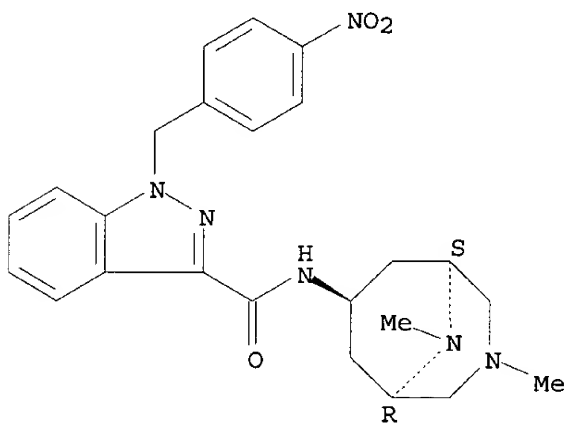


● HCl

RN 154412-48-3 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-[(4-nitrophenyl)methyl]-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



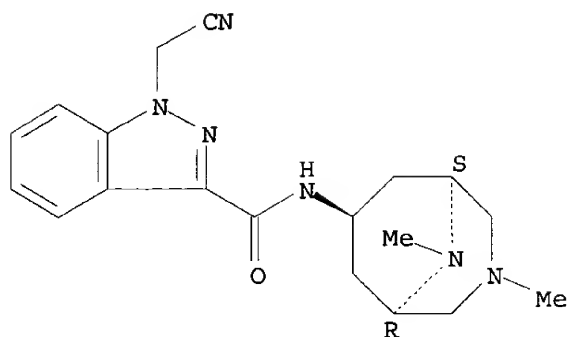
● HCl

RN 154412-49-4 USPATFULL

CN 1H-Indazole-3-carboxamide, 1-(cyanomethyl)-N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/476,253

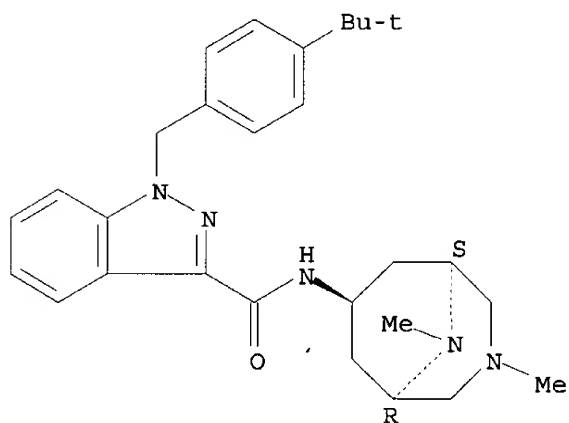


● HCl

RN 154412-50-7 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-[[4-(1,1-dimethylethyl)phenyl]methyl]-, monohydrochloride, endo-(9CI) (CA INDEX NAME)

Relative stereochemistry.



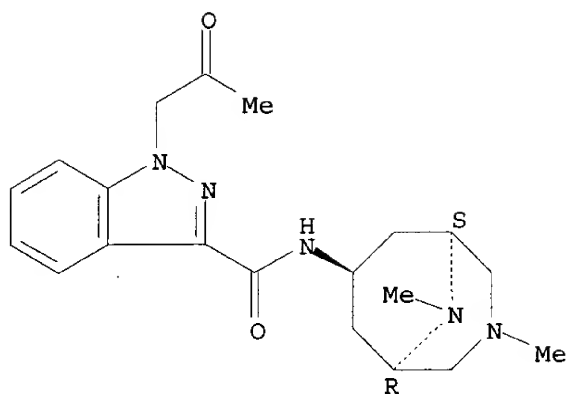
● HCl

RN 154412-51-8 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(2-oxopropyl)-, monohydrochloride, endo-(9CI) (CA INDEX NAME)

Relative stereochemistry.

09/476,253

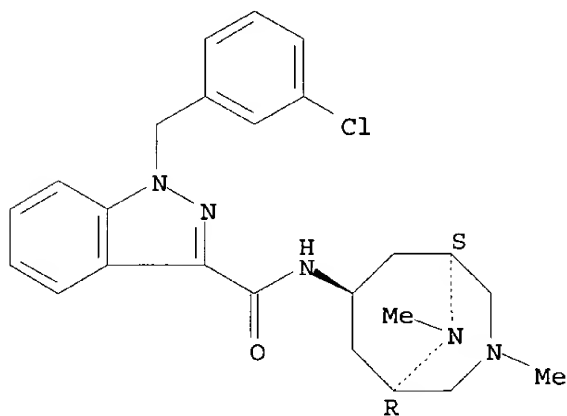


● HCl

RN 154412-52-9 USPATFULL

CN 1H-Indazole-3-carboxamide, 1-[(3-chlorophenyl)methyl]-N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

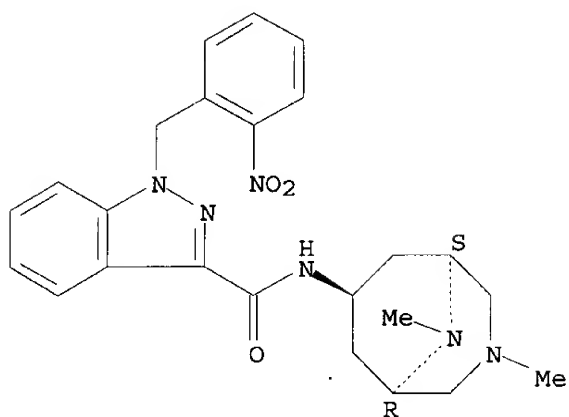
RN 154412-53-0 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-[(2-nitrophenyl)methyl]-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Delacroix

09/476,253

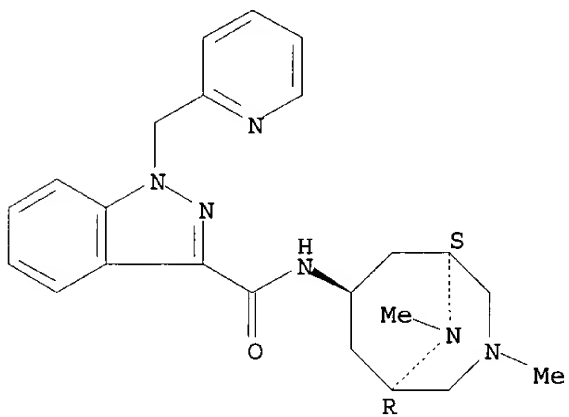


● HCl

RN 154412-54-1 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(2-pyridinylmethyl)-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



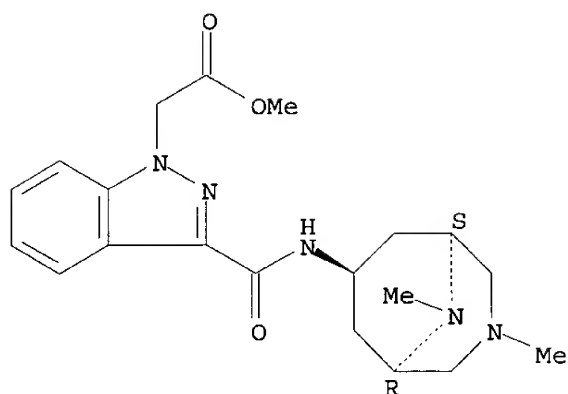
● HCl

RN 154412-55-2 USPATFULL

CN 1H-Indazole-1-acetic acid, 3-[[3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)amino]carbonyl]-, methyl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

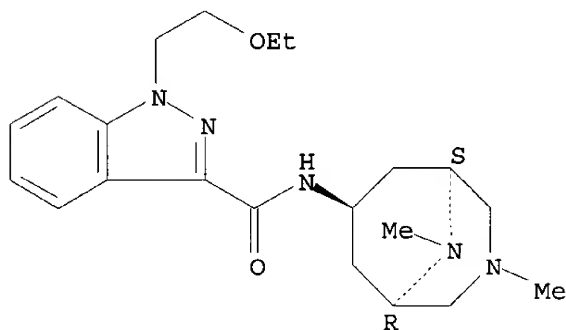
09/476,253



RN 154412-56-3 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(2-ethoxyethyl)-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

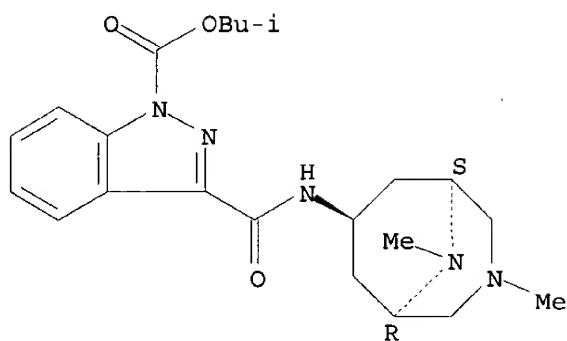


RN 154412-57-4 USPATFULL

CN 1H-Indazole-1-carboxylic acid, 3-[[[(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)amino]carbonyl]-, 2-methylpropyl ester, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

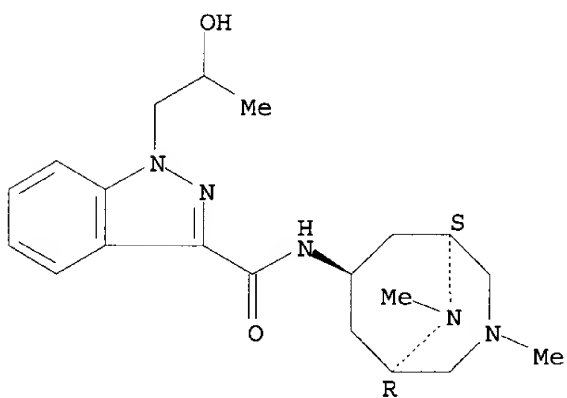
09/476,253



● HCl

RN 154412-58-5 USPATFULL
CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(2-hydroxypropyl)-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

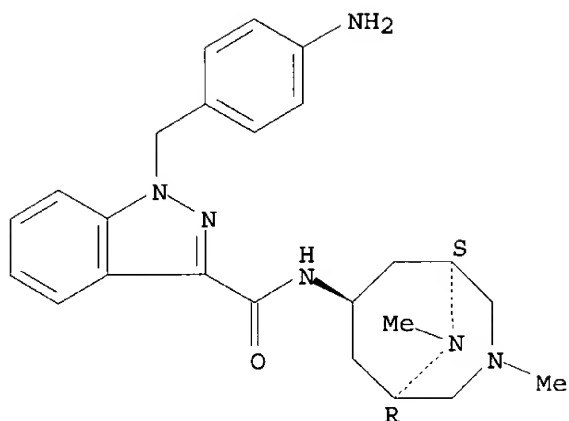


● HCl

RN 154412-59-6 USPATFULL
CN 1H-Indazole-3-carboxamide, 1-[(4-aminophenyl)methyl]-N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/476,253

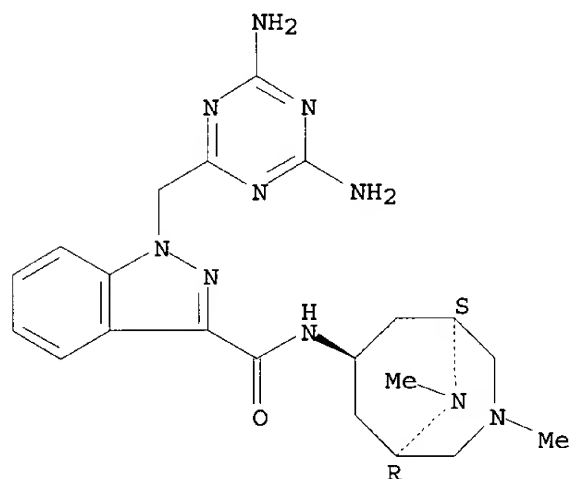


● HCl

RN 154412-60-9 USPATFULL

CN 1H-Indazole-3-carboxamide, 1-[(4,6-diamino-1,3,5-triazin-2-yl)methyl]-N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



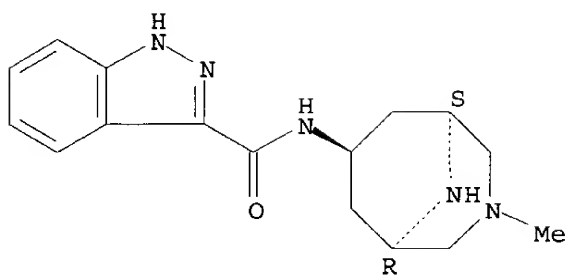
● HCl

RN 154412-61-0 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3-methyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

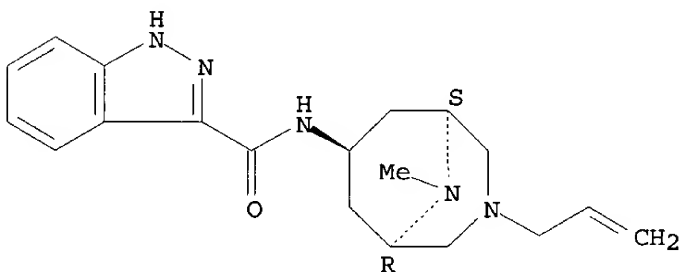
09/476,253



● HCl

RN 154412-62-1 USPATFULL
CN 1H-Indazole-3-carboxamide, N-[9-methyl-3-(2-propenyl)-3,9-diazabicyclo[3.3.1]non-7-yl]-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

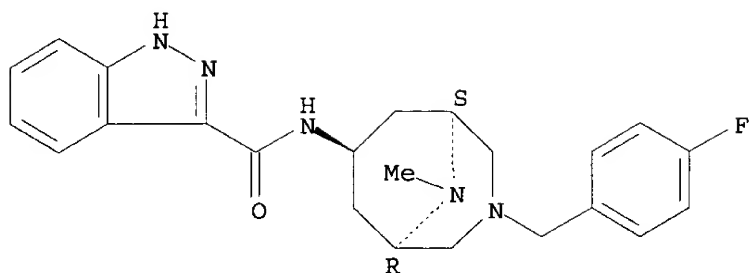


● HCl

RN 154412-63-2 USPATFULL
CN 1H-Indazole-3-carboxamide, N-[3-[(4-fluorophenyl)methyl]-9-methyl-3,9-diazabicyclo[3.3.1]non-7-yl]-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

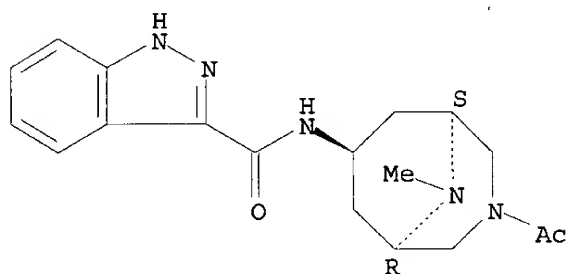
09/476,253



RN 154412-64-3 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3-acetyl-9-methyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



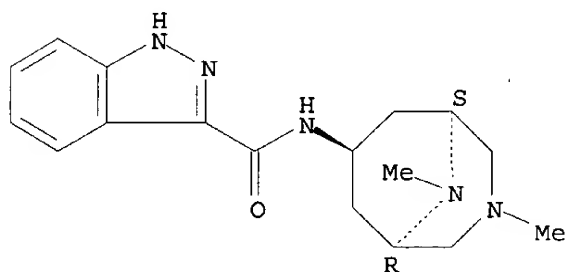
IT 141549-75-9

(reactant for diazabicyclononanyl indazolecarboxamide deriv. HT-receptor antagonist)

RN 141549-75-9 USPATFULL

CN 1H-Indazole-3-carboxamide, N-[(7-endo)-3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L10 ANSWER 6 OF 19 USPATFULL

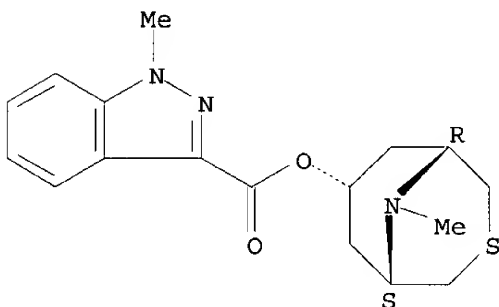
IT 141549-65-7P 141549-66-8P 141549-72-6P
 141549-74-8P 141549-75-9P 141549-76-0P
 141549-77-1P 141549-78-2P 141549-79-3P
 141549-80-6P 141549-82-8P 141549-92-0P
 141549-93-1P 141549-99-7P 141550-01-8P
 141550-02-9P 141550-03-0P 141550-04-1P
 141550-05-2P 141550-06-3P 141550-07-4P
 141550-09-6P

(prepn. of, as 5-HT3 receptor antagonists)

RN 141549-65-7 USPATFULL

CN 1H-Indazole-3-carboxylic acid, 1-methyl-, 9-methyl-3-thia-9-azabicyclo[3.3.1]non-7-yl ester, endo- (9CI) (CA INDEX NAME)

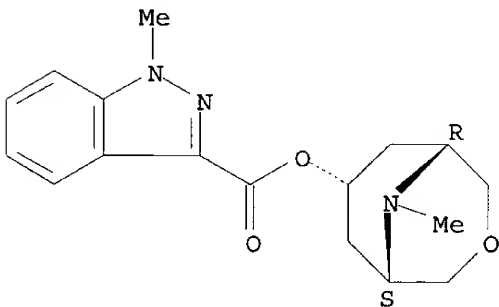
Relative stereochemistry.



RN 141549-66-8 USPATFULL

CN 1H-Indazole-3-carboxylic acid, 1-methyl-, 9-methyl-3-oxa-9-azabicyclo[3.3.1]non-7-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

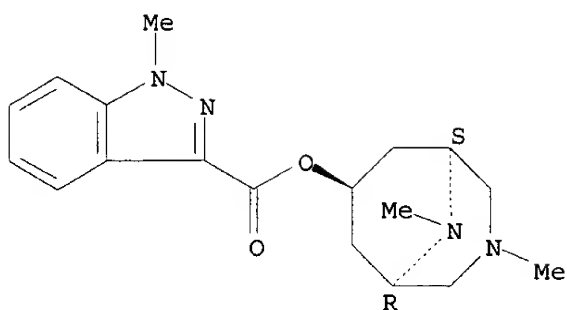


RN 141549-72-6 USPATFULL

CN 1H-Indazole-3-carboxylic acid, 1-methyl-, 3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

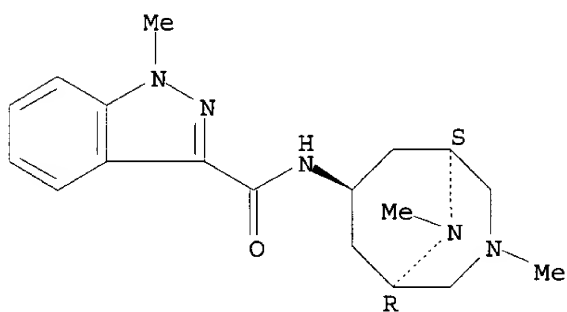
09/476,253



RN 141549-74-8 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-methyl-, endo- (9CI) (CA INDEX NAME)

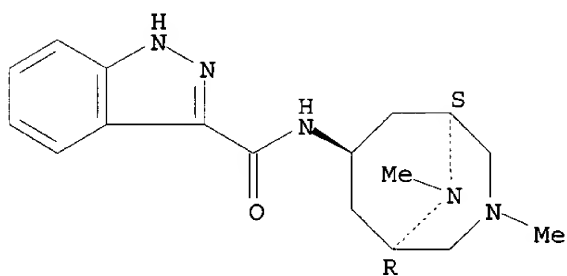
Relative stereochemistry.



RN 141549-75-9 USPATFULL

CN 1H-Indazole-3-carboxamide, N-[(7-endo)-3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

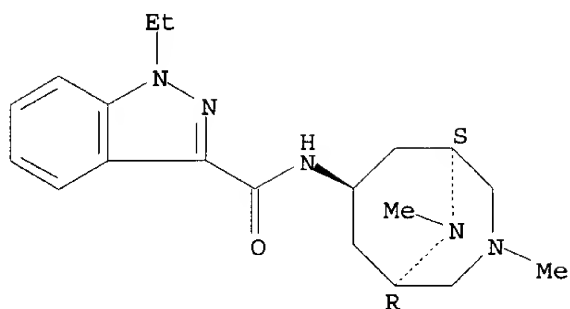


RN 141549-76-0 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-ethyl-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

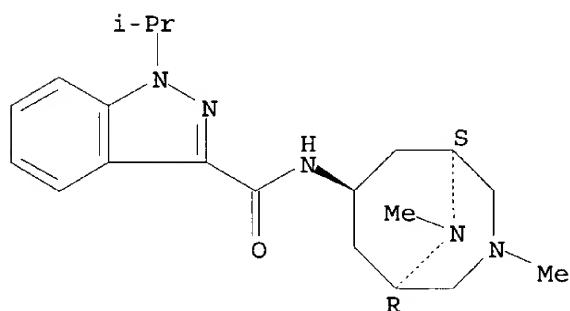
09/476,253



RN 141549-77-1 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(1-methylethyl)-, endo- (9CI) (CA INDEX NAME)

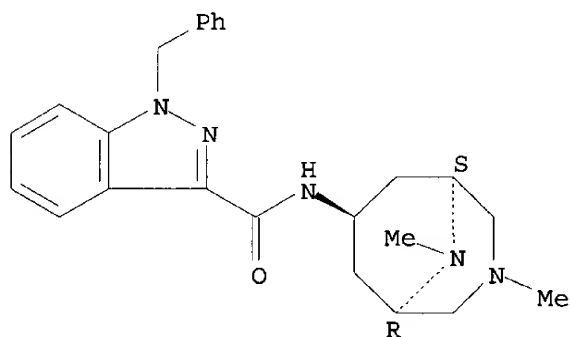
Relative stereochemistry.



RN 141549-78-2 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(phenylmethyl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

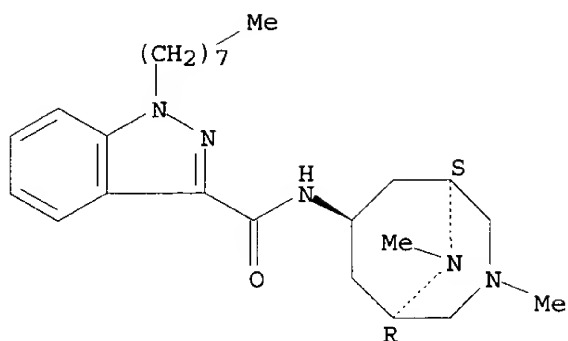


RN 141549-79-3 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-octyl-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

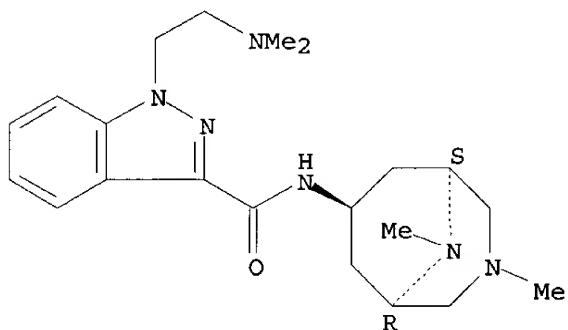
09/476,253



RN 141549-80-6 USPATFULL

CN 1H-Indazole-3-carboxamide, 1-[2-(dimethylamino)ethyl]-N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, endo- (9CI) (CA INDEX NAME)

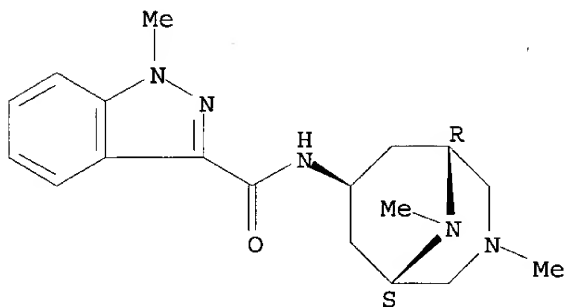
Relative stereochemistry.



RN 141549-82-8 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-methyl-, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

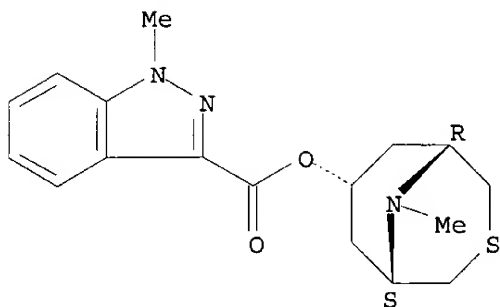


RN 141549-92-0 USPATFULL

CN 1H-Indazole-3-carboxylic acid, 1-methyl-, 9-methyl-3-thia-9-azabicyclo[3.3.1]non-7-yl ester, hydrochloride, endo- (9CI) (CA INDEX NAME)

09/476,253

Relative stereochemistry.

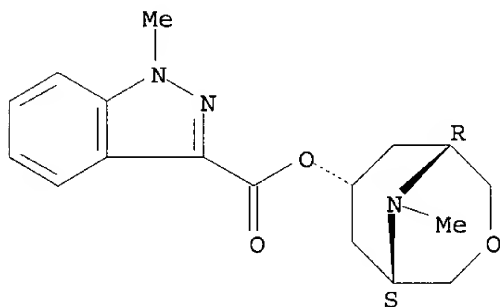


●x HCl

RN 141549-93-1 USPATFULL

CN 1H-Indazole-3-carboxylic acid, 1-methyl-, 9-methyl-3-oxa-9-azabicyclo[3.3.1]non-7-yl ester, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



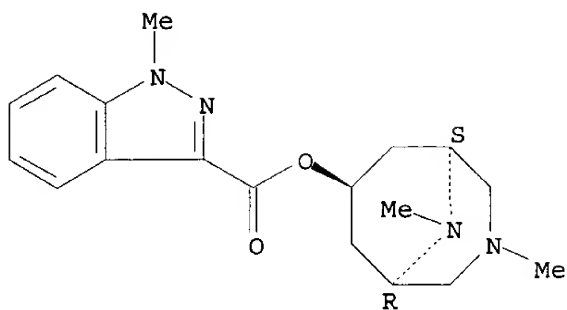
●x HCl

RN 141549-99-7 USPATFULL

CN 1H-Indazole-3-carboxylic acid, 1-methyl-, 3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl ester, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/476,253

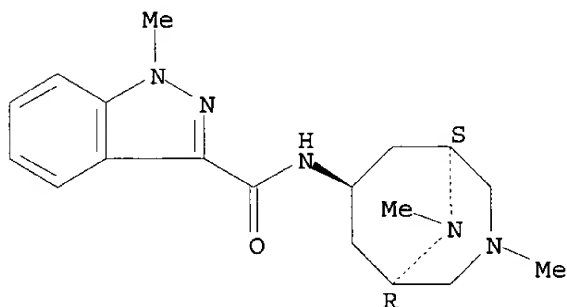


● x HCl

RN 141550-01-8 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-methyl-, dihydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



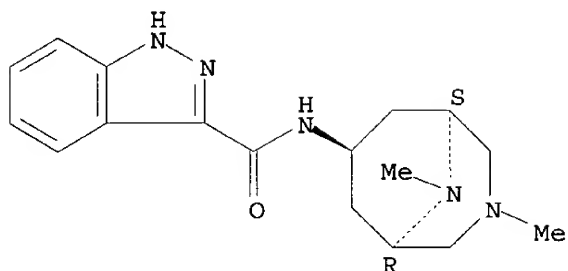
● 2 HCl

RN 141550-02-9 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/476,253

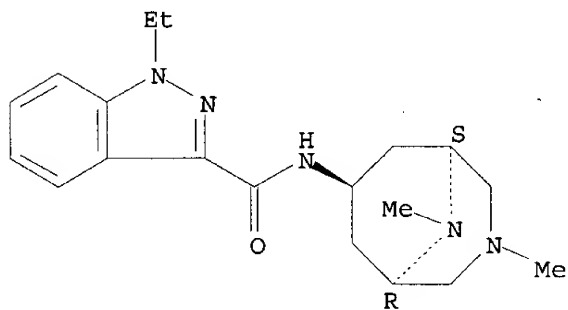


●x HCl

RN 141550-03-0 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-ethyl-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



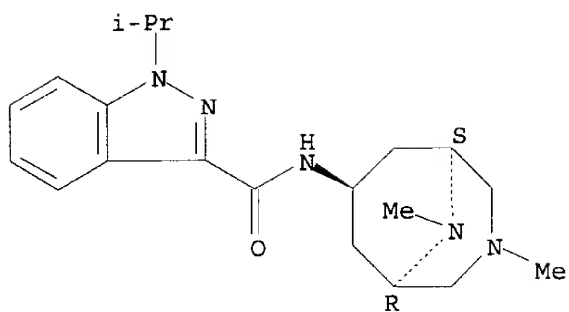
●x HCl

RN 141550-04-1 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(1-methylethyl)-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

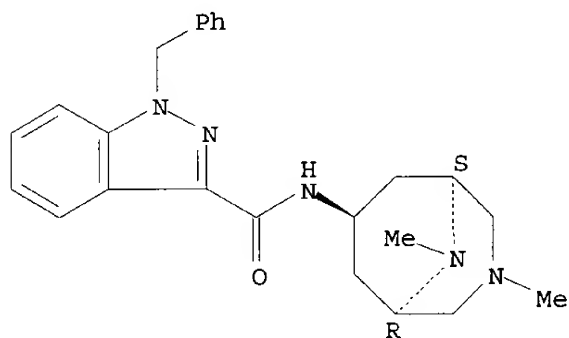
09/476,253



RN 141550-05-2 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(phenylmethyl)-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

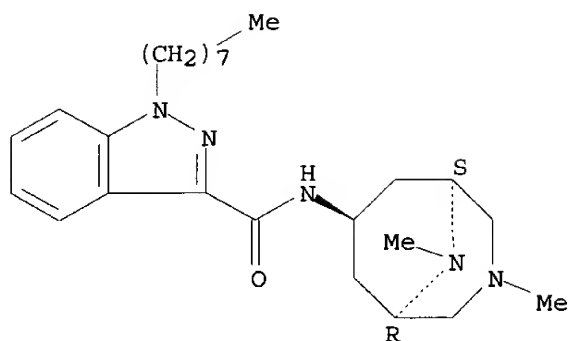


RN 141550-06-3 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-octyl-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/476,253

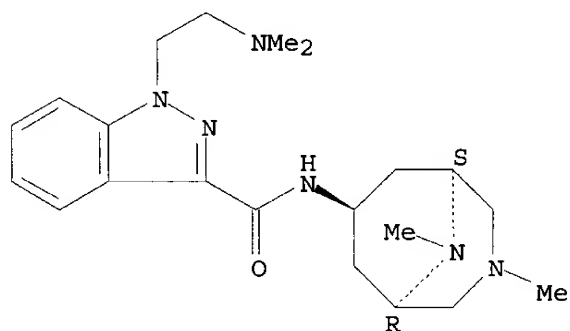


●x HCl

RN 141550-07-4 USPATFULL

CN 1H-Indazole-3-carboxamide, 1-[2-(dimethylamino)ethyl]-N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



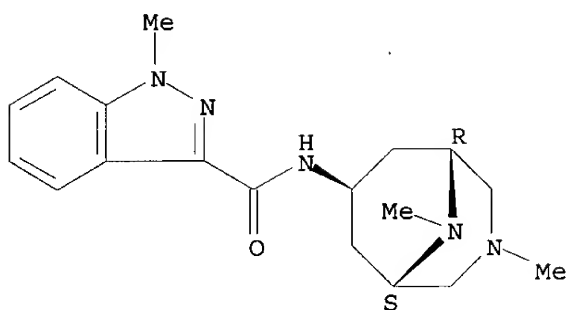
●x HCl

RN 141550-09-6 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-methyl-, hydrochloride, exo- (9CI) (CA INDEX NAME)

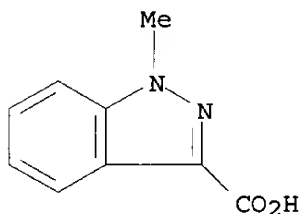
Relative stereochemistry.

09/476,253

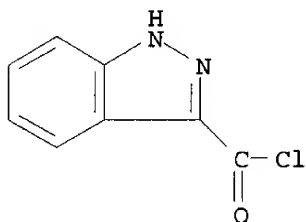


● x HCl

IT 50890-83-0, 1-Methylindazole-3-carboxylic acid 72083-74-0
, 1H-Indazole-3-carbonyl chloride
(reaction of, and prepn. of 5-HT3 receptor antagonists)
RN 50890-83-0 USPATFULL
CN 1H-Indazole-3-carboxylic acid, 1-methyl- (9CI) (CA INDEX NAME)



RN 72083-74-0 USPATFULL
CN 1H-Indazole-3-carbonyl chloride (6CI, 9CI) (CA INDEX NAME)

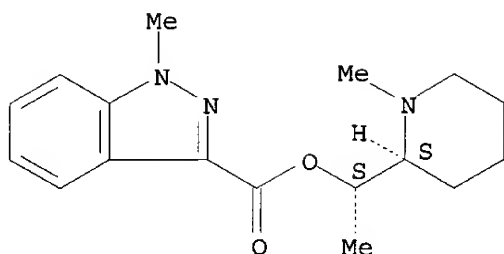


L10 ANSWER 7 OF 19 USPATFULL
IT 144260-46-8P 144260-47-9P 144260-48-0P
144445-95-4P 144445-96-5P 144445-97-6P
(prepn. of, as S3 antagonist)
RN 144260-46-8 USPATFULL
CN 1H-Indazole-3-carboxylic acid, 1-methyl-, 1-(1-methyl-2-piperidinyl)ethyl
ester, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Delacroix

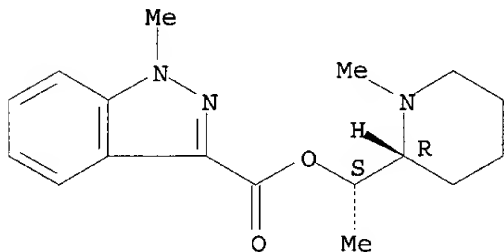
09/476,253



RN 144260-47-9 USPATFULL

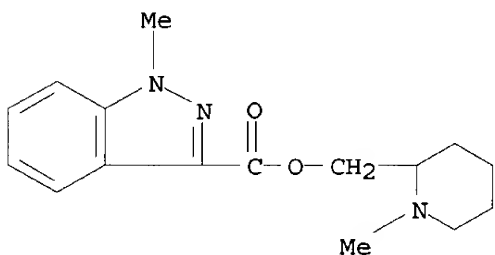
CN 1H-Indazole-3-carboxylic acid, 1-methyl-, 1-(1-methyl-2-piperidinyl)ethyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 144260-48-0 USPATFULL

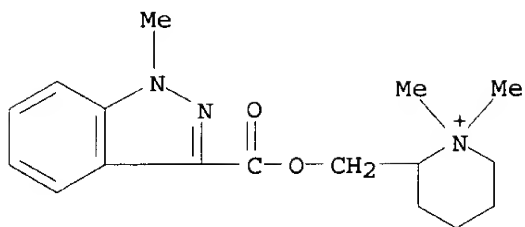
CN 1H-Indazole-3-carboxylic acid, 1-methyl-, (1-methyl-2-piperidinyl)methyl ester (9CI) (CA INDEX NAME)



RN 144445-95-4 USPATFULL

CN Piperidinium, 1,1-dimethyl-2-[[[(1-methyl-1H-indazol-3-yl)carbonyl]oxy]methyl]-, iodide (9CI) (CA INDEX NAME)

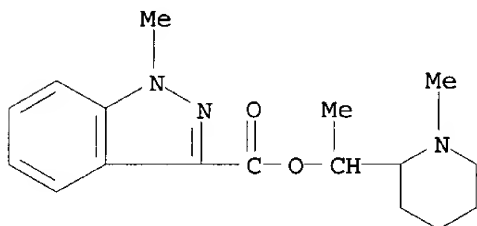
09/476,253



● I⁻

RN 144445-96-5 USPATFULL

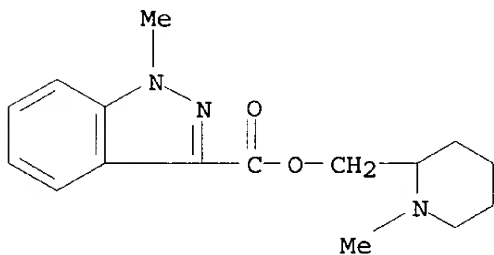
CN 1H-Indazole-3-carboxylic acid, 1-methyl-, 1-(1-methyl-2-piperidinyl)ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 144445-97-6 USPATFULL

CN 1H-Indazole-3-carboxylic acid, 1-methyl-, (1-methyl-2-piperidinyl)methyl ester, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

IT 106649-02-9

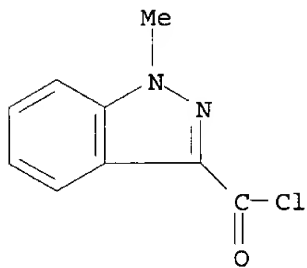
(reaction of, in prepn. of S3 antagonist)

RN 106649-02-9 USPATFULL

Delacroix

09/476,253

CN 1H-Indazole-3-carbonyl chloride, 1-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 8 OF 19 USPATFULL

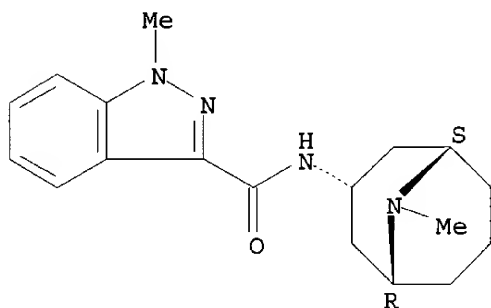
IT 109889-09-0

(pharmaceutical compn. contg., for treatment of autism or other mental retardation-assocd. disorders of childhood)

RN 109889-09-0 USPATFULL

CN 1H-Indazole-3-carboxamide, 1-methyl-N-[(3-endo)-9-methyl-9-azabicyclo[3.3.1]non-3-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L10 ANSWER 9 OF 19 USPATFULL

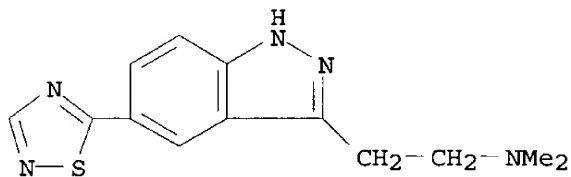
IT 144056-04-2 144056-05-3 144056-06-4

144056-07-5

(hydroxytryptamine receptor agonist)

RN 144056-04-2 USPATFULL

CN 1H-Indazole-3-ethanamine, N,N-dimethyl-5-(1,2,4-thiadiazol-5-yl)- (9CI) (CA INDEX NAME)

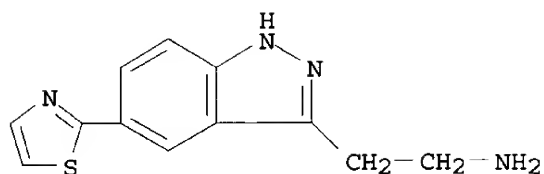


RN 144056-05-3 USPATFULL

CN 1H-Indazole-3-ethanamine, 5-(2-thiazolyl)- (9CI) (CA INDEX NAME)

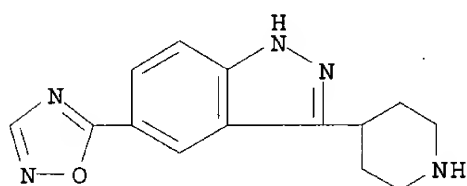
Delacroix

09/476,253



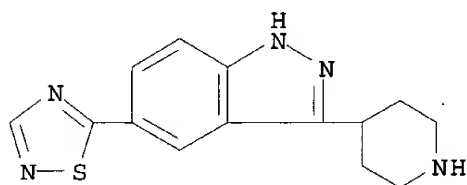
RN 144056-06-4 USPATFULL

CN 1H-Indazole, 5-(1,2,4-oxadiazol-5-yl)-3-(2-aminoethyl)- (9CI) (CA INDEX NAME)



RN 144056-07-5 USPATFULL

CN 1H-Indazole, 3-(4-piperidiny)-5-(1,2,4-thiadiazol-5-yl)- (9CI) (CA INDEX NAME)

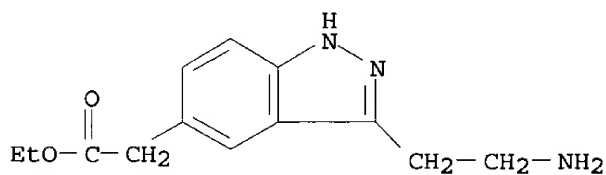


IT 144055-99-2P

(prepn. and alkylation of)

RN 144055-99-2 USPATFULL

CN 1H-Indazole-5-acetic acid, 3-(2-aminoethyl)-, ethyl ester (9CI) (CA INDEX NAME)

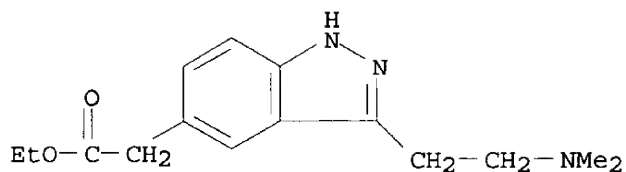


IT 144056-00-8P

(prepn. and benzylation of)

RN 144056-00-8 USPATFULL

CN 1H-Indazole-5-acetic acid, 3-[2-(dimethylamino)ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

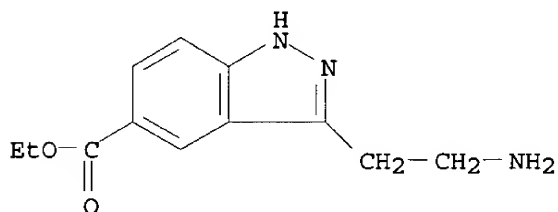


IT 144055-90-3P 144055-92-5P

(prepn. and cyclocondensation reaction of, with Me acetamide oxime,
(oxadiazolyl)indazoleethanamine from)

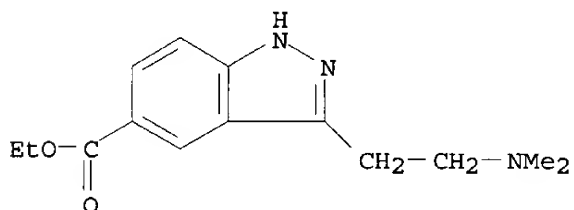
RN 144055-90-3 USPATFULL

CN 1H-Indazole-5-carboxylic acid, 3-(2-aminoethyl)-, ethyl ester (9CI) (CA
INDEX NAME)



RN 144055-92-5 USPATFULL

CN 1H-Indazole-5-carboxylic acid, 3-[2-(dimethylamino)ethyl]-, ethyl ester
(9CI) (CA INDEX NAME)

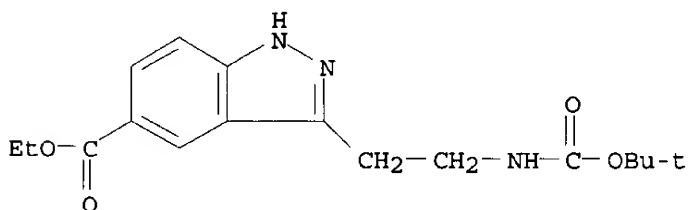


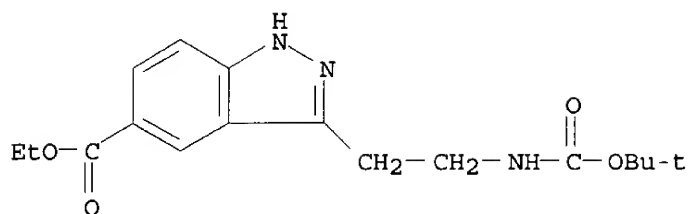
IT 144055-89-0P 144055-98-1P 144056-03-1P

(prepn. and deprotection of)

RN 144055-89-0 USPATFULL

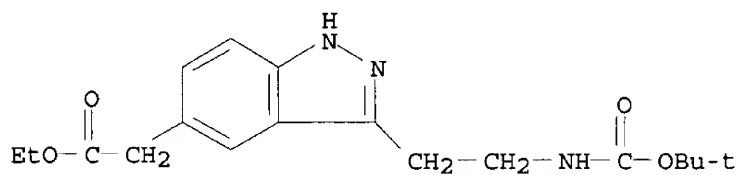
CN 1H-Indazole-5-carboxylic acid, 3-[2-[[[(1,1-dimethylethoxy)carbonyl]amino]e
thyl]-, ethyl ester (9CI) (CA INDEX NAME)





RN 144055-98-1 USPATFULL

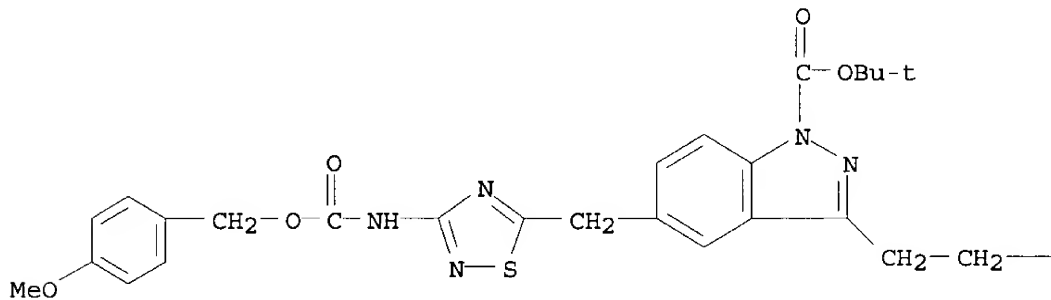
CN 1H-Indazole-5-acetic acid, 3-[2-[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 144056-03-1 USPATFULL

CN 1H-Indazole-1-carboxylic acid, 3-[2-(dimethylamino)ethyl]-5-[[3-[[[(4-methoxyphenyl)methoxy]carbonyl]amino]-1,2,4-thiadiazol-5-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

— NMe₂

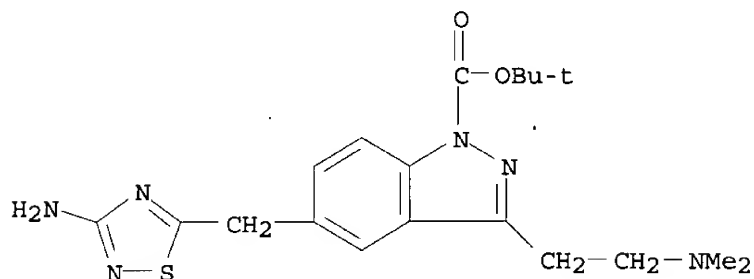
IT 144056-08-6P

09/476,253

(prepn. and hydrolysis of)

RN 144056-08-6 USPATFULL

CN 1H-Indazole-1-carboxylic acid, 5-[(3-amino-1,2,4-thiadiazol-5-yl)methyl]-3-[2-(dimethylamino)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

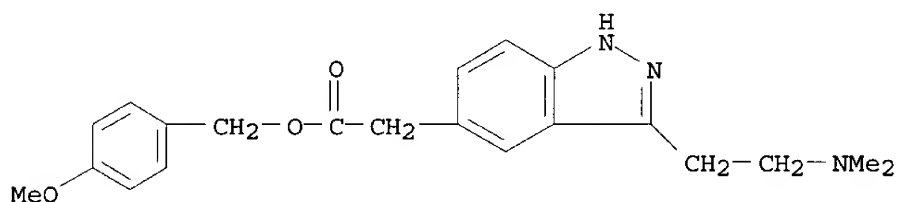


IT 144056-01-9P

(prepn. and protection of)

RN 144056-01-9 USPATFULL

CN 1H-Indazole-5-acetic acid, 3-[2-(dimethylamino)ethyl]-, (4-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)

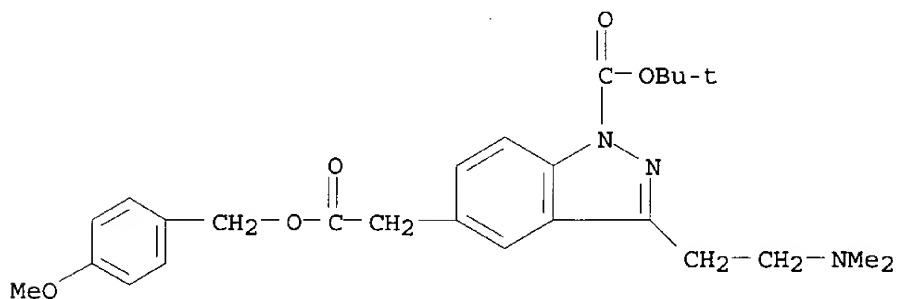


IT 144056-02-0P

(prepn. and reaction of, with chlorothiadiazoamine)

RN 144056-02-0 USPATFULL

CN 1H-Indazole-5-acetic acid, 3-[2-(dimethylamino)ethyl]-1-[(1,1-dimethylethoxy)carbonyl]-, (4-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)



IT 144055-91-4P

(prepn. of)

09/476,253

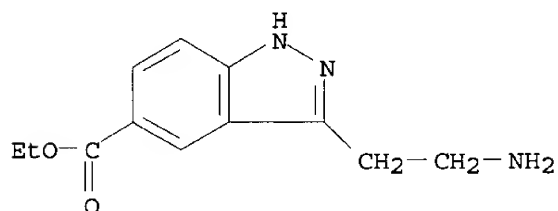
RN 144055-91-4 USPATFULL

CN 1H-Indazole-5-carboxylic acid, 3-(2-aminoethyl)-, ethyl ester,
ethanedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 144055-90-3

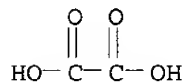
CMF C12 H15 N3 O2



CM 2

CRN 144-62-7

CMF C2 H2 O4



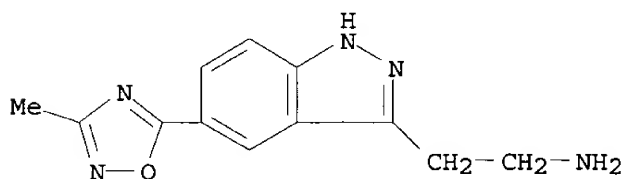
IT 144055-79-8P 144055-80-1P 144055-81-2P

144055-82-3P 144055-83-4P 144055-84-5P

(prepn. of, as hydroxytryptamine receptor agonist)

RN 144055-79-8 USPATFULL

CN 1H-Indazole-3-ethanamine, 5-(3-methyl-1,2,4-oxadiazol-5-yl)- (9CI) (CA
INDEX NAME)



RN 144055-80-1 USPATFULL

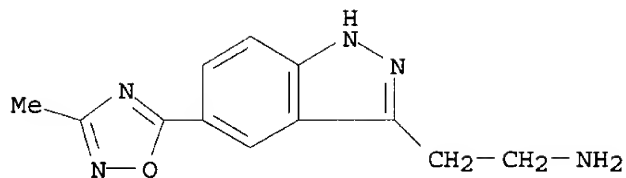
CN 1H-Indazole-3-ethanamine, 5-(3-methyl-1,2,4-oxadiazol-5-yl)-, ethanedioate
(2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 144055-79-8

CMF C12 H13 N5 O

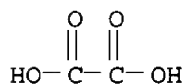
09/476,253



CM 2

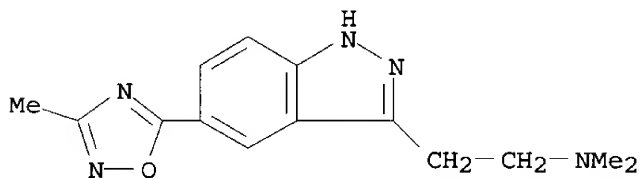
CRN 144-62-7

CMF C2 H2 O4



RN 144055-81-2 USPATFULL

CN 1H-Indazole-3-ethanamine, N,N-dimethyl-5-(3-methyl-1,2,4-oxadiazol-5-yl)-
(9CI) (CA INDEX NAME)



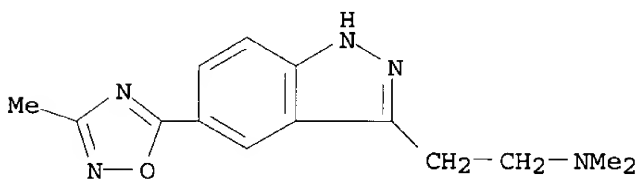
RN 144055-82-3 USPATFULL

CN 1H-Indazole-3-ethanamine, N,N-dimethyl-5-(3-methyl-1,2,4-oxadiazol-5-yl)-,
ethanedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 144055-81-2

CMF C14 H17 N5 O

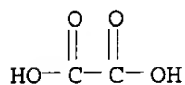


CM 2

CRN 144-62-7

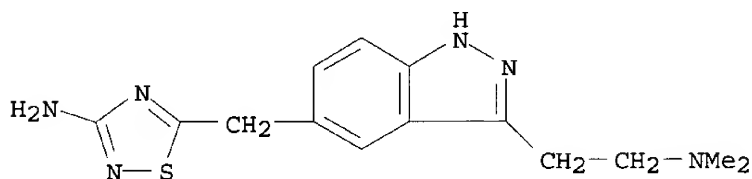
CMF C2 H2 O4

09/476,253



RN 144055-83-4 USPATFULL

CN 1H-Indazole-3-ethanamine, 5-[(3-amino-1,2,4-thiadiazol-5-yl)methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



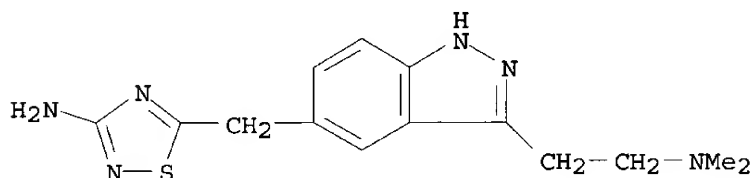
RN 144055-84-5 USPATFULL

CN 1H-Indazole-3-ethanamine, 5-[(3-amino-1,2,4-thiadiazol-5-yl)methyl]-N,N-dimethyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 144055-83-4

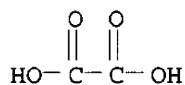
CMF C14 H18 N6 S



CM 2

CRN 144-62-7

CMF C2 H2 O4



L10 ANSWER 10 OF 19 USPATFULL

IT 141549-65-7P 141549-66-8P 141549-72-6P
141549-74-8P 141549-75-9P 141549-76-0P
141549-77-1P 141549-78-2P 141549-79-3P
141549-80-6P 141549-82-8P 141549-92-0P
141549-93-1P 141549-99-7P 141550-01-8P
141550-02-9P 141550-03-0P 141550-04-1P
141550-05-2P 141550-06-3P 141550-07-4P
141550-09-6P

Delacroix

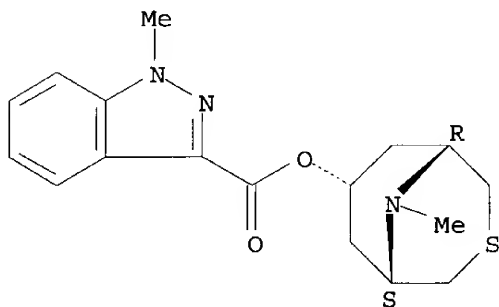
09/476,253

(prepn. of, as 5-HT₃ receptor antagonists)

RN 141549-65-7 USPATFULL

CN 1H-Indazole-3-carboxylic acid, 1-methyl-, 9-methyl-3-thia-9-azabicyclo[3.3.1]non-7-yl ester, endo- (9CI) (CA INDEX NAME)

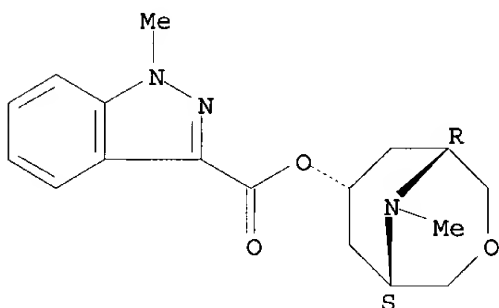
Relative stereochemistry.



RN 141549-66-8 USPATFULL

CN 1H-Indazole-3-carboxylic acid, 1-methyl-, 9-methyl-3-oxa-9-azabicyclo[3.3.1]non-7-yl ester, endo- (9CI) (CA INDEX NAME)

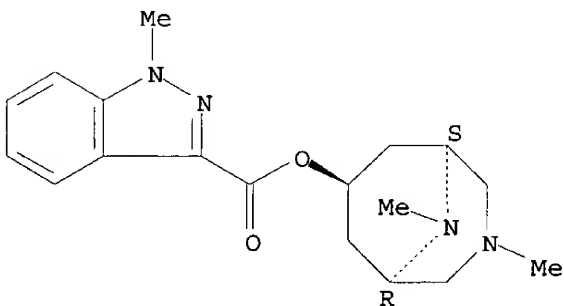
Relative stereochemistry.



RN 141549-72-6 USPATFULL

CN 1H-Indazole-3-carboxylic acid, 1-methyl-, 3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



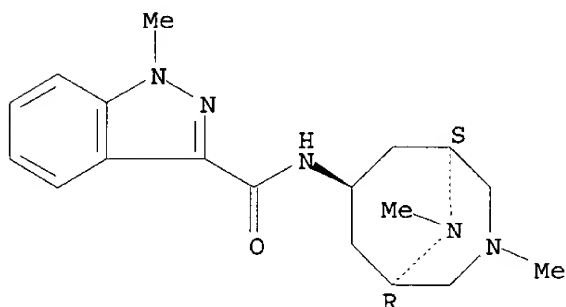
Delacroix

09/476,253

RN 141549-74-8 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-methyl-, endo- (9CI) (CA INDEX NAME)

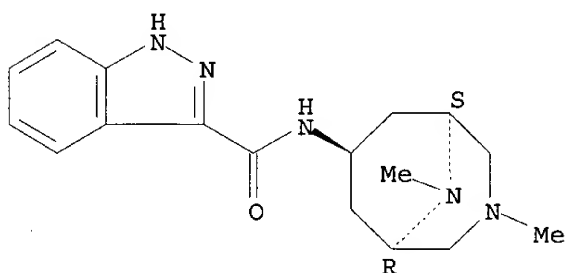
Relative stereochemistry.



RN 141549-75-9 USPATFULL

CN 1H-Indazole-3-carboxamide, N-[(7-endo)-3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl]- (9CI) (CA INDEX NAME)

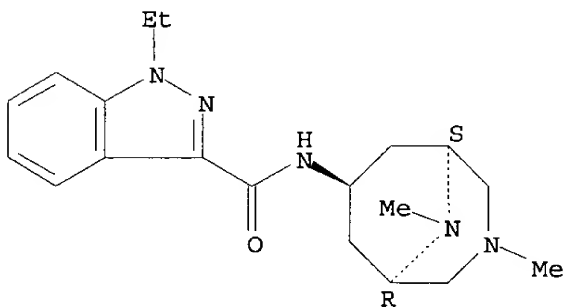
Relative stereochemistry.



RN 141549-76-0 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-ethyl-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



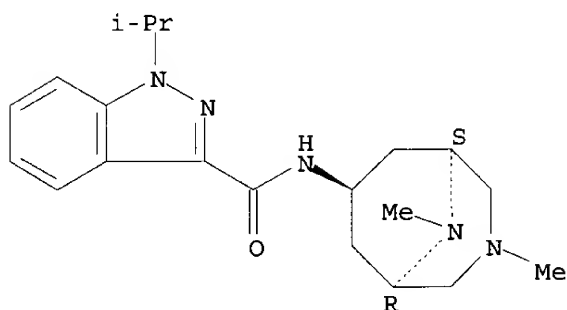
RN 141549-77-1 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-

09/476,253

yl)-1-(1-methylethyl)-, endo- (9CI) (CA INDEX NAME)

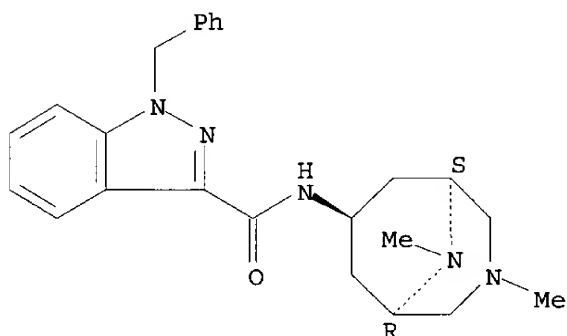
Relative stereochemistry.



RN 141549-78-2 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(phenylmethyl)-, endo- (9CI) (CA INDEX NAME)

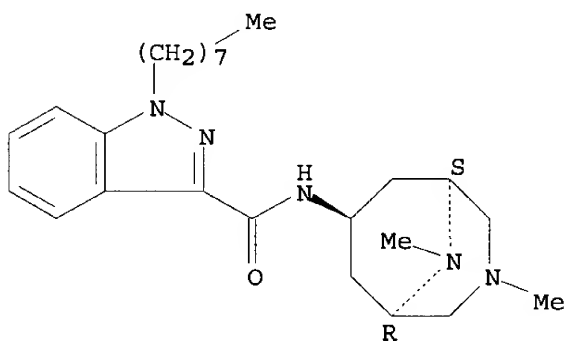
Relative stereochemistry.



RN 141549-79-3 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-octyl-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

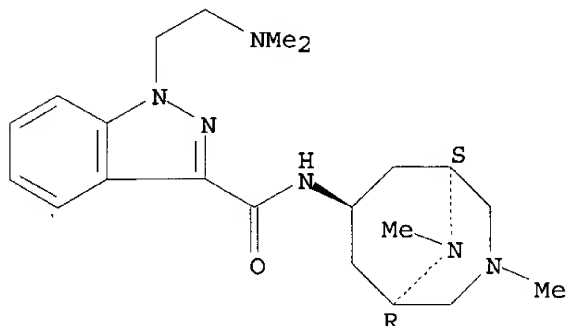


RN 141549-80-6 USPATFULL

09/476,253

CN 1H-Indazole-3-carboxamide, 1-[2-(dimethylamino)ethyl]-N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, endo- (9CI) (CA INDEX NAME)

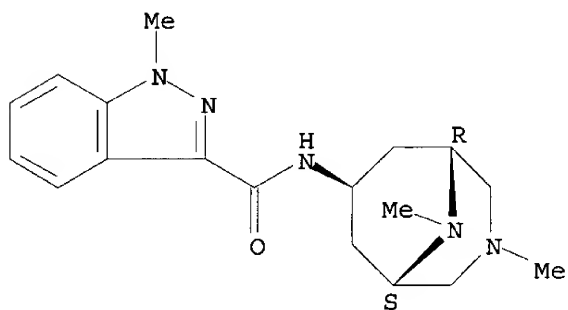
Relative stereochemistry.



RN 141549-82-8 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-methyl-, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

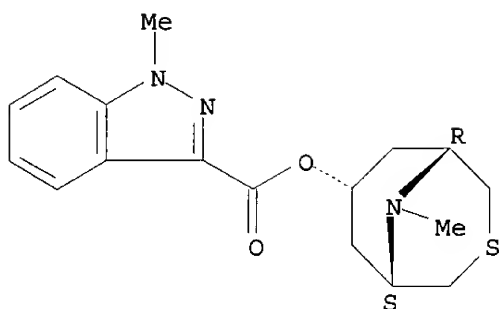


RN 141549-92-0 USPATFULL

CN 1H-Indazole-3-carboxylic acid, 1-methyl-, 9-methyl-3-thia-9-azabicyclo[3.3.1]non-7-yl ester, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/476,253

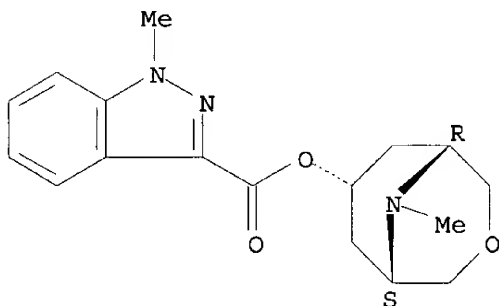


●x HCl

RN 141549-93-1 USPATFULL

CN 1H-Indazole-3-carboxylic acid, 1-methyl-, 9-methyl-3-oxa-9-azabicyclo[3.3.1]non-7-yl ester, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



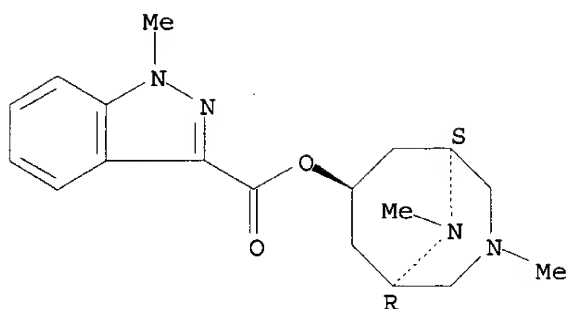
●x HCl

RN 141549-99-7 USPATFULL

CN 1H-Indazole-3-carboxylic acid, 1-methyl-, 3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl ester, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/476,253

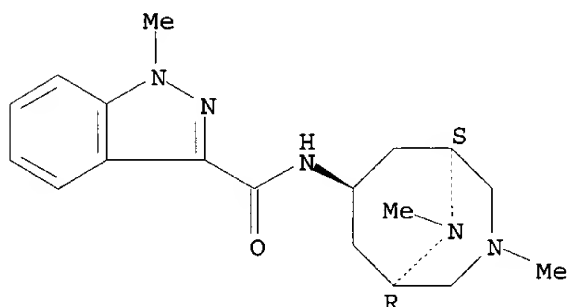


●x HCl

RN 141550-01-8 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-methyl-, dihydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



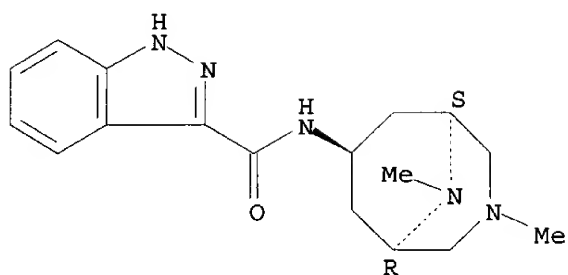
●2 HCl

RN 141550-02-9 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/476,253

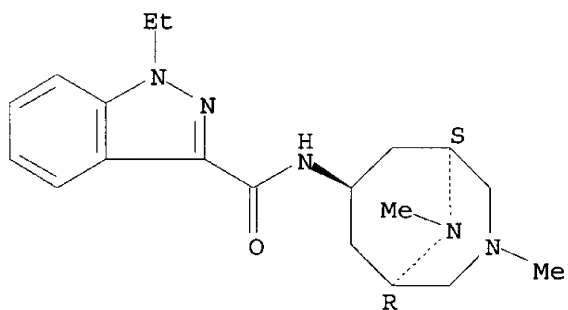


●x HCl

RN 141550-03-0 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-ethyl-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



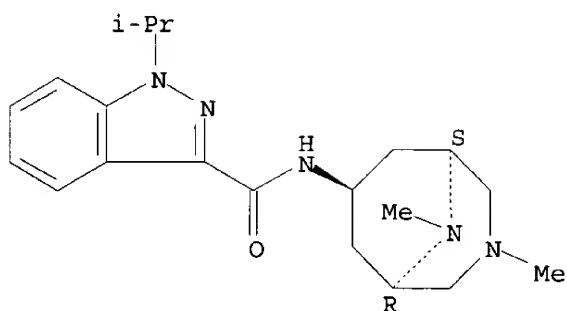
●x HCl

RN 141550-04-1 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(1-methylethyl)-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/476,253

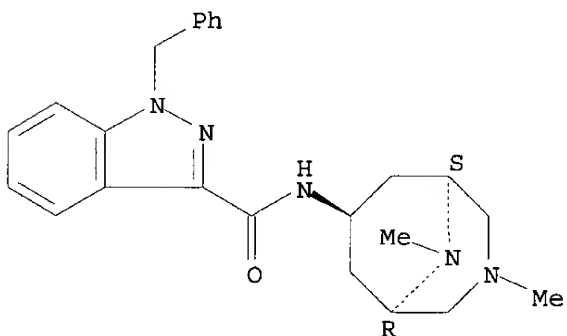


●x HCl

RN 141550-05-2 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-(phenylmethyl)-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



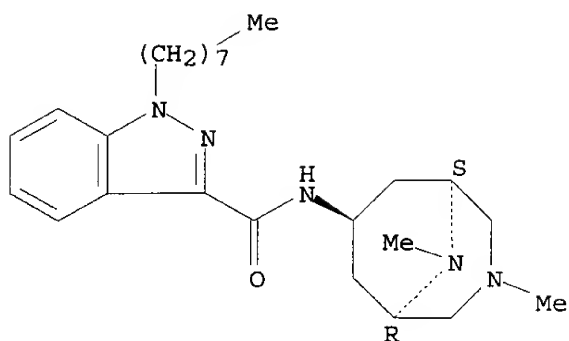
●x HCl

RN 141550-06-3 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-octyl-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/476,253

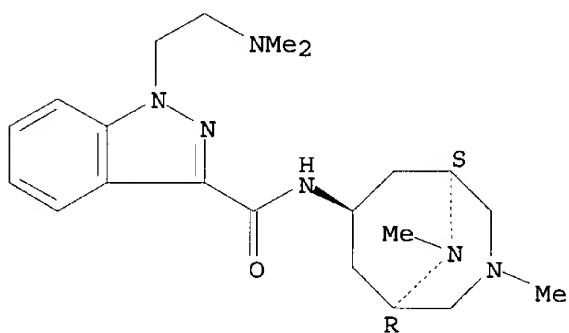


●x HCl

RN 141550-07-4 USPATFULL

CN 1H-Indazole-3-carboxamide, 1-[2-(dimethylamino)ethyl]-N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



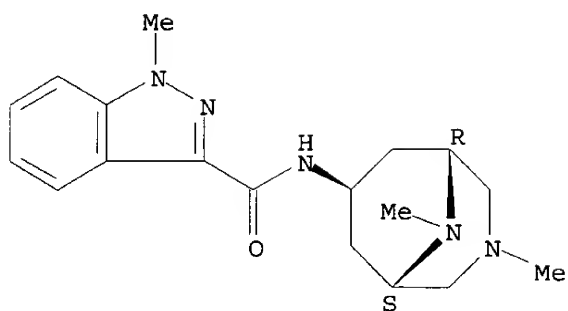
●x HCl

RN 141550-09-6 USPATFULL

CN 1H-Indazole-3-carboxamide, N-(3,9-dimethyl-3,9-diazabicyclo[3.3.1]non-7-yl)-1-methyl-, hydrochloride, exo- (9CI) (CA INDEX NAME)

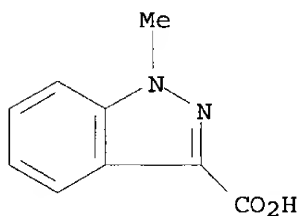
Relative stereochemistry.

09/476,253

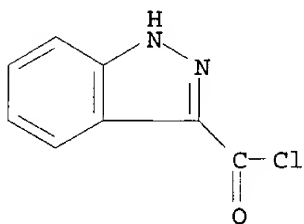


●x HCl

IT 50890-83-0, 1-Methylindazole-3-carboxylic acid 72083-74-0
, 1H-Indazole-3-carbonyl chloride
(reaction of, and prepn. of 5-HT₃ receptor antagonists)
RN 50890-83-0 USPATFULL
CN 1H-Indazole-3-carboxylic acid, 1-methyl- (9CI) (CA INDEX NAME)

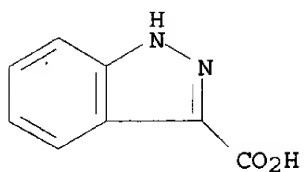


RN 72083-74-0 USPATFULL
CN 1H-Indazole-3-carbonyl chloride (6CI, 9CI) (CA INDEX NAME)



L10 ANSWER 11 OF 19 USPATFULL
IT 4498-67-3P, Indazole-3-carboxylic acid
(prepn. of, Me ester, on prepn. of (aroylaminomethyl)quinuclidinol)
RN 4498-67-3 USPATFULL
CN 1H-Indazole-3-carboxylic acid (6CI, 8CI, 9CI) (CA INDEX NAME)

09/476,253

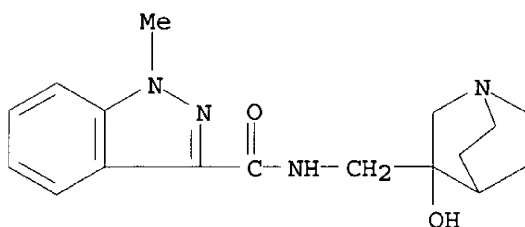


IT 144150-41-4P

(prepn. of, as drug)

RN 144150-41-4 USPATFULL

CN 1H-Indazole-3-carboxamide, N-[(3-hydroxy-1-azabicyclo[2.2.2]oct-3-yl)methyl]-1-methyl- (9CI) (CA INDEX NAME)

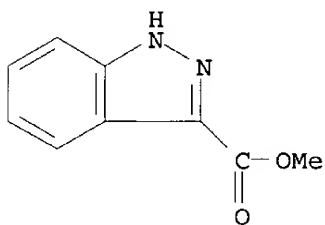


IT 43120-28-1P 50890-83-0P 109216-60-6P

(prepn. of, as intermediate for (aroylaminomethyl)quinuclidinol drug)

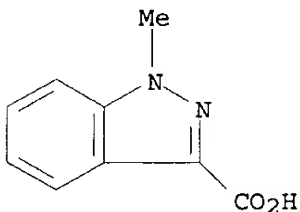
RN 43120-28-1 USPATFULL

CN 1H-Indazole-3-carboxylic acid, methyl ester (9CI) (CA INDEX NAME)



RN 50890-83-0 USPATFULL

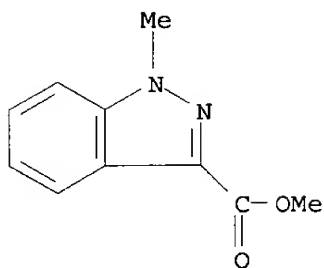
CN 1H-Indazole-3-carboxylic acid, 1-methyl- (9CI) (CA INDEX NAME)



RN 109216-60-6 USPATFULL

CN 1H-Indazole-3-carboxylic acid, 1-methyl-, methyl ester (9CI) (CA INDEX NAME)

09/476,253



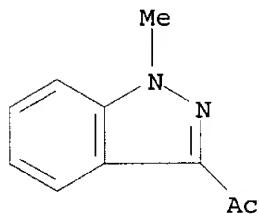
L10 ANSWER 12 OF 19 USPATFULL

IT 69271-42-7P 120160-25-0P

(prepn. and reaction of, in prepn. of serotonin antagonists)

RN 69271-42-7 USPATFULL

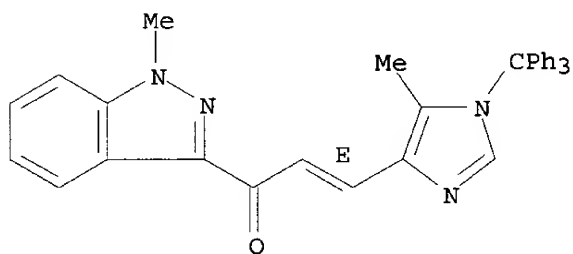
CN Ethanone, 1-(1-methyl-1H-indazol-3-yl)- (9CI) (CA INDEX NAME)



RN 120160-25-0 USPATFULL

CN 2-Propen-1-one, 1-(1-methyl-1H-indazol-3-yl)-3-[5-methyl-1-(triphenylmethyl)-1H-imidazol-4-yl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



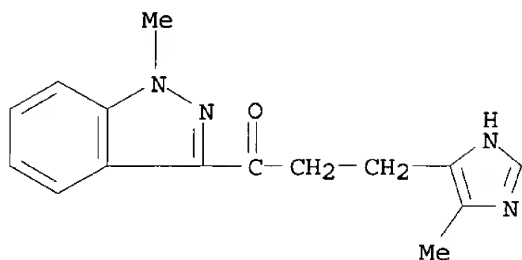
IT 120159-94-6P 120160-64-7P

(prepn. of, as serotonin antagonist)

RN 120159-94-6 USPATFULL

CN 1-Propanone, 3-(5-methyl-1H-imidazol-4-yl)-1-(1-methyl-1H-indazol-3-yl)- (9CI) (CA INDEX NAME)

09/476,253



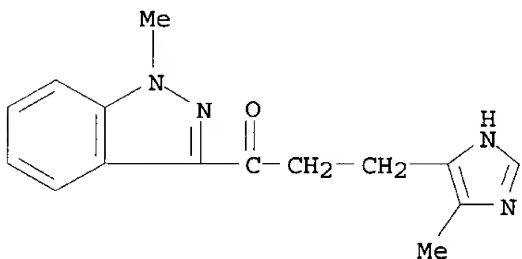
RN 120160-64-7 USPATFULL

CN 1-Propanone, 3-(5-methyl-1H-imidazol-4-yl)-1-(1-methyl-1H-indazol-3-yl)-,
(2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 120159-94-6

CMF C15 H16 N4 O



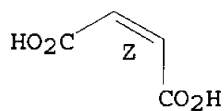
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.

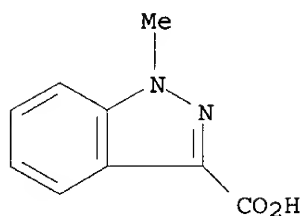


IT 50890-83-0, 1-Methyl-1H-indazole-3-carboxylic acid
(reaction of, in prepn. of serotonin antagonists)

RN 50890-83-0 USPATFULL

CN 1H-Indazole-3-carboxylic acid, 1-methyl- (9CI) (CA INDEX NAME)

09/476,253



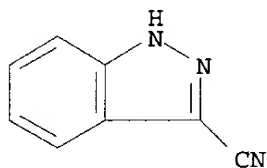
L10 ANSWER 13 OF 19 USPATFULL

IT 50264-88-5P, 1H-Indazole-3-carbonitrile

(prepn. and reaction of, in prepn. of pharmaceuticals)

RN 50264-88-5 USPATFULL

CN 1H-Indazole-3-carbonitrile (7CI, 9CI) (CA INDEX NAME)

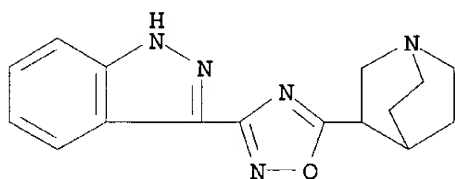


IT 125817-56-3P 125817-89-2P

(prepn. of, for treatment of psychotic disorders, senile dementia, peptic ulcer, etc.)

RN 125817-56-3 USPATFULL

CN 1-Azabicyclo[2.2.2]octane, 3-[3-(1H-indazol-3-yl)-1,2,4-oxadiazol-5-yl]-
(9CI) (CA INDEX NAME)



RN 125817-89-2 USPATFULL

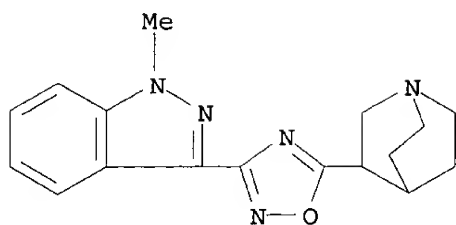
CN 1-Azabicyclo[2.2.2]octane, 3-[3-(1-methyl-1H-indazol-3-yl)-1,2,4-oxadiazol-5-yl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 125817-88-1

CMF C17 H19 N5 O

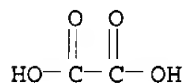
09/476,253



CM 2

CRN 144-62-7

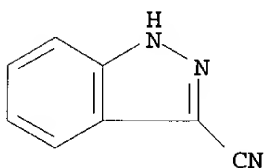
CMF C2 H2 O4



IT 50264-88-5, Indazole-3-carbonitrile
(reaction of, in prepn. of pharmaceuticals)

RN 50264-88-5 USPATFULL

CN 1H-Indazole-3-carbonitrile (7CI, 9CI) (CA INDEX NAME)



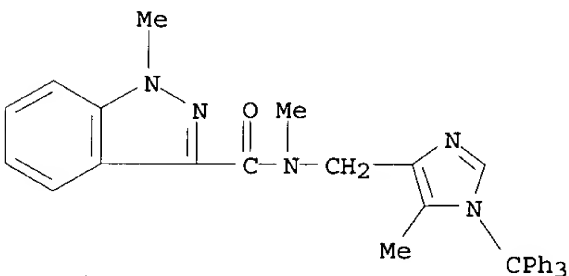
L10 ANSWER 14 OF 19 USPATFULL

IT 134615-45-5P 134615-46-6P

(prepn. and reaction of, in prepn. of serotonin antagonist)

RN 134615-45-5 USPATFULL

CN 1H-Indazole-3-carboxamide, N,1-dimethyl-N-[[5-methyl-1-(triphenylmethyl)-1H-imidazol-4-yl]methyl]- (9CI) (CA INDEX NAME)

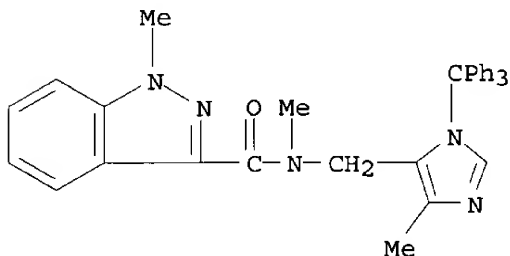


Delacroix

09/476,253

RN 134615-46-6 USPATFULL

CN 1H-Indazole-3-carboxamide, N,1-dimethyl-N-[[4-methyl-1-(triphenylmethyl)-1H-imidazol-5-yl]methyl]- (9CI) (CA INDEX NAME)



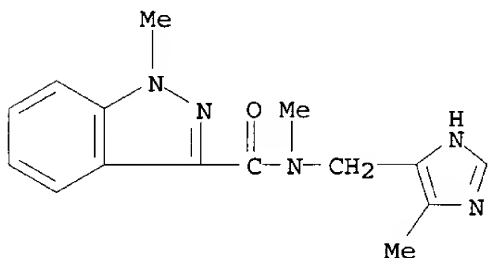
IT 134615-41-1P 134615-42-2P 134615-43-3P

134615-44-4P

(prepn. of, as serotonin antagonist)

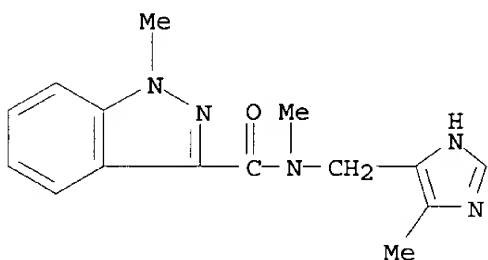
RN 134615-41-1 USPATFULL

CN 1H-Indazole-3-carboxamide, N,1-dimethyl-N-[(5-methyl-1H-imidazol-4-yl)methyl]- (9CI) (CA INDEX NAME)



RN 134615-42-2 USPATFULL

CN 1H-Indazole-3-carboxamide, N,1-dimethyl-N-[(5-methyl-1H-imidazol-4-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



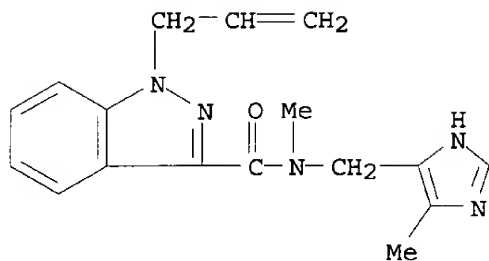
● HCl

RN 134615-43-3 USPATFULL

CN 1H-Indazole-3-carboxamide, N-methyl-N-[(5-methyl-1H-imidazol-4-yl)methyl]-1-(2-propenyl)- (9CI) (CA INDEX NAME)

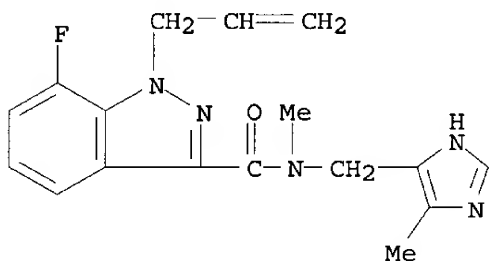
Delacroix

09/476,253



RN 134615-44-4 USPATFULL

CN 1H-Indazole-3-carboxamide, 7-fluoro-N-methyl-N-[(5-methyl-1H-imidazol-4-yl)methyl]-1-(2-propenyl)- (9CI) (CA INDEX NAME)

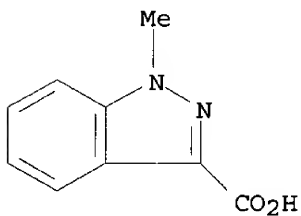


IT 50890-83-0

(reaction of, in prepn. of serotonin antagonist)

RN 50890-83-0 USPATFULL

CN 1H-Indazole-3-carboxylic acid, 1-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 15 OF 19 USPATFULL

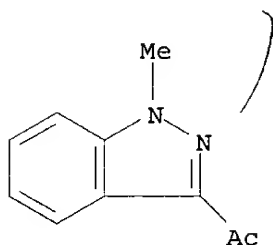
IT 69271-42-7P 120160-25-0P

(prepn. and reaction of, in prepn. of serotonin antagonists)

RN 69271-42-7 USPATFULL

CN Ethanone, 1-(1-methyl-1H-indazol-3-yl)- (9CI) (CA INDEX NAME)

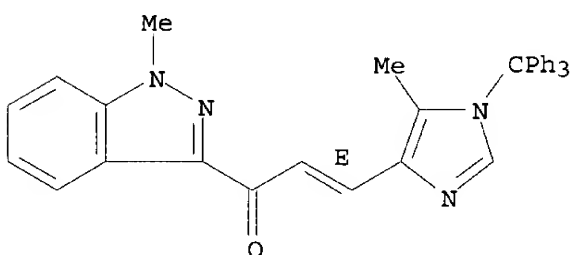
09/476,253



RN 120160-25-0 USPATFULL

CN 2-Propen-1-one, 1-(1-methyl-1H-indazol-3-yl)-3-[5-methyl-1-(triphenylmethyl)-1H-imidazol-4-yl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

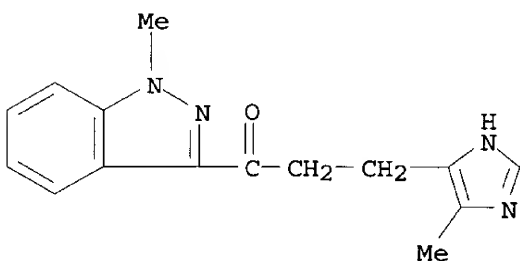


IT 120159-94-6P 120160-64-7P

(prepn. of, as serotonin antagonist)

RN 120159-94-6 USPATFULL

CN 1-Propanone, 3-(5-methyl-1H-imidazol-4-yl)-1-(1-methyl-1H-indazol-3-yl)- (9CI) (CA INDEX NAME)



RN 120160-64-7 USPATFULL

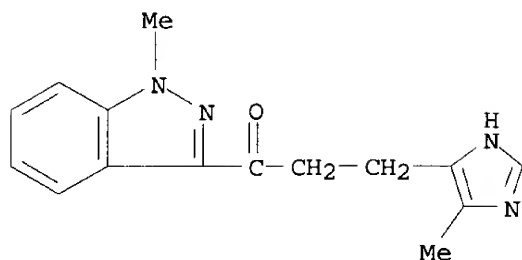
CN 1-Propanone, 3-(5-methyl-1H-imidazol-4-yl)-1-(1-methyl-1H-indazol-3-yl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 120159-94-6

CMF C15 H16 N4 O

09/476,253



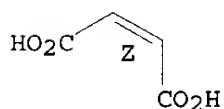
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

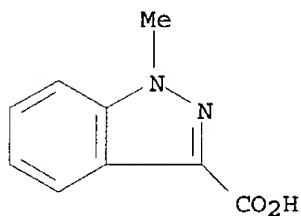
Double bond geometry as shown.



IT 50890-83-0, 1-Methyl-1H-indazole-3-carboxylic acid
(reaction of, in prepn. of serotonin antagonists)

RN 50890-83-0 USPATFULL

CN 1H-Indazole-3-carboxylic acid, 1-methyl- (9CI) (CA INDEX NAME)

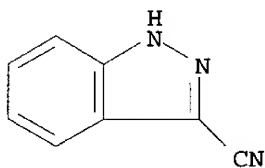


L10 ANSWER 16 OF 19 USPATFULL

IT 50264-88-5P, 1H-Indazole-3-carbonitrile
(prepn. and reaction of, in prepn. of pharmaceuticals)

RN 50264-88-5 USPATFULL

CN 1H-Indazole-3-carbonitrile (7CI, 9CI) (CA INDEX NAME)



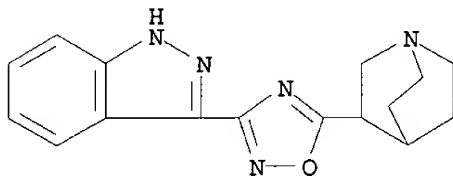
09/476,253

IT 125817-56-3P 125817-89-2P

(prepn. of, for treatment of psychotic disorders, senile dementia,
peptic ulcer, etc.)

RN 125817-56-3 USPATFULL

CN 1-Azabicyclo[2.2.2]octane, 3-[3-(1H-indazol-3-yl)-1,2,4-oxadiazol-5-yl]-
(9CI) (CA INDEX NAME)



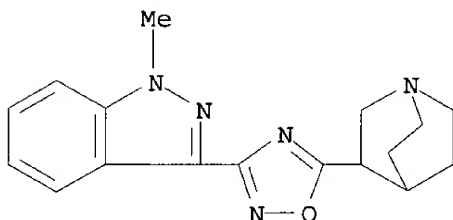
RN 125817-89-2 USPATFULL

CN 1-Azabicyclo[2.2.2]octane, 3-[3-(1-methyl-1H-indazol-3-yl)-1,2,4-oxadiazol-
5-yl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 125817-88-1

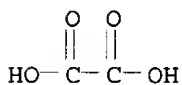
CMF C17 H19 N5 O



CM 2

CRN 144-62-7

CMF C2 H2 O4



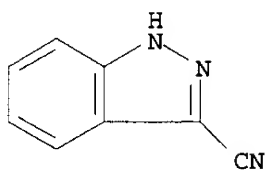
IT 50264-88-5, Indazole-3-carbonitrile

(reaction of, in prepn. of pharmaceuticals)

RN 50264-88-5 USPATFULL

CN 1H-Indazole-3-carbonitrile (7CI, 9CI) (CA INDEX NAME)

09/476,253



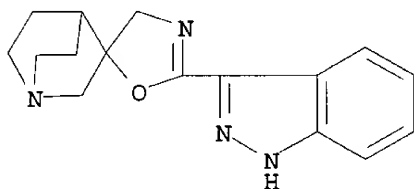
L10 ANSWER 17 OF 19 USPATFULL

IT 128199-86-0P 128200-03-3P

(prepn. of, as serotoninergic S3 antagonist)

RN 128199-86-0 USPATFULL

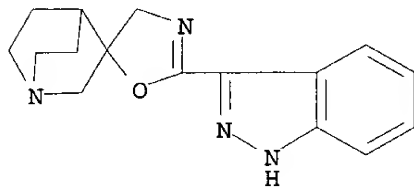
CN Spiro[1-azabicyclo[2.2.2]octane-3,5'-(4'H)-oxazole], 2'-(1H-indazol-3-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 128200-03-3 USPATFULL

CN Spiro[1-azabicyclo[2.2.2]octane-3,5'-(4'H)-oxazole], 2'-(1H-indazol-3-yl)- (9CI) (CA INDEX NAME)

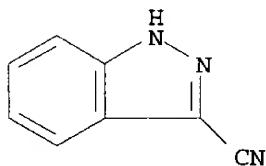


IT 50264-88-5, 1H-Indazole-3-carbonitrile

(reaction of, in prepn. of serotoninergic S3 antagonists)

RN 50264-88-5 USPATFULL

CN 1H-Indazole-3-carbonitrile (7CI, 9CI) (CA INDEX NAME)



09/476,253

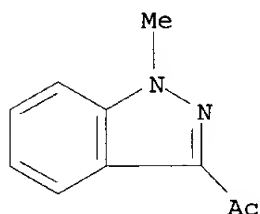
L10 ANSWER 18 OF 19 USPATFULL

IT 69271-42-7P 120160-25-0P

(prepn. and reaction of, in prepn. of serotonin antagonists)

RN 69271-42-7 USPATFULL

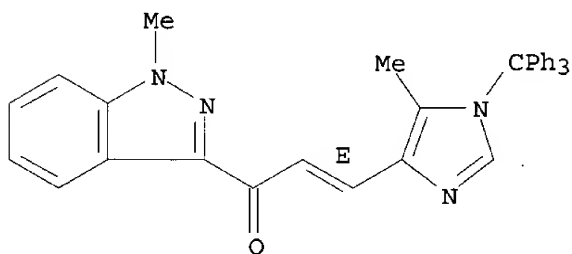
CN Ethanone, 1-(1-methyl-1H-indazol-3-yl)- (9CI) (CA INDEX NAME)



RN 120160-25-0 USPATFULL

CN 2-Propen-1-one, 1-(1-methyl-1H-indazol-3-yl)-3-[5-methyl-1-(triphenylmethyl)-1H-imidazol-4-yl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

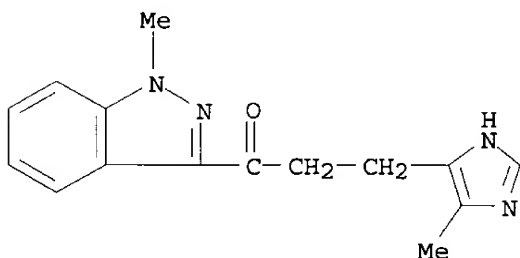


IT 120159-94-6P 120160-64-7P

(prepn. of, as serotonin antagonist)

RN 120159-94-6 USPATFULL

CN 1-Propanone, 3-(5-methyl-1H-imidazol-4-yl)-1-(1-methyl-1H-indazol-3-yl)- (9CI) (CA INDEX NAME)



RN 120160-64-7 USPATFULL

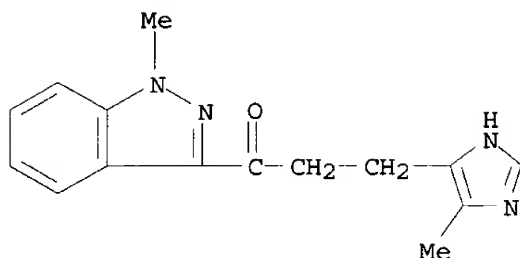
CN 1-Propanone, 3-(5-methyl-1H-imidazol-4-yl)-1-(1-methyl-1H-indazol-3-yl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

Delacroix

09/476,253

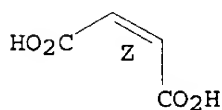
CRN 120159-94-6
CMF C15 H16 N4 O



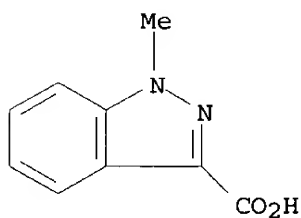
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.

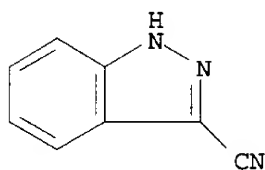


IT 50890-83-0, 1-Methyl-1H-indazole-3-carboxylic acid
(reaction of, in prepn. of serotonin antagonists)
RN 50890-83-0 USPATFULL
CN 1H-Indazole-3-carboxylic acid, 1-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2002 ACS
IT 50264-88-5P, 1H-Indazole-3-carbonitrile
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of pharmaceuticals)
RN 50264-88-5 CAPLUS
CN 1H-Indazole-3-carbonitrile (7CI, 9CI) (CA INDEX NAME)

09/476,253

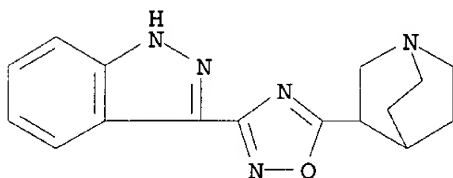


IT 125817-56-3P 125817-89-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for treatment of psychotic disorders, senile dementia,
peptic ulcer, etc.)

RN 125817-56-3 CAPLUS

CN 1-Azabicyclo[2.2.2]octane, 3-[3-(1H-indazol-3-yl)-1,2,4-oxadiazol-5-yl]-
(9CI) (CA INDEX NAME)



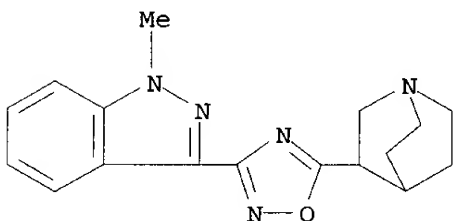
RN 125817-89-2 CAPLUS

CN 1-Azabicyclo[2.2.2]octane, 3-[3-(1-methyl-1H-indazol-3-yl)-1,2,4-oxadiazol-
5-yl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 125817-88-1

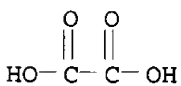
CMF C17 H19 N5 O



CM 2

CRN 144-62-7

CMF C2 H2 O4



IT 50264-88-5, Indazole-3-carbonitrile

Delacroix

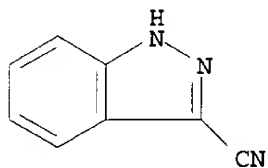
09/476,253

RL: RCT (Reactant)

(reaction of, in prepn. of pharmaceuticals)

RN 50264-88-5 CAPLUS

CN 1H-Indazole-3-carbonitrile (7CI, 9CI) (CA INDEX NAME)



09/476,253

=>

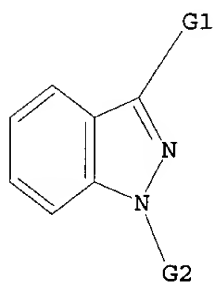
Uploading 2532.str

L7 STRUCTURE UPLOADED

=> d 17

L7 HAS NO ANSWERS

L7 STR



G1 H, Cb, Cy, Ak

G2 H, Cb, Cy, Hy, Ak, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, s-BuO, t-BuO

Structure attributes must be viewed using STN Express query preparation.

=> s 17 sss full

09/476,253

L8 13145 SEA SSS FUL L7

=> file caplus, uspatfull